

chain nodes :

7

ring nodes :

1 2 3 4 5 6 8 9 10 11 12 13

chain bonds :

6-7 7-8

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 8-9 8-13 9-10 10-11 11-12 12-13

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 6-7 7-8 8-9 8-13 9-10 10-11 11-12 12-13

G1:C,N

Match level :

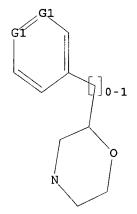
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom

# L1 STRUCTURE UPLOADED

=> d ;1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

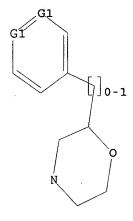
1 IS NOT A RECOGNIZED COMMAND

The previous command name entered was not recognized by the system. For a list of commands available to you in the current file, enter "HELP COMMANDS" at an arrow prompt (=>).

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 14:14:30 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 1449 TO ITERATE

69.0% PROCESSED

1000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

\*\*COMPLETE\*\* BATCH

PROJECTED ITERATIONS:

26697 TO 31263

PROJECTED ANSWERS:

5331 TO

L2

50 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:14:35 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 28303 TO ITERATE

100.0% PROCESSED 28303 ITERATIONS 7113 ANSWERS

50 ANSWERS

SEARCH TIME: 00.00.01

L3

7113 SEA SSS FUL L1

=>

Uploading C:\Program Files\Stnexp\Queries\168pt2.str

chain nodes :
15 16 17
ring nodes :

1 2 3 4 5 6 9 10 11 12 13 14

chain bonds :

6-9 13-15 15-16 16-17

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 9-10 9-14 10-11 11-12 12-13 13-14

exact/norm bonds :

1-2 1-6 2-3 3-4 4-5 5-6 6-9 9-10 9-14 10-11 11-12 12-13 13-14 13-15 15-16 16-17

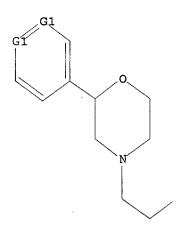
G1:C,N

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 9:Atom 10:Atom 11:Atom 12:Atom 13:Atom 14:CLASS 15:CLASS 16:CLASS 17:CLASS

# L4 STRUCTURE UPLOADED

=> d 14 L4 HAS NO ANSWERS L4 STR



G1 C,N

Structure attributes must be viewed using STN Express query preparation.

=> s 14

SAMPLE SEARCH INITIATED 14:18:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 212 TO ITERATE

100.0% PROCESSED 212 ITERATIONS

22 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS:

3367 TO 5113

PROJECTED ANSWERS:

159 TO 721

L5

22 SEA SSS SAM L4

=> s 14 full

FULL SEARCH INITIATED 14:19:05 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4548 TO ITERATE

100.0% PROCESSED 4548 ITERATIONS

546 ANSWERS

SEARCH TIME: 00.00.01

L6 546 SEA SSS FUL L4

=> fil caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

325.67 325.88

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FILE COVERS 1907 - 24 Jun 2005 VOL 143 ISS 1 FILE LAST UPDATED: 23 Jun 2005 (20050623/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 16

L7 87 L6

=> d ed abs ibib hitstr 1-87

ANSWER 1 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 02 Jul 2004

$$R^3 - (Y)_m - N$$
 $B$ 
 $X - (R^2)_m$ 

Title compds. I [R1 = (un)substituted-alkyl, -alkenyl, -alkynyl, -cycloalkyl, etc., or R1 and X taken together from a saturated, partially saturated, or aromatic 5-6 membered ring having 0-3 heteroatoms selected from

saturated, or aromatic 5-6 membered ring having 0-3 heteroatoms selected from 0,

P, S or N fused to ring A: R2 = OH, halo, (un)substituted-alkyl, -alkynyl,
-heteroaryl, etc., optionally two adjacent R2s taken together form a
fused, saturated, partially saturated or aromatic 5-6 membered ring having 0-3
heteroatoms selected from 0, P, S, or N, or two geminal R2s optionally
taken together from a (un)substituted spiro, saturated, partially saturated or
aromatic 5-6 membered ring having 0-3 heteroatoms selected from 0, P, S or N,
said fused or spiro ring being optionally substituted: A saturated, partially saturated or
aromatic 5-6 membered ring having 0-3 heteroatoms selected from 0, P, S or N, A = saturated, partially saturated, or aromatic 3-7
monocyclic or 8-10 membered bicyclic ring having one ring nitrogen and 0-4
addn1. heteroatoms selected from 0, P, S or N, R ing B contains an oxygen
atom in addition to depicted N: R3 = H, amine, CF3, halo, (un)substituted
alkyl, etc., Y = alkyl, alkenyl, alkynyl, carbonyl, thiocarbonyl, etc.; m
= 0-1, n = 0-5) and their pharmaceutically acceptable salts are prepared and
disclosed as CCR5 antagonists. Thus, II was prepared by reaction of
[3-(2,2-dimethylpropnoyl)-6-phenyl-1,3-oxazinan-6-yl]-arenthyl-1Hbenzimidazole dihydrochloride. I have plC50 values of ≥5 in assays
for CCR5 antagonism. As CCR5 antagonists, I are useful for the treatment
of viral infections (particularly HIV infection).

ACCESSION NUMBER: 2004:534199 CAPLUS

ANSWER 1 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 1 OF 87

DOCUMENT NUMBER: 141:89094

TITLE: Preparation of oxazine and morpholine derivatives as CCR5 antagonists

INVENTOR(S): Aquino, Christopher Joseph; Chong, Pek Yong; Duan, Maosheng; Kazmierski, Wieslaw Hieczyslaw

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
PCT Int. Appl. . 106 pp.

CODEN: PIXXD2

DOCUMENT TYPE: DOCUMENT TYPE: Patent English

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

MO 2004055011 A1 20040701 WO 2003-US39740 2003121
W: AE, AG, AL, AM, AT, AL, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, C
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, I
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NL, II
NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, T
TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW,
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, F
BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, E
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, S
TR, IBF, BJ, CF, CG, CI, CM, GA, GN, CQ, GW, ML, MR, NE, SN, S
TRIORITY APPLAN INFO:

OTHER SOURCE(S):

WARPAT 141:89094

RL: PAC (Pharmacological activity): SFN (Synthetic preparation): THU
(Therapeutic use): BIOL (Biological study): PREP (Preparation): USES
(Uses) PATENT NO. KIND DATE APPLICATION NO. DATE (preparation of oxazine and morpholine derivs. as CCR5 antagonists)
716324-21-9 CAPLUS
Morpholine, 4-(3-hydroxy-2,2-dimethyl-1-oxopropyl)-2-[2-[(3-endo)-3-(2-methyl-1H-benzimidazol-1-yl)-8-azabicyclo[3.2.1]oct-8-yl]ethyl]-2-phenyl-(CA INDEX NAME)

Relative stereochemistry.

Relative stereochemistry.

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Jun 2004

AB Title compds. I [A = C-X, N; B = C-Y, N; R1 = H, alkyl; R2 = H, alkyl; X = H, OH, CONH2, etc.; Y = H, OH, NH2, etc.; Z = H. OH, F, etc.] their enantiomers and pharmaceutically acceptable salts were prepared For example, BH3-THF reduction of lactam II, e.g., prepared from 3-methoxybenzaldehyde in 5-steps, afforded 2-phenylmorpholine III in 84% yield. Compds. I expressed EC50 values < 100 nM with 10-fold selectivity for D3 over D2, e.g., one example of compound I exhibited an EC50 value of 7.6 nM and 1315.8 fold selectivity for D3 over D2. Compds. I are claimed useful for the treatment of sexual dysfunction, e.g., hypoactive sexual activity, orgasmic disorders, erectile dysfunction, etc.

ACCESSION NUMBER: 2004:513345 CAPPLUS

DOCUMENT NUMBER: 141:71567

TYPE: Preparation of 2-phenylmorpholines and related

TITLE:

141:71567
Preparation of 2-phenylmorpholines and related compounds as dopamine agonists in the treatment of sexual dysfunction.
Allerton, Charlotte Moria Norfor; Baxter, Andrew Douglas; Cook, Andrew Simon; Hepworth, David; Wong, Stephen Kwok-fung Pfizer Limited, UK: Pfizer Inc.
PCT Int. Appl., 121 pp.
CODEN: PIXXD2
Patent
English
1

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

NO. KIND DATE APPLICATION NO. DATE

1052372 A1 20040624 W0 2003-185683 20031202

AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IM, IS, JP, KE, KG, KP, KR, KZ, LC, LL, LR, LS, LT, LU, LV, MA, MD, MG, MX, MN, MW, MX, MZ, NI, NO, NZ, PATENT NO. WO 2004052372

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
 TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
 ES, FI, FR, BG, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK,
 TR, BF, BJ, CF, CG, CI, CM, GA, CM, GQ, GW, ML, MR, NE, SN, TD,
 US 2004259874 A1 20041223 US 2003-727168 20031202
 NL 1024983 A1 20040611 NL 2005-1024983 20031210
 NL 1024983 C2 20050201
 TITY APPLIN. INFO:: GB 2002-28787 A 20021210 GB 2002-28787 GB 2003-8460 GB 2003-13606 US 2003-438476P US 2003-470950P 20021210 20030411 20030612 20030107 PRIORITY APPLN. INFO.: US 2003-501512P P 200

R SOURCE(5): MARPAT 141:71567

547770-26-3P, 2-(4-Benzyloxyphenyl)-4-propylmorpholine
547770-27-4P, 4-(4-Propylmorpholin-2-yl)phenol
547770-29-6P, 2-Brown-6-4(4-propylmorpholin-2-yl)phenol
547770-29-6P, 2-(4-Benzyloxy-3-bromophenyl)-4-propylmorpholine
547770-29-6P, 2-(4-Benzyloxy-5-(4-propylmorpholin-2-yl)benzoic acid
methyl ester 54770-31-0P, 2-Benzyloxy-5-(4-propylmorpholin-2-yl)benzoic acid 547770-32-1P, 2-Benzyloxy-5-(4-propylmorpholin-2-yl)benzoic acid 547770-33-3P, 2-Benzyloxy-5-(4-propylmorpholin-2-yl)phenol 547770-33-3P, 2-Benzyloxy-5-(4-propylmorpholin-2-yl)phenol 54770-39-3P, 6-6-(2,5-Dimethylpyrrol-1-yl)pyridin-3-yl)-4-propylmorpholine 710653-67-9P 710653-62-6P
710653-83-1P 710653-89-7P 710654-25-4P,
6-(4-Chlor-3-methoxybenvl)-4-propylmorpholine 710654-58-3P US 2003-501512P OTHER SOURCE(S): IT 547770-26-31 710653-83-19 710653-89-7P 710654-25-4P,
6-(4-Chloro-3-methoxyphenyl)-4-propylmorpholine 710654-58-3P
RL: PAC (Pharmacological activity): RCT (Reactant): SPN (Synthetic preparation): TRU (Therapeutic use): BIOL (Biological study): PREP (Preparation): RACT (Reactant or reagent): USES (Uses) (preparation of 2-phenylmorpholines and related compds. as dopamine agonists in the treatment of sexual dysfunction.)
547770-26-3 CAPLUS 547770-26-3 CAPLUS
Morpholine, 2-[4-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

547770-27-4 CAPLUS
Phenol, 4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-28-5 CAPLUS

Phenol, 2-bromo-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

547770-34-3 CAPLUS Phenol, 2-nitro-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-39-8 CAPLUS

Morpholine, 2-[6-(2,5-dimethyl-lH-pyrrol-1-yl)-3-pyridinyl]-4-propyl-(9CI) (CA INDEX NAME)

Morpholine, 2-ethyl-6-(3-methoxyphenyl)-4-propyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

710653-62-6 CAPLUS Morpholine, 2-ethyl-6-(3-methoxyphenyl)-4-propyl-, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Page 927/06/2005

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

547770-29-6 CAPLUS
Morpholine, 2-{3-bromo-4-(phenylmethoxy)phenyl}-4-propyl- (9CI) (CA INDEX

547770-30-9 CAPLUS
Benzoic acid, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)-, methyl ester (9CI) (CA INDEX NAME) RN CN

547770-31-0 CAPLUS Benzoic acid, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-32-1 CAPLUS
Benzamide, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Relative stereochemistry.

710653-83-1 CAPLUS Norpholine, 2-(3-methoxyphenyl)-6-methyl-4-propyl-, (2R,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

710653-89-7 CAPLUS Morpholine, 2-(3-methoxyphenyl)-6-methyl-4-propyl-, (2R,6R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

710654-25-4 CAPLUS
Morpholine, 2-(4-chloro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 710654-58-3 CAPLUS Morpholine, 2-(3-methoxyphenyl)-5-methyl-4-propyl-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

142363-72-2P, 2-(3-Methoxyphenyl)-4-propylmorpholine 547770-05-8P 547770-05-9P 547770-07-0P 547770-12-PP, 2-(3,5-b)methoxyphenyl)-4-propylmorpholine 547770-13-8P 547770-14-9P 547770-20-7P, 547770-13-9P 547770-14-9P 547770-20-7P,
2-(4-Fluoro-3-methoxyphenyl)-4-propylmorpholine 547770-33-2P,
2-Hydroxy-5-(4-propylmorpholin-2-yl)phenol 710652-35-0P
710652-39-4P 710653-32-0P, 5-(4-propylmorpholin-2-yl)phenol 710652-35-0P
710653-49-4P 710653-32-0P, 5-(4-Propylmorpholin-2-yl)pyridin-2-ylamine 710653-37-5P 710653-43-3P
710653-68-2P 710653-73-9P 710653-75-9F-710653-68-2P
710653-68-5P 710654-74-3P 710658-62-9P
710653-68-5P 710654-74-3P 710655-10-0P 710655-15-5P RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (Uses)
(preparation of 2-phenylmorpholines and related compds. as dopamine agonists in the treatment of sexual dysfunction.)
142363-72-2 CAPLUS
Morpholine, 2-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-05-8 CAPLUS Phenol, 3-[(2R)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 . ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

547770-14-9 CAPLUS 1,3-Benzenediol, 5-[(2S)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

547770-20-7 CAPLUS Morpholine, 2-(4-fluoro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-33-2 CAPLUS Benzamide, 2-hydroxy-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-35-4 CAPLUS
Phenol, 2-amino-4-(4-propyl-2-morpholinyl)- {9CI} (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

547770-06-9 CAPLUS
Phenol, 3-[(2S)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

547770-07-0 CAPLUS Phenol, 3-[(2R)-4-propyl-2-morpholinyl)-, hydrochloride (9CI) (CA INDEX

Absolute stereochemistry.

• HC1

547770-12-7 CAPLUS Morpholine, 2-(3,5-dimethoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-13-8 CAPLUS
1,3-Benzenediol, 5-{(2R)-4-propyl-2-morpholinyl}- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

710652-35-0 CAPLUS
Morpholine, 2-(4-fluoro-3-methoxyphenyl)-4-propyl-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

710652-39-4 CAPLUS Morpholine, 2-(4-fluoro-3-methoxyphenyl)-4-propyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

710653-32-0 CAPLUS 2-Pyridinamine, 5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

710653-37-5 CAPLUS 2-Pyridinamine, 5-(4-propyl-2-morpholinyl)-, (+)- (9CI) (CA INDEX NAME) Rotation (+).

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

710653-43-3 CAPLUS 2-Pyridinamine, 5-(4-propyl-2-morpholinyl)-, (-)- (9CI) (CA INDEX NAME)

710653-68-2 CAPLUS Phenol, 3-[(2R,63)-6-ethyl-4-propyl-2-morpholinyl]-, rel- (9CI) (CA INDEX NAME)

710653-73-9 CAPLUS Phenol, 3-[(2R,6R)-6-ethyl-4-propyl-2-morpholinyl]-, rel- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

710654-62-9 CAPLUS
Phenol, 3-[(5S)-5-methyl-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

710654-68-5 CAPLUS Phenol, 3-{(2R,5R)-5-methyl-4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

710654-74-3 CAPLUS Phenol, 3-[(2R,5S)-5-methyl-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

710655-10-0 CAPLUS 2-Pyridinamine, 5-[(25,55)-5-methyl-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

710653-95-5 CAPLUS
Phenol, 3-[(ZR,6S)-6-methyl-4-propyl-2-morpholinyl]-, rel- [9CI] (CA
INDEX NAME)

Relative stereochemistry.

710654-00-5 CAPLUS Phenol, 3-[(2K,6K)-6-methyl-4-propyl-2-morpholinyl]-, rel- [9CI] (CA INDEX NAME)

Relative stereochemistry.

710654-30-1 CAPLUS Phenol, 2-chloro-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

710655-15-5 CAPLUS 2-Pyridinamine, 5-[(2R,5S)-5-methyl-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

142363-68-6P, 6-{3-Methoxyphenyl}-4-propylmorpholin-3-one 547770-11-6P, 6-{3,5-Dimethoxyphenyl}-4-propylmorpholin-3-one 547770-19-4P, 6-{4-Fluoro-3-methoxyphenyl}-4-propylmorpholin-3-one 547770-28-2P, 6-{4-Benzyloxyphenyl}-4-propylmorpholin-3-one 547770-38-7P 710653-47-7P, 2-Ethyl-6-{3-methoxyphenyl}-4-propylmorpholin-3-one 710653-77-3P, 2-Methyl-6-{3-methoxyphenyl}-4-propylmorpholin-3-one 710654-16-3P, 6-{4-Chloro-3-methoxyphenyl}-4-propylmorpholin-3-one 710654-16-3P 710654-99-0P 710634-89-09
RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of 2-phenylmorpholines and related compds. as dopamine agonists in the treatment of sexual dysfunction.)
12363-66-6 CAPLUS
3-Morpholinone, 6-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-11-6 CAPLUS 3-Morpholinone, 6-{3,5-dimethoxyphenyl}-4-propyl~ (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

547770-19-4 CAPLUS
3-Morpholinone, 6-(4-fluoro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-25-2 CAPLUS
3-Morpholinone, 6-[4-(phenylmethoxy)phenyl)-4-propyl- (9CI) (CA INDEX NAME)

0- CH2- Ph

547770-38-7 CAPLUS
3-Morpholinone, 6-[6-(2,5-dimethyl-lH-pyrrol-1-yl)-3-pyridinyl}-4-propyl-(SCI) (CA INDEX NAME)

710653-47-7 CAPLUS 3-Morpholinone, 2-ethyl-6-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 2 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN (Continued)

710653-77-3 CAPLUS
3-Morpholinone, 6-(3-methoxyphenyl)-2-methyl-4-propyl- (9CI) (CA INDEX

3-Morpholinone, 6-(4-chloro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

710654-53-8 CAPLUS 2-Morpholinol, 2-(3-methoxyphenyl)-5-methyl-4-propyl-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

710654-89-0 CAPLUS 2-Morpholinol, 2-(6-(2,5-dimethyl-1H-pyrrol-1-yl)-3-pyridinyl)-5-methyl-4-propyl-, (5S)- (5CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 3 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 08 Dec 2003

AB The development of new strategies for solid-phase synthesis of 3-aza-6, 8-dioxabicyclo[3.2.1]octane scaffolds, named BTKa, e.g. I, is described. The preparation was made possible by the combination of three components: amines, a-halo-acetophenones, and sugar or tartaric acid derivs. By anchoring each of the three components it was possible to synthesize BTKa compds. either as amino alcs. or amido esters. The compatibility of the protocols with different classes of amines and substituted a-halo-acetophenones was demonstrated.

ACCESSION NUMBER: 2003:957358 CAPLUS

DOCUMENT NUMBER: 140:321342

AUTHOR(S): Asolid-phase approach towards the development of 3-aza-6, 8-dioxabicyclo[3.2.1]octane scaffolds

AUTHOR(S): Trabocchi. Andrea: Manclin, Francesco; Menchi, Gloris; Guarna, Antonio

CORPORATE SOURCE: Polo Scientifico di Sesto Fiorentino, Dipartimento di Chimica Organica 'Ugo Schiff', Universitadegli Studi di Firenze, Florence, Sesto Fiorentino, Italy Molecular Diversity (2003), 6(3-4), 245-250

CODEN: MODIF4; ISSN: 1381-1991

FUBLISHER: Kluwer Academic Publishers

DOCUMENT TYPE: Journal LANGUAGE: English

IT 677353-54-7P 677353-52-7P

RL: SPN (Synthetic preparation); PREP (Preparation)

(solid-phase preparation of azadioxabicyclooctane scaffolds from amines, haloacetophenones, carbohydrate or tartaric acid derivs.)

RN 677353-54-7 CAPLUS

CN 6,8-Dioxa-3-azabicyclo(3.2.1]octane-7-methanol, 3-butyl-5-phenyl-, (15,55,75)- (9CI) (CA INDEX NAME)

677353-62-7 CAPLUS 6,8-Dioxa-3-arabicyclo[3.2.1]octane-7-carboxylic acid, 3-butyl-5-(4-hydroxyphenyl)-2-oxo-, methyl ester, (1R.5S,7R)-rel- (9CI) (CA INDEX NAME)

L7 ANSWER 3 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
3-Morpholinone, 6-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-72-2 CAPLUS Morpholine, 2-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-05-8 CAPLUS
Phenol, 3-[(2R)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME)

547770-06-9 CAPLUS Phenol, 3-{(25)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME) Absolute stereochemistry. Rotation (+).

547770-07-0 CAPLUS
Phenol, 3-{(2R)-4-propyl-2-morpholinyl}-, hydrochloride {9CI} (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 27 Jun 2003
AB The use of a composition comprising a selective dopamine D3 receptor agonist is disclosed, wherein said dopamine D3 receptor agonist is at least about 15-times more functionally selective for a dopamine D3 receptor as compared with a dopamine D2 receptor when measured using the same functional assay, in the preparation of a medicament for the treatment and/or prevention of sexual dysfunction.

ACCESSION NUMBER: 2003:491050 CAPLUS
DOCUMENT NUMBER: 139:63348

ITITLE: Selective dopamine D3 receptor agonists for the treatment of sexual dysfunction

INVENTOR(S): Van der Graaf, Pieter Hadewijn: Wayman, Christopher Peter: Baxter, Andrew Douglas; Cook, Andrew Simon: Wong, Stephen Kwok-Fung

PATENT ASSIGNEE(S): PCT Int. Appl., 247 pp.

CODEN: PIXXD2

LANGUAGE: DECOMENT TYPE: Patent

LANGUAGE: English

LANGUAGE: English FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PR IT

PAT	TENT I	10.			KIND DATE					APPL							
wo	WO 2003051370				A1 20030626												
WO 2003051370					C1 20031002					#U 2	20021210						
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										EC,							
										KE,							
										MN,							
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		KG,	KZ,	MD,	RU,	TJ,	TM,	AT,	BE,	BG,	CH,	CY,	CŹ,	DE,	DK,	EE,	ES.
										NL,						BF,	BJ,
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	NE,	SN,	TD,	TG		
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EΡ	1463				A1					EP 2						0021	
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ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

547770-11-6 CAPLUS 3-Morpholinone, 6-{3,5-dimethoxyphenyl}-4-propyl- (9CI) (CA INDEX NAME)

547770-12-7 CAPLUS Morpholine, 2-(3,5-dimethoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-13-8 CAPLUS 1,3-Benzenedio1, 5-{(2R)-4-propyl-2-morpholinyl}- (9CI) (CA INDEX NAME)

547770-14-9 CAPLUS 1,3-Benzenedio1, 5-{{2S}-4-propyl-2-morpholinyl}- (9CI) (CA INDEX NAME)

L7 ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

3-Morpholinone, 6-(4-fluoro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-20-7 CAPLUS Morpholine, 2-(4-fluoro-3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

547770-21-8 CAPLUS Phenol, 2-fluoro-5-{(2R)-4-propyl-2-morpholinyl}- (9CI) (CA INDEX NAME) Absolute stereochemistry.

547770-22-9 CAPLUS
Phenol, 2-fluoro-5-[(2s)-4-propyl-2-morpholinyl]- (9CI) (CA INDEX NAME) Absolute stereochemistry.

OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

547770-30-9 CAPLUS Benzoic acid, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)-, methyl ester (9CI) (CA INDEX NAME)

547770-31-0 CAPLUS
Benzoic acid, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)- (9CI) (CA
INDEX NAME)

547770-32-1 CAPLUS Benzamide, 2-(phenylmethoxy)-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-33-2 CAPLUS Benzamide, 2-hydroxy-5-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

Page 1427/06/2005

ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

547770-25-2 CAPLUS 3-Morpholinone, 6-[4-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

547770-26-3 CAPLUS
Morpholine, 2-[4-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

547770-27-4 CAPLUS Phenol, 4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-28-5 CAPLUS Phenol, 2-bromo-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-29-6 CAPLUS Morpholine, 2-[3-bromo-4-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX

ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN L7

547770-34-3 CAPLUS Phenol, 2-nitro-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-35-4 CAPLUS
Phenol, 2-amino-4-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

547770-38-7 CAPLUS
3-Morpholinone, 6-[6-(2,5-dimethyl-lH-pyrrol-l-yl)-3-pyridinyl]-4-propyl-(9CI) (CA INDEX NAME)

547770-39-8 CAPLUS Morpholine, 2-[6-(2,5-dimethyl-lH-pyrrol-l-yl)-3-pyridinyl]-4-propyl-(9CI) (CA IMDEX NAME)

ANSWER 4 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 5 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 20 Mar 2003

AB Enantiomerically pure bicyclic 1,4-oxazepinone I was obtained by the CU(II)-catalyzed decomposition of an α-diazo carbonyl compound II tethered to a chiral morpholinone, through the cascade evolution of the spirocyclic ammonium ylide formed. LiAlH4 reduction and transesterification of the lactone moiety of the oxazepinone afforded pure chiral pyrolidine III and 3-prolinone bicyclic hemiacetal IV, resp., both bearing a quaternary ACCESSION NUMBER: 2003:215683 CAPLUS

2003:215683 CAPLUS 139:133481

TITLE:

AUTHOR (S) :

139:133481
Stereospecific [1,2]-rearrangement of a spirocyclic ammonium ylide with ring expansion sequence Saba, Antonio Dipartimento di Chimica, Facolta di Scienze, Sassari, I-07100, Italy Tetrahedron Letters (2003), 44(14), 2895-2898 CODEN: TELEAY; ISSN: 0040-4039 CORPORATE SOURCE:

SOURCE:

Elsevier Science Ltd. PUBLISHER:

DOCUMENT TYPE: LANGUAGE: English

OTHER SOURCE(S): CASREACT 139:133481

566189-01-3P

586189-01-3P RACT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (asym. synthesis of pyrrolidine derivative and prolinone bicyclic hemiacetal via Cu-catalyzed stereoselective (1,2)-sigmatropic rearrangement of diazo(diphenyloxomorpholinyl)oxopentanoate) 566189-01-3 CAPLUS

4-Morpholinepentanoic acid, α-diazo-β,6-dioxo-2,3-diphenyl-, ethyl ester, (2S,3R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

L7 ANSWER 6 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 05 Jun 2002
AB The present study describes the synthesis and in vitro pharmacol. of a novel series of dopaminergic agents in which the classical phenylethylamine pharmacophore is replaced by a thienylethylamine moiety. In general, the novel compds. showed a moderate affinity for the dopamine (DA) D2 and D3 receptors. When the thienylethylamine moiety is fixed in a rigid system, the affinity for the DA receptor is significantly increased. However, in the tricyclic hexahydrothianaphthoxazine structure, the affinity for the DA receptors is diminished.

ACCESSION NUMBER: 2002:222015 CAPLUS
DOCUMENT NUMBER: 137:134484
Further Characterization of Structural Requirements

TITLE:

AUTHOR (S):

Further Characterization of Structural Requirements for Ligands at the Dopamine D2 and D3 Receptor: Exploring the Thiophene Moiety Dijkstra, Durk: Rodenhuis, Nienke: Vermeulen, Erik S.; Pugsley, Thomas A.; Wise, Lawrence D.; Wikstroem, Hkan V.

V. Department of Medicinal Chemistry, University of Groningen, Groningen, NL-9713, Neth. Journal of Medicinal Chemistry (2002), 45(14), 3022-3031 CORPORATE SOURCE:

SOURCE:

CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society Journal

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

English CASREACT 137:134484 OTHER SOURCE(S):

444559-24-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
 (preparation and dopaminergic activity of thienylethylamines and tricyclic
 hexahydrothianaphthoxazines)
444559-24-4 CAPLUS
2H-Naphth[1,2-b]-1,4-oxazin-9-o1, 3,4,4a,5,6,10b-hexahydro-2-phenyl-4propyl-, hydrochloride, (2R,4aR,10bR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

• HC1

444559-42-6P 444559-43-7P

444559-42-69 444559-43-7P
RE: RCT (Reactant): SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dopaminergic activity of thienylethylamines and tricyclic hexahydrothianaphthoxazines)
44459-42-6 CAPLUS
2H-Naphth[1,2-b]-1,4-oxazin-3(4H)-one, 4a,5,6,10b-tetrahydro-9-methoxy-2-phenyl-4-propyl-, (2R,4aR,10bR)-rel- (9CI) (CA INDEX NAME)

L7 ANSWER 6 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

444559-43-7 CAPLUS 2H-Naphth[1,2-b]-1,4-oxazine, 3,4,4a,5,6,10b-hexahydro-9-methoxy-2-phenyl-4-propyl-, hydrochloride, (2R,4aR,10bR)-rel- (9CI) (CA INDEX NAME)

485816-14-6P
RL: SPN (Synthetic preparation): PREP (Preparation)
(preparation and dopaminergic activity of thienylethylamines and tricyclic hexahydrothianaphthoxazines)
485816-14-6 CAPLUS
2H-Naphth[1,2-b]-1,4-oxazin-3(4H)-one, 4a,5,6,10b-tetrahydro-9-methoxy-2-phenyl-4-propyl-, (2R,4as,10bs)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 7 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 05 Dec 2001

AB Wittig reaction of Ph3P:CHCN with the lactone carbonyl of (5R,6S)-4-(benzyloxycarbonyl)-5,6-diphenyl-2,3,5,6-tetrahydro-4H-1,4-oxazin-2-one gave cyanomethylated adduct I, whose subsequent reduction afforded morpholinoethylamine II as a dihydrochloride salt in quant. yield with excellent diasteroseolectivity. After having its primary amino group protected with Cbz, II was coupled with morpholinobutyl iodide III. The resulting adduct was hydrogenated to remove the Cbz groups and the chiral auxiliaries to afford hypusine (IV) as a dihydrochloride salt in an overall 53 yield.

ACCESSION NUMBER: 2001:874823 CAPLUS
DOCUMENT NUMBER: 136:151418

TITLE: Asymmetric Synthesis of (+)-Hypusine
AUTHOR(S): Jain, Rajendra P.: Albrecht, Brian K.: DeMong, Duane E.: Williams, Robert M.

2001:87423 CAPLUS
136:151418
Asymmetric Synthesis of (+)-Hypusine
Jain, Rajendra P.: Albrecht, Brian K.: DeMong, Duane
E.: Williams, Robert M.
Department of Chemistry, Colorado State University,
Fort Collins, CO, 80523, USA
Organic Letters (2001), 3(26),
CODEN: ORLEF7: ISSN: 1523-7060
American Chemical Society
Journal
English
CASREACT 136:151418

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S): IT 394251-34-41

R SOURCE(S): CAŚREACT 136:151418
394251-34-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(asym. preparation of hypusine dihydrochloride)
394251-34-4 CAPLUS
4-Morpholinecarboxylic acid, 3-[4-[(2S,3R,6R)-2,3-diphenyl-6-[2-[([phenylmethoxy]carbonyl]amino]ethyl]-4-morpholinyl]butyl]-2-oxo-5,6-diphenyl-, phenylmethyl ester, (3S,5S,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 6 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT: THERE ARE 38 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 7 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

REFERENCE COUNT:

THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 25

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ANSWER 8 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 07 Sep 2001

AB Title compds. [e.g., I; RR = O or each R = H; Rl = (un)substituted Ph: R2

- H, Me, CH2Ph: R3 = (un)substituted phenyl(methyl), CH(CO2H)CH2Ph, allyl,
etc.: R6 = H, Me, CO2H, CH2OH; Z = O or NH) were prepared Thus,
PhCOCH2MIRCH2Ph was N-acylated by 1,4-dioxane-2,3-dicarboxylic acid
monomethyl ester and the product cyclized to give I (RR = O, Rl = R3 =
CH2Ph, R2 = H, R6 = CO2Me, Z = O). The method is suitable for solid phase
synthesis and the preparation of combinatorial libraries.

ACCESSION NUMBER:
DOCUMENT NUMBER:
201:654699 CAPLUS
105:64699 CAPLUS
105:6469

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE EP 1130022 A1 20010905 EP 2000-104135 20000229
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO
CA 2401693 AA 20010907 CA 2001-EP2185 20010227
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CM, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LR, LS, LT, LU, LV, MA, MD, MG, MK, NN, MW, MX, MX, NO, NZ, PI, PT, RO, RU, SD, SE, SG, SIT, SK, SL, TJ, TM, TT, TZ, LUA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, BF, BJ, CF, CG, US 2003176414 A1 20030918 US 2002-220556 20021101
RITT APPIN. INFO: W0 2001-EP2185 W 20010227
R SOURCE(S): CASREACT 135:211044: MARPART 135:211044 OTHER SOURCE(S): CASREACT 135:211044; MARPAT 135:211044 IT 357667-16-4P 357667-64-2P 357667-70-0P

ANSWER 8 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

357667-72-2 CAPLUS 6,8-Dioxa-3-azabicyclo[3.2.1]octane-3-acetic acid,  $\alpha$ -(3-aminopropyl)-7-carboxy-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)

357667-73-3 CAPLUS
Butanedioic acid, (7-carboxy-2-oxo-5-phenyl-6,8-dioxa-3-azabicyclo{3.2.1}oct-3-yl)- (9CI) (CA INDEX NAME)

357667-78-8 CAPLUS 6,8-Dioxa-3-acetic acid, 7-carboxy-5-(4-hydroxyphenyl)-a-(1-methylethyl)-2-oxo- (SCI) (CA INDEX NAME)

357667-81-3 CAPLUS 6,8-Dioxa-3-azabicyclo(3.2.1]octane-3-acetic acid, 7-carboxy-a-(1-hydroxyethyl)-5-(4-hydroxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)

ANSWER 8 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
357667-71-1P 357667-72-2P 357667-73-3P
357667-8-8P 357667-84-8P 357667-82-4P
357667-83-5P 357667-84-6P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylates and analogs)
357667-16-4 CAPLUS
6,8-Dioxa-3-azabicyclo[3.2.1]octane-7-carboxylic acid,
2-oxo-5-phenyl-3-propyl- (9CI) (CA INDEX NAME)

357667-64-2 CAPLUS 6,8-Dioxa-3-azabicyclo(3.2.1)octane-7-carboxylic 5-(4-hydroxyphenyl)-2-oxo-3-propyl- (9CI) (CA IN

357667-70-0 CAPLUS 6,8-Dioxa-3-azabicyclo(3.2.1)octane-3-acetic acid, 7-carboxy- $\alpha$ -(1-hydroxyethyl)-2-oxo-5-phenyl- (9CI) (CA INDEX NAME)

 $\label{eq:controller} 357667-71-1 \quad \text{CAPLUS} \\ 6, 8-\text{Dioxa-3-azabicyclo}\{3.2.1\} \text{octane-3-acetic acid, 7-carboxy-} \\ \alpha = 2-(\text{methylthio}) \text{ ethyl} - 2-\text{oxo-5-phenyl-} \\ \text{(9CI)} \quad \text{(CA INDEX NAME)} \\ \end{array}$ 

ANSWER B OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

357667-83-5 CAPLUS 6,8-Dioxa-3-azabicyclo{3.2.1}octane-3-acetic acid,  $\alpha$ -(3-aminopropyl)-7-carboxy-5-(4-hydroxyphenyl)-2-oxo- (9CI) (CA INDEX NAME)

357667-84-6 CAPLUS
Butanedioic acid, [7-carboxy-5-(4-hydroxyphenyl)-2-oxo-6,8-dioxa-3-azabicyclo(3.2.1)oct-3-yl)- (9C1) (CA INDEX NAME)

L7 ANSWER 8 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

REFERENCE COUNT:

THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 9 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 9 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 27 Mar 2001

AB The authors have developed a route for an enantioselective construction of the simplified omuralide analog I in nine steps, with the use of (R)-atrolactic acid (II) as a recoverable chiral controller.

ACCESSION NUMBER: 2001:214617 CAPLUS
DOCUMENT NUMBER: 135:19883
TITLE: A Novel Enantioselective Synthetic Route to Omuralide Analogues with the Potential for Species Selectivity in Proteasome Inhibition
CTANG. SI: CRAPPORATE SOURCE: Department of Chemistry and Chemical Biology, Harvard University, Cambridge, MA, 02138, USA
SOURCE: Organic Letters (2001), 3(9), 1395-1397
CODEN: ORLEF! ISSN: 1523-7060
American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 135:19883
IT 342797-11-9P

CODEN: ORLEF7; ISSN: 1523-7060

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal
LANGUAGE: English

TOTHER SOURCE(S): CASREACT 135:19883

IT 342797-11-99

RL: RCT (Reactant); SFN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(novel enantioselective synthetic route to omuralide analogs with the potential for species selectivity in proteasome inhibition)

RN 342797-11-9 CAPLUS

CN 4-Morpholinepropanoic acid, a,a,2-trimethyl-\$\beta\$,3,6-trioxo-2-phenyl-, ethyl ester, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

REFERENCE COUNT:

22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 10 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 Feb 2001
AB The solid-phase synthesis of 1,4-benzothiazin-3(4H)-ones and
1,4-benzoxain-3(4H)-ones is reported. Alkylation of immobilized
4-hydroxy-3-nitrobenzamide and 3-nitro-4-sulfanylbenzamide, followed by
reduction and cyclization gave resin-bound 1,4-benzoxain-3(4H)-ones and
1,4-benzothiazin-3(4H)-ones, resp. Further alkylation and acylation was
performed on the amide N in the presence of NaH followed by TFA cleavage.
ACCESSION NUMBER: 2001:102282 CAPLUS
DOCUMENT NUMBER: 124:326472
TITLE: Solid-phase combinatorial synthesis of
1,4-benzoxain-3(4H)-one and 1,4-benzothiazin-3(4H)one derivatives

AUTHOR(S): Lee, C. L.; Chan, K. P.; Lam, Y.; Lee, S. Y.
Department of Chemistry, National University of
Singapore, 17543, Singapore
Tetrahedron Letters (2001), 42(6), 1167-1169
CODEN: TELEAY; ISSN: 0040-4039
Elsevier Science LCA.
DOCUMENT TYPE: Journal

DOCUMENT TYPE: LANGUAGE:

English CASREACT 134:326472 OTHER SOURCE(S): 336163-89-4P

336163-69-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(solid-phase combinatorial synthesis of benzoxazinones and
benzothiazinones)
336163-89-4 CAPLUS
2H-1,4-Benzoxazine-6-carboxamide, 4-butyl-3,4-dihydro-3-oxo-2-phenyl(9CI) (CA INDEX NAME)

REFERENCE COUNT:

18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 27 Sep 2000.
Inappropriate thrombus formation within blood vessels is the leading cause of mortality in the industrialized world. Factor Xa (FXa) is a trypsin-like serine protease that plays a key role in the blood coagulation cascade and represents an attractive target for anticoagulant drug development. From a high-throughput in vitro mass screen of our chemical library, we identified 4-[5-[(2R,6S)-2.6-dimethyltetrahydro-1(2H)-pyridinyl]pentyll-2-phenyl-24-1,4-benzoxalin-3(4H)-one as an inhibitor of FXa with an IC50 of 27 µM. Through a combination of SAR studies and mol. modeling, we synthesized 3-(4-[5-[(2R,6S)-2.6-dimethyltetrahydro-1(2H)-pyridinyl)pentyll-3-oxo-3, 4-dihydro-2H-1,4-benzoxalin-2-yl)-1-benzenecarboximidamide which was a potent FXa inhibitor with an IC50 of 3 nm. This compound exhibited high selectivity for FXa over other related serine proteases and was efficacious when dosed i.v. in rabbit and dog antithrombotic models.

SSION NUMBER: 2000:675083 CAPLUS
HENT NUMBER: 134:36674
E: Restorations of the August Scale of the State of the State of ACCESSION NUMBER: DOCUMENT NUMBER: 134:36674
RATIONAL Design, Synthesis, and Biological Activity of Benzoxazinones as Novel Factor Xa Inhibitors
Dudley, Danette A.; Bunker, Amy M.; Chi, Liguo; Cody,
Wayne L.; Holland, Debra R.; Ignasiak, Diane P.;
Janiczek-Dolphin, Nancy; McClanahan, Thomas B.; Mertz,
Thomas E.; Narasimhan, Lakshmi S.; Rapundalo, Stephen
T.; Trautschold, Julia A.; van Huis, Chad A.; Edmunds,
Jaramy J.; TITLE: AUTHOR (S): Jermy J.
Pfizer Global Research and Development, Ann Arbor, MI,
48105, USA
Journal of Medicinal Chemistry (2000), 43(22),
4063-4070 CORPORATE SOURCE: SOURCE: CODEN: JMCMAR; ISSN: 0022-2623 American Chemical Society PUBLISHER: DOCUMENT TYPE: LANGUAGE: Journal English CASREACT 134:36674 OTHER SOURCE(S): 313220-95-0P SIJIZZE-93-UF RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): PRP (Properties): RCT (Reactant): SPN (Synthetic preparation): BIOL (Biological study): PREP (Preparation): RACT (Reactant Relative stereochemistry. ANSMER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN 313220-93-89 313220-94-99 313220-97-29 313222-00-09 313221-01-19 313221-03-39 313221-04-4P 313221-05-59 313221-06-69 313221-07-7P (Continued) 313221-08-8P
RL: BBC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (rational design, synthesis, and biol. activity of benzoxazinones as novel factor Xa inhibitors)
313220-79-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-(3,4-dichlorophenyl)-4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME) CM 1 CRN 313220-78-9 CMF C26 H32 C12 N2 O2 Relative stereochemistry. CM 2 CRN 76-05-1 CMF C2 H F3 O2 313220-81-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-chlorophenyl)-4-[5-[(2R,6S)-2,6-dimethyl-piperidinyl]penyl]-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME) CRN . 313220-80-3 CMF C26 H33 C1 N2 O2

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (ÇH2) S ●3 HC1 24616-91-97
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): PRP (Properties): RCT (Reactant): SPN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): RACT (Reactant or reagent): USES (Uses) (rational design, synthesis, and biol. activity of benzoxazinones as novel factor Xs inhibitors)
244616-91-9 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME) 244616-91-9P Relative stereochemistry. (CH2) 5 ●2 HC1 313220-79-0P 313220-81-4P 313220-83-6F 313220-84-7P 313220-85-8P 313220-86-9F 313220-80-1P 313220-90-5P 313220-91-6F L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Relative stereochemistry. 2 CM F- C- CO2H 313220-83-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-{2-chlorophenyl}-4-{5-[(2R,6S)-2,6-dimethyl-l-piperidinyl]pentyl}-, rel-, mono(trifluoroacetate) (9CI) (CA INDEX NAME) CM 1 CRN 313220-82-5 CMF C26 H33 C1 N2 O2 Relative stereochemistry. (CH2) 5

Ngrazier 10727168 L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN CM 2 (Continued) 313220-84-7 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-{5-({2R,6S}-2,6-dimethyl-1-piperidinyl}pentyl}-2-(4-methylphenyl)-, dihydrochloride, rel- (9CI) (CA INDEX NAME) Relative stereochemistry. ●2 HC1 313220-85-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-{(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-2-(4-methoxyphenyl)-, rel-, trifluoroacetate (2:3) (9CI) (CA INDEX NAME) CM 1 CRN 244616-88-4 CMF C27 H36 N2 O3 Relative stereochemistry. ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN mono(trifluoroacetate) (9CI) (CA INDEX NAME) (Continued) CM 1 CRN 313220-87-0 CMF C29 H40 N2 O5 Relative stereochemistry.

CM 2

CRN 76-05-1 CMF C2 H F3 O2

со2н

313220-90-5 CAPLUS Benzenecarbothioamide, 4-{4-{5-{{2R,6S}-2,6-dimethyl-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel-, bis(trifluoroacetate) (9C1) (CA INDEX NAME)

CM 1

CRN 313220-89-2 CMF C27 H35 N3 O2 S

Relative stereochemistry.

с-- со2н

313220-91-6 CAPLUS

Benzenecarboximidamide, 4-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy-,dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●2 HC1

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (CH2)5 CM 2 CRN 76-05-1 CMF C2 H F3 O2

313220-86-9 CAPLUS  $2H-1,4-Benzoxazin-3\{4H\}-one,\ 2-\{3,4-dimethoxyphenyl\}-4-\{5-\{\{2R,6S\}-2,6-dimethyl-l-piperidinyl\}pentyl\}-,\ rel-\ (9CI)\ (CA INDEX NAME)$ 

Relative stereochemistry.

313220-88-1 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-2-(3,4,5-trimethoxyphenyl)-, rel-,

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

L7 ANSMER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 313220-93-8 CAPLUS
CN Benzenecarboximidamide, 4-[4-[5-[(2R,65)-2,6-dimethyl-1piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel-,
tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 313220-92-7
CMF C27 H36 N4 02

Relative stereochemistry.

CRN 76-05-1 CMF C2 H F3 O2

F- C- CO<sub>2</sub>H

RN 313220-94-9 CAPLUS Benzenecarbothiosmide, 3-[4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244616-94-2 CMF C27 H35 N3 O2 S

Relative stereochemistry.

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CM 2 CRN 76-05-1 CMF C2 H F3 O2

F C CO2H

RN 313220-98-3 CAPLUS

Benzenecarboximidamide, 3-[4-[5-[(2R,68)-2,6-dimethyl-1piperidinyl]pentyl]-3, 4-dihydro-3-oxo-2H-1, 4-benzoxazin-2-yl]-N-methyl-,
dihydrochloride, rel- (9Cl) (CA INDEX NAME)

Relative stereochemistry.

●2 HCl

RN 313220-99-4 CAPIUS Morpholine, 4-[3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]phenyl]iminomethyl)-, trihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

CM 2

CRN 76-05-1 CMF C2 H F3 O

RN 313220-97-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-{3-{aminomethyl}phenyl}-4-{5-{(2R,6S)-2,6-dimethyl-1-piperidinyl}pentyl}-, rel-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244618-42-6 CMF C27 H37 N3 O2

Relative stereochemistry.

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●3 HC1

RN 313221-00-0 CAPLUS
CN Benzenecarboximidamide, 3-[4-[6-[(2R,6s)-2,6-dimethyl-1-piperidinyl]hexyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●2 HC1

RN 313221-01-1 CAPLUS
CN Benzenecarbo3vimidamide, 3-[4-[4-[(2R,6S)-2,6-dimethyl-1-piperidinyl]butyl]3,4-dihydro-3-xxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride, rel- (9CI)
(CA INDEX NAME)

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

●2 HC1

313221-03-3 CAPLUS 313221-03-3 CAPLUS
Benzenecarboximidamide, 3-{4-{5-{(2R,5S)-2,5-dimethyl-1pyrrolidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel-,
tris(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 313221-02-2 CMF C26 H34 N4 O2

Relative stereochemistry

CM 2

CRN 76-05-1 CMF C2 H F3 O2

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 313221-06-6 CAPLUS Benzenecarboximidamide, 3-[4-(5-aminopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

(CH<sub>2</sub>) 5 - NH<sub>2</sub>

●2 HC1

313221-07-7 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[bis(1-methylethyl)amino]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

(CH2)5-N(Pr-1)2

●2 HC1

313221-08-8 CAPLUS
Benzenecarboximidamide, 3-[4-[5-(dihexylamino)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

(CH2) 5 - Me (CH2)5-N- (CH2)5-Me

●2 HC1

ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

- CO2H

313221-04-4 CAPLUS
Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1-piperidinyl)pentyl]2H-1,4-benzoxarin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

313221-05-5 CAPLUS Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-(4-morpholinyl)pentyl]-3-oxo-2H-1,4-benzoxazin-2-yl]-, dihydrochloride (9CI) (CA INDEX NAME)

●2 HC1

L7 ANSWER 11 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

313220-77-8F
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
{rational design, synthesis, and biol. activity of benzoxazinones as
novel factor Xa inhibitors)
313220-77-8 CAPLUS
2H-1, 4-Benzoxazin-3(4H)-one, 4-[5-{(2R,6S)-2,6-dimethyl-1piperidinyl]pentyl]-2-phenyl-, rel- {9CI} (CA INDEX NAME)

Relative stereochemistry.

244621-32-7P 244621-33-8P 244621-34-9P 244621-32-79 244621-33-89 244621-34-99
RE: RCT (Reactant): SPM (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent) (rational design, synthesis, and biol. activity of benzoxazinones as novel factor Xa inhibitors) 244621-32-7 CAPLUS

Z4W0ZL-3Z-/ CAPAUS Benzonitrile, 3-[4-(5-bromopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

(CH2)5-Br

244621-33-8 CAPLUS
Benzonitriie, 3-(4-(5-(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)-, rel- (9Cl) (CA INDEX NAME)

ANSWER 11 OF 87 CAPLUS' COPYRIGHT 2005 ACS on STN

244621-34-9 CAPLUS Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-[(trifluoroacetyl)oxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

42

REFERENCE COUNT:

THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 12 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SFN (Synthetic preparation): THU (Therapeutic use): BIOL (Biological study): PREP (Preparation): USES (Uses) (prepn. of 2-phenylmorpholine derivs. as phosphodiesterase inhibitors) 251315-75-0 CAPLUS
Morpholine, 2-[3-(cyclohexyloxy)-4-methoxyphenyl]-4-(2,2-dimethyl-1-oxopropyl)-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 12 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Nov 1999

AB The title compds. [I; Rl = (un)substituted Cl-8 alkyl or C3-7 cycloalkyl; R2 = Cl-4 alkyl; R3 = H, (un)substituted Cl-6 alkyl, (un)substituted aryl optionally containing 2 l heteroatoms selected from O, N, and S; R4 = (un)substituted aryl optionally containing 2l heteroatoms selected from O, N, and S; C62R5, COMNR5, C(S)ONR5, C(S)O

Japanese FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. APPLICATION NO. DATE KIND DATE JP 11322730
PRIORITY APPLN. INFO.:
OTHER SOURCE(S):
IT 251315-75-0P A2 19991124 MARPAT 132:12315

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 08 Oct 1999

AB Title compds. [I; R1 = cycloalkyl(alkyl), heterocyclyl(alkyl), aryl(alkyl), etc.: R2 = H or alkyl; R3R4 = (un)substituted CH:CHCH:CH, -N:CHCH:CH, -CH:NCH:CH, etc.: X = O, S, NH: Z = ZZZ3R5: R5 = H, (un)substituted (heteroatom-interrupted) alkyl or -cycloalkyl(alkyl); Z1 = O, SOO-2, OCH2, SCH2, etc.: Z2 = bond or (heteroatom-interrupted) (cycloalkylene: Z3 = bond, (un)substituted heterocyclylene, -arylene] were prepared Thus, 4-(MeO)C6H4CH2CO2Me was α-brominated and the product etherified by 2-(O2N)C6H4OH to give, after reductive cyclization, I [R1 = C6H4(OMe)-4, R2 = H, R3R4 = CH:CHCH:CH, X = Z1 = O](II) Z = NH) which was N-alkylated by Br(CH2)Br and the product aminated by cis-2,6-dimethyl-1-piperidine to give II [Z = N(CH2)5R5, R5 = cis-2,6-dimethyl-1-piperidinyl). Data for biol. activity of I were given. ACCESSION NUMBER: 1999:640847 CAPLUS
DOCUMENT NUMBER: 1999:640847 CAPLUS
TITLE: Preparation of benzoxazinones and -thiazinones as

131:257572
Preparation of benzoxazinones and -thiazinones as serine protease inhibitors
Berryman, Kent Alan, Downing, Dennis Michael: Dudley, Danette Andrea: Edmunds, Jeremy John: Narasimhan, Lakshmi Sourirajan: Rapundalo, Stephen Taras Warner-Lambert Company, USA
PCT Int. Appl., 175 pp.
CODEN: PIXXD2
Patent TITLE:

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.																	
WO									WO 1998-US26708								
	W:	AL,	ΑU,	BA,	BB,	BG,	BR,	CA,	CN,	CU,	CZ,	ЕĖ,	GE,	HR,	ΗU,	ID,	IL,
		IS,	JP,	KP,	KR,	LC,	LK,	LR,	LT,	LV,	MG,	MK,	MN,	MX,	NO,	ΝZ,	PL,
		RO,	SG,	SI,	SK,	SL,	TR,	TT,	UA,	US,	UZ,	VN,	Yυ,	AM,	AZ,	BY,	KG,
		KZ,	MD,	RU,	TJ,	TM											
	RW:	GH,	GM,	KE,	LS,	MW,	SD,	SZ,	UG,	ZW,	AT,	BE,	CH,	CY,	DE,	DK,	ES,
		FI,	FR,	GB,	GR,	IE,	IT,	LU,	MC,	NL,	PT,	SE,	BF,	ВJ,	CF,	CG,	CI,
		CM,	GΑ,	GN,	GW,	ML.	MR,	NE,	SN,	TD,	TG						
CA	2319	551			AA		1999	1007		CA 1	998-	2319	551		1	9981	215
ΑU	9919	183			A1		1999	1018		AU 1	999-	1918	3		1	9981	215
ΑU	7652	23			B2		2003	0911									
BR	9815	784			А		2000	1121		BR 1	998-	1578	4		1	9981	215
EΡ	1068	191			A1		2001	0117		EP 1	998-	9639	65		1	9981	215
	R:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LI,	LU,	NL,	SE,	MC,	PT,
		IE,	SI,	LT,	LV.	FI,	RO										
JΡ	2002	5099	25		T2		2002	0402		JP 2	000-	5411	61		1	9981	215
NZ	5069	85			А		2003	1031		NZ 1	998-	5069	85		1	9981	215
ZA	9902	445			A		1999	1001		ZĀ I	999-	2445			1	9990	330
US	6509	335			B1		2003	0121		US 2	000-	6222	65		2	0000	814
	2000						2000									0000	920
US	2003	1872											71			0021	

OTHER SOURCE(s): MARPAT 131:257572

IT 244618-40-4P 244620-32-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use): BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of benzoxazinones and -thiazinones as serine protease inhibitors)

(preparation of Demonstrations and CitiasTinois as Strine Processe inhibitors)
244618-40-4 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1piperidinyl]penyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy-,
rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

244620-32-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

30914-85-3P 30914-96-6P 30914-97-7P 30916-88-8P 30914-99-P9 30915-00-5P 244616-89-8P 244616-90-8P 244616-90-8P 244616-91-9P 244616-91-3P 244616-93-3P 244616-95-3P 244616-95-3P 244618-39-2 244618-43-9P 244618-43-PP 244618-43-PP 244618-43-PP 244618-46-0P 244618-65-3P 244618-65-3P 244618-65-3P 244618-65-3P 244618-65-3P 244618-95-9P 244618-96-2P 244619-06-5P 244618-90-2P 244619-06-5P 244619-07-6P 244619-08-7P 244619-08-8P

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) inhibitors)
30914-85-3 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-{3-{bis(1-methylethyl)amino}propyl}-2-phenyl- (9CI) (CA INDEX NAME) L7

30914-96-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[3-(2,5-dimethyl-1-pyrrolidinyl)propyl]-2-phenyl- (9CI) (CA INDEX NAME)

30914-97-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[bis(1-methylethyl)amino]pentyl]-2-phenyl-(9C1) (CA INDEX NAME)

30914-98-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,5-dimethyl-1-pyrrolidinyl)pentyl]-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
244619-10-1P 244619-11-2P 244619-12-3P
244619-13-4P 244619-11-3P 244619-18-9P
244619-19-0P 244619-20-3P 244619-18-9P
244619-19-0P 244619-20-3P 244619-24-P
244619-25-8P 244619-23-6P 244619-24-P
244619-23-8P 244619-23-6P 244619-30-5P
244619-31-6P 244619-31-7P 244619-31-8P
244619-31-6P 244619-31-7P 244619-31-8P
244619-31-7P 244619-31-7P 244619-31-8P
244619-31-7P 244619-31-7P 244619-31-8P
244619-31-7P 244619-31-8P 244619-31-8P
244619-31-7P 244619-31-8P 244619-31-8P
244619-31-7P 244619-31-8P 244619-31-7P
244619-31-7P 244619-31-7P 244619-31-7P
244620-31-7P 244619-31-7P 244619-31-7P
244620-31-7P 244620-31-7P 244620-31-7P
244620-31-7P 244620-31-7P 244620-31-7

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-2-phenyl- (9CI) (CA INDEX NAME)

30915-00-5 CAPLUS

28-14-14-Benzoxazin-3(4H)-one, 4-[3-(2,6-dimethyl-1-piperidinyl)propyl]-2-phenyl- (9CI) (CA INDEX NAME)

Z4703-750-7 CAFAUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl]pentyl]-2-(4-methoxyphenyl)-, rel- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244616-89-5 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[{2R,65}-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244616-90-8 CAPLUS
Benzenecarboximidamide, 3-[4-[5-([2R,6S]-2,6-dimethyl-1-piperidinyl]]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel-,bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 244616-89-5 CMF C27 H36 N4 O2

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244616-94-2 CAPLUS Benzenecarbothioamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244616-95-3 CAPLUS
Benzenecarboximidanide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-hydroxy-, monohydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244616-96-4 CAPLUS Benzenecarboximidamide, 3-[{25}-4-{5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-hydroxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244616-91-9 CAPLUS
Benzenecarboximidamide, 3-{4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-,dihydrochloride, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

●2 HC1

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244618-38-0 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-methoxy-, rel- [9CI] (CA INDEX NAME)

Relative stereochemistry.

244618-39-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-2-(3-hydroxyphenyl)-, rel- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244618-41-5 CAPLUS
Benzenecarboximidic acid, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, hydrazide, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

246618-42-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-[3-(aminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-, zei- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-43-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(3-aminophenyl)-4-(5-[(2R,65)-2,6-dimethyl-piperidinyl)pentyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244618-46-0 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-hydroxy-,rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-60-8 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-2-(3-pyridinyl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244618-44-8 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-2-[3-(methylaminolphenyl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-45-9 CAPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 2-[3-(dimethylamino)phenyl)-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244618-61-9 CAPLUS 24-1, 4-Benzoxazin-3(4H)-one, 2-(4-amino-3-pyridinyl)-4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-62-0 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-[4-(dimethylamino)-3-pyridinyl]-4-[5[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-65-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(2-amino-4-pyridinyl)-4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl)pentyl]-, rel- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244618-66-4 CAPLUS
2-Pyridinecarboximidamide, 4-{4-{5-{2R,6S}-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-95-9 CAPLUS
Benzenecarboximidamide, 3-[4-[4-((2R,6S)-2,6-dimethyl-1-piperidinyl]butyl]3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244619-06-5 CAPLUS
Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1-piperidinyl)pentyl]-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

244619-07-6 CAPLUS
Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(2,2,6,6-tetramethyl-1-piperidinyl)pentyl]-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Page 2727/06/2005

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244618-96-0 CAPLUS
Benzenecarboximidamide, 3-(4-(6-[(2R,6S)-2,6-dimethyl-1-piperidinyl]hexyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244618-98-2 CAPLUS 4H-1,4-Benzoxazine-4-propanamide, 2-[3-(aminoiminomethyl)phenyl]-N-[(2R,6S)-2,6-dimethyl-1-piperidinyl]methyl]-2,3-dihydro-3-oxo-, rel-(SCI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244619-08-7 CAPLUS 2-Piperidinecarboxylic acid, 1-[5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]pentyl]- (9CI) (CA INDEX NAME)

244619-09-8 CAPLUS
3-Piperidinezarboxylic acid, 1-(5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]pentyl]- (9CI) (CA INDEX NAME)

244619-10-1 CAPLUS
4-Piperidineczhoxylic acid, 1-[5-[2-[3-{aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4N-1,4-benzoxazin-4-yl]pentyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-11-2 CAPLUS
CN Benzenecarboximidamide, 3-{4-{5-{3,5-dimethyl-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}- (9CI) (CA INDEX NAME)

RN 244619-12-3 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-(4-hydroxy-1-piperidinyl)pentyl]-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-15-6 CAPLUS

Senzenecarboximidamide, 3-[4-[5-[2-[(dimethylamino)methyl]-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-16-7 CAPLUS
CN Benzenecarboximidamide, 3-{4-{5-{4-{dimethylamino}-1-piperidinyl}pentyl}3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}- {9Cl} (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-13-4 CAPLUS
CN Benzenecarboximidamide, 3-(3,4-dihydro-4-[5-(2-imino-1-piperidinyl)pentyl]3-0x0-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-14-5 CAPLUS
CN Benzenecarboximidamide, 3-{3,4-dihydro-3-oxo-4-{5-(4-oxo-1-piperidinyl)pentyl}-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (CC

RN 244619-17-8 CAPLUS
CN 4-Piperidineaulfonic acid, 1-[5-[2-[3-(aminoiminomethyl)phenyl]-2,3dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]pentyl]- (9CI) (CA INDEX NAME)

RN 244619-18-9 CAPLUS

Senzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(2-phenyl-1-piperidinyl)pencyl]-ZH-1,4-benzoxazin-2-yl]- (9C1) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-19-0 CAPLUS

Senzenecarboximidamide, 3-[4-[5-[2,5-dimethyl-l-pyrrolidinyl)pentyl]-3,4-dihydro-3-oxo-24-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-20-3 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1-pyrrolidinyl)pentyl]2H-1,4-benzoxazin-2-yll- (9CI) (CA INDEX NAME)

RN 244619-21-4 CAPLUS
CN Proline, 1-[5-[2-13-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl]pentyl]- (SCI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continue

RN 244619-24-7 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[2,5-bis(methoxymethyl)-1pyrrolidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA
INDEX NAME)

RN 244619-25-8 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-{2-(hydroxymethyl)-1-pyrrolidinyl]pentyl]-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-26-9 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-[2-(hydroxymethyl)-5-methyl-1-pyrrolidinyl)pentyl)-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-22-5 CAPLUS
CN Acetamide, N-{1-{5-{2-{3-(aminoiminomethyl)phenyl}-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl}pentyl}-3-pyrrolidinyl}-N-methyl- (9CI) (CA INDEX NAMP)

RN 244619-23-6 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-(3-amino-1-pyrrolidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (C

RN 244619-27-0 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[bis(1-methylethyl)amino]pentyl]-3,4dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-28-1 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-(diethylamino)pentyl]-3,4-dihydro-3-oxo-2H1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244619-29-2 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-{5-(methylamino)pentyl}-3-oxo-2H1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH2) 5 - NHMe

RN 244619-30-5 CAPLUS CN Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-[1-methyl-1H-imidazol-2-yl]pentyl]-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Me N N (CH2) 5

RN 244619-31-6 CAPLUS
CN Benzenecarboximidamide, 3-{4-[5-(2,5-dimethyl-lH-imidazol-l-yl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Me N Me (CH2)5

RN 244619-32-7 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-4-[5-(4-morpholinyl)pentyl]-3-oxo2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

(CH2) 5

RN 244619-35-0 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-{2,6-dimethyl-1-piperazinyl}pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Me | Me | (CH<sub>2</sub>) 5 | C- NH<sub>2</sub> | NH

RN 244619-36-1 CAPLUS
CN Benzenecarboximadmide, 3-[3,4-dihydro-3-oxo-4-[5-[1H-pyrazol-1-yl]pentyl]2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

(CH<sub>2</sub>) 5

RN 244619-37-2 CAPLUS

Page 3027/06/2005

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

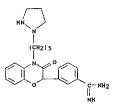
(CH<sub>2</sub>) 5

RN 244619-33-8 CAPLUS
CN Benzenecarboximidamide, 3-{4-[5-(3,5-dimethyl-4-morpholinyl)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Me | N | Me | (CH2) 5 | C | NH2 | NH

RN 244619-34-9 CAPLUS
CN Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(1-piperazinyl)pentyl]2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-{3,4-dihydro-3-oxo-4-{5-(1-pyrazolidinyl)pentyl}-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)



RN 244619-38-3 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-(2,5-dimethyl-1-pyrazolidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-Z-yl]- (9CI) (CA INDEX NAME)

Me N Me (CH2)5

RN 244619-39-4 CAPLUS

Senzenecarboximidamide, 3-[6-chloro-4-[5-{{2R,6S}}-2,6-dimethyl-1-piperidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-40-7 CAPLUS

Enzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1piperidinyl]pentyl]-6-fluoro-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-,
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-41-8 CAPLUS

RD Benzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-mercapto-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-44-1 CAPLUS

Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1piperidinyl]pentyl]-3,4-dihydro-6-methyl-3-oxo-2H-1,4-benzoxazin-2-yl]-,
rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-45-2 CAPLUS
CN 2R-1,4-Benzoxezine-6-carboxylic acid, 2-[3-{aminoiminomethyl}phenyl}-4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Page 3127/06/2005

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Cont

RN 244619-42-9 CAPLUS

CN Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-6-{methylthio}-3-oxo-2H-1,4-benzoxazin-2-yl]-\_rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-43-0 CAPLUS
CN Benzenecarboximidamide, 3-{4-{5-{(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-6-{trifluoromethyl}-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-46-3 CAPLUS
CN 2H-1,4-Benzoxazine-6-carboxylic acid, 2-[3-{aminoiminomethyl}phenyl]-4-[5[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-, methyl
ester, rei- [9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-47-4 CAPLUS

RB Benzenecarboximidamide, 3-[6-cyano-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry

RN 244619-48-5 CAPLUS
CN 2H-1,4-Benzoxezine-6-carboximidamide, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2R,65)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-, rel-(9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244619-49-6 CAPLUS 2H-1, 4-Benzoxazine-6-carboximidamide, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-N-hydroxy-3-oxo-, rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

244619-50-9 CAPLUS 2H-1, 4-Benzoxazine-6-carboximidic acid, 2-{3-{aminoiminomethyl}phenyl}-4-{5-{2R,6S}-2,6-dimethyl-1-piperidinyl}pentyl}-3,4-dihydro-3-oxo-, bydrazide, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244619-53-2 CAPLUS
Benzenecarboximidamide, 3-[6-{aminomethyl}-4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry

244619-54-3 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-nitro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Page 3227/06/2005

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244619-51-0 CAPLUS
2H-1,4-Benzoxazine-6-carboxamide, 2-[3-(aminoiminomethyl)phenyl}-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-52-1 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-(hydroxymethyl)-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel-(9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244619-55-4 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-hydroxy-3-oxo-2H-1,4-benzoxazin-2-yl]-,rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-56-5 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-methoxy-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-57-6 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[{2R,68}-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-6-(phenylmethoxy)-2H-1,4-benzoxazin-2-yl]-, rel-(SCI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-58-7 CAPLUS
CN Acetic acid, {[2-[3-(aminoiminomethyl)phenyl]-4-[5-{(2R,68)-2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]oxy]-, rel-(9C1) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-59-B CAPLUS
Propanoic. acid, 3-[[2-[3-{aminoiminomethyl)phenyl}-4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]oxyl-, rel- (9CI)
(CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

Relative stereochemistry.

RN 244619-63-4 CAPLUS
Entancic acid, 4-[(2-[3-(aminoiminomethyl)phenyl]-4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]amino]-, rel- (9C1) (CA INDEX NAME)

Relative stereochemistry.

Page 3327/06/2005

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-60-1 CAPLUS
Substance acid, 4-[[2-{3-(aminoiminomethyl)phenyl]-4-{5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]oxyl-, rel- (9Cl) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-61-2 CAPLUS
CN Glycine, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-64-5 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-6-(2-hydroxyethoxy)-3-oxo-2H-1,4-benzoxazin-2-yl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-65-6 CAPLUS
CN Benzenecarboximidamide, 3-(4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl)]-3,4-dihydro-3-oxo-6-(1H-tetrazol-5-ylmethoxy)-2H-1,4-benzoxazin-2-yl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-66-7 CAPLUS Benzenecarboximidemide, 3-[6-amino-4-[5-[{2R,6S}-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-67-8 CAPLUS

Benzenecarboximidamide, 3-[6-(butylamino)-4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel-(9CI)
(CA INDEX NAME)

Relative stereochemistry.

RN 244619-68-9 CAPLUS
CN Benzenecarboximidamide, 3-[6-[dimethylamino]-4-[5-[(2R,6S]-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- [9CI] (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-71-4 CAPLUS
CN Acetamide, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry

RN 244619-72-5 CAPLUS
CN Cyclohexanecarboxamide, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

Page 3427/06/2005

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-69-0 CAPLUS

Benzenecarboximidamide, 3-[4-[5-{(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-6-(phenylamino)-2H-1,4-benzoxazin-2-yl]-, rel<sup>±</sup> (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-70-3 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-6-[(phenylmethyl)amino}-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Cont

RN 244619-73-6 CAPLUS
CN Cyclohexaneacetamide, N-{2-{3-(aminoiminomethyl)phenyl}-4-{5-{(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl}-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-74-7 CAPLUS
CN Benzamide, N-[2-{3-{aminoiminomethyl}phenyl}-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)phenyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

RN 244619-75-8 CAPLUS Benzeneacetamide, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]-, rel- [9CI] (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244619-76-9 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)]-3,4-dihydro-6-[(methylsulfonyl)amino)-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-77-0 CAPLUS Benzenecarboximidamide, 3-[6-[(cyclohexylsulfonyl)amino]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244619-80-5 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,6s)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-6-[([phenylmethyl)sulfonyl]amino]-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-81-6 CAPLUS Benzenezhourmidamide, 3-[(2R)-4-[5-((2R,6S)-2,6-dimethyl-1-piperidimyl]penzyl-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)-4-methoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

Page 3527/06/2005

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

(CH2) 5

244619-78-1 CAPLUS

Benzenecarboximidamide, 3-[6-[[(cyclohexylmethyl)sulfonyl)amino]-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244619-79-2 CAPLUS
Benzenecarboximidamide, 3-[4-[5-[(2R,68)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-6-[(phenylsulfonyl)amino]-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244619-82-7 CAPLUS
Benzenecarboximidamide, 3-[(25)-4-[5-[(2R,65)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-methoxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

244619-83-8 CAPLUS

Benzenecarboximidamide, 3-[{2R}-4-[5-[{2R,6S}-2,6-dimethyl-1-piperidinyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-hydroxy-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

244619-84-9 CAPLUS Benzenecarboximidamide, 3-[(2R)-4-{5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-85-0 CAPLUS
CN Benzenecarboximidamide, 3-[(2S)-4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 244619-86-1 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(diethylamino)pentyl]-2-phenyl- (9CI)
(CA INDEX NAME)

RN 244619-87-2 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 2-phenyl-4-[5-(1-pyrrolidinyl)pentyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-91-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(2-chlorophenyl)-4-(5-(2,5-dimethyl-1-pytrolidinyl)pentyl)- (9C1) (CA INDEX NAME)

RN 244619-92-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(2-chlorophenyl)-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl- (9CI) (CA INDEX NAME)

RN 244619-93-0 CAPLUS
CN 4H-1,4-Benzoxazine-4-pentanimidamide, 2,3-dihydro-3-oxo-2-phenyl- (9CI)
(CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244619-88-3 CAPLUS
CN | H-Isoindole-1,3(2H)-dione, 2-[5-(2,3-dihydro-3-oxo-2-phenyl-4H-1,4-benzoxazin-4-yl]pentyl]- (9CI) (CA INDEX NAME)

RN 244619-89-4 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(1H-imidazol-1-y1)penty1]-2-phenyl-(9CI) (CA INDEX NAME)

RN 244619-90-7 CAPLUS
CN 2H-1,4-Benzoxazin-3{4H}-one, 2-(4-chlorophenyl)-4-{5-(2,6-dimethyl-1-piperidinyl)pentyl}- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244619-94-1 CAPLUS
CN 2H-1,4-Benzoxezin-3(4H)-one, 2-phenyl-4-[5-{1-piperidinyl}pentyl]- (9CI)
(CA INDEX NAME)

RN 244619-95-2 CAPLUS (N-14-Benzoxazin-3(4H)-one, 2-(4-chlorophenyl)-4-{5-(2,5-dimethyl-1-pytrolidinyl)pentyl)- (SCI) (CA INDEX NAME)

N 244619-96-3 CAPLUS N 2H-1,4-Benzoxazin-3(4H)-one, 2-phenyl-4-(5-(1-pyrrolidinyl)pentyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CRN 244619-87-2 CMF C23 H28 N2 O2

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

2

CRN 76-05-1 CMF C2 H F3 O2

244619-98-5 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[6-(2,5-dimethyl-1-pyrrolidinyl)hexyl]-2-phenyl- (9CI) (CA INDEX NAME)

244620-00-6 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(2-naphthalenyl)-4-{5-(1-piperidinyl)pentyl}- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-04-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 8-chloro-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9C1) (CA INDEX NAME)

244620-05-1 CAPLUS
2H-1,4-Benzoxazine-6-carbonitrile, 3,4-dihydro-3-oxo-2-phenyl-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-07-3 CAPLUS
2.6-Piperidinedione, 1-[5-(2,3-dihydro-3-oxo-2-phenyl-4H-1,4-benzoxazin-4-yl)pentyl)- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-01-7 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-aminopentyl)-2-phenyl- (9CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>5</sub>-NH<sub>2</sub>

244620-02-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 6-methyl-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9CI) (CA\_INDEX\_NAME)

244620-03-9 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 7-methoxy-2-phenyl-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

244620-08-4 CAPLUS 4H-1,4-Benzoxazine-4-propanenitrile, 2,3-dihydro-3-oxo-2-phenyl- (9CI) (CA INDEX NAME)

244620-09-5 CAPLUS 4H-1,4-Benzoxazine-4-butanenitrile, 2,3-dihydro-3-oxo-2-phenyl- (9CI) (CA INDEX NAME)

244620-10-8 CAPLUS HH-1,4-Benzoxazine-4-pentanenitrile, 2,3-dihydro-3-oxo-2-phenyl- (9CI) (CA INDEX NAME)

244620-11-9 CAPLUS Guanidine, [3-12,3-dihydro-3-oxo-2-phenyl-4H-1,4-benzoxazin-4-yl)propyl]-(9CI) (CA INDEX NAME)

Page 3727/06/2005

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-12-0 CAPLUS Guanidine, [5-(2,3-dihydro-3-oxo-2-phenyl-4H-1,4-benzoxazin-4-yl)pentyl)-(9C1) (CA INDEX NAME)

244620-13-1 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-(4-methoxyphenyl)-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-14-2 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 7-methyl-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9C1) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244620-19-7 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 2-(4-methoxyphenyl)-4-[5-(1-piperazinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-20-0 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-hydroxyphenyl)-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-21-1 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-15-3 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 5-methyl-2-phenyl-4-[5-(1-piperidinyl)pentyl]-(9C1) (CA INDEX NAME)

244620-16-4 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-methoxy-2-phenyl-4-{5-(1-piperidinyl)pentyl)- (9CI) (CA INDEX NAME)

244620-18-6 CAPLUS
2H-1,4-Benzoxatin-3(4H)-one, 6-chloro-2-phenyl-4-{5-(1-piperidinyl)pentyl}-(SCI) (CA INDEX NAME)

(Continued)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-22-2 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-methoxyphenyl)-4-[5-(4-methyl-1-piperazinyl)pentyl]- (9CI) (CA INDEX NAME)

244620-23-3 CAPLUS
Benzonitrile, 4-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

244620-24-4 CAPLUS
Benzenecarboximidamide, 4-[4-[5-[2,6-dimethyl-l-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- [9CI] (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244620-25-5 CAPLUS
CN Benzeneczhothioamide, 4-{4-{5-{(2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yll- (9CI) (CA INDEX NAME)

RN 244620-27-7 CAPLUS
CN Benzenecarboximidamide, 4-[4-[5-{2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy- (9CI) (CA INDEX NAME)

RN 244620-28-8 CAPLUS
CN Benzenecarboximidic acid, 4-(4-[5-(2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, hydrazide (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244620-34-6 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-2(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

RN 244620-35-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(3,4-dimethoxyphenyl)-4-(5-(2,6-dimethyl-1-piperidinyl)pentyl)- (9CI) (CA INDEX NAME)

RN 244620-36-8 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(4-bromophenyl)-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244620-29-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 6-amino-2-phenyl-4-[5-(1-piperidinyl)pentyl](9C1) (CA INDEX NAME)

RN 244620-30-2 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-(3,4-dimethoxyphenyl)(9C1) (CA INDEX NAME)

RN 244620-31-3 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopenty1)-2-(3,4,5-trimethoxypheny1)(9C1) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Contin

RN 244620-37-9 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 8-methyl-2-phenyl-4-[5-(1-piperidinyl)pentyl](9C1) (CA 1NDEX NAME)

RN 244620-38-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-2-[4[(phenylmethyl)amino]phenyl]- (9CI) (CA INDEX NAME)

RN 244620-39-1 CAPLUS (4H)-one, 2-(4-methoxypheny1)-4-(5-(2,2,6,6-tetramethy1-1-piperidiny1)-penty1)- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244620-41-5 CAPLUS CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-(3,4-dichlorophenyl)-(9CI) (CA INDEX NAME)

RN 244620-43-7 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-(3,4-dichlorophenyl)-4-(5-(2,2,6,6-tetramethyl)-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 244620-47-1 CAPLUS
CN Piperidine, 1-{5-[2,3-dihydro-2-(4-methoxyphenyl)-3-oxo-4H-1,4-benzoxazin-4-yl}-1-oxopentyl)-2,2,6,6-tetramethyl- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Con

RN 244620-50-6 CAPLUS
CN 2H-1,4-Benzoxezin-3(4H)-one, 2-[5-(aminomethyl)-2-hydroxyphenyl]-4-[5-(2,6-dimethyl)-1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

RN 244620-51-7 CAPLUS
CN 2H-1, 4-Benzoxazin-3(4H)-one, 2-[3-(aminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-phenyl)phenyl]-4-[5-(2,6-dimethyl-1-phenyl)phenyl]-4-[5-(2,6-dimethyl-1-phenyl)phenyl]-4-[5-(2,6-dimethyl-1-phenyl)phenyl]phenyl]-4-[5-(2,6-dimethyl-1-phenyl)phenyl]phenyl]phenyl

RN 244620-52-8 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-7-methyl-3-oxo-2H-1,4-benzoxazin-2-yl)- (9CI) (CA INDEX NAME)

RN 244620-53-9 CAPLUS

Page 4027/06/2005

(Continued)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

RN 244620-48-2 CAPLUS CN Benzenecarboximidamide, 3-[4-[4-(2,6-dimethyl-1-piperidinyl)butyl]-3,4-dinydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

RN 244620-49-3 CAPLUS
CN Benzenecarboximidamide, 3-[4-[6-{2,6-dimethyl-1-piperidinyl}hexyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
CN Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-methoxy- (9CI) (CA INDEX NAME)

RN 244620-54-0 CAPLUS
CN 2H-1,4-Benzoxazin-3(4H)-one, 2-[5-(aminomethyl)-2-methoxyphenyl]-4-[5-(2,6-dimethyl)-1-piperidinyl)pentyl]- (SCI) (CA INDEX NAME)

RN 244620-55-1 CAPLUS

Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy-4-methoxy- (9CI) (CA INDEX NAME)

RN 244620-56-2 CAPLUS CN Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-N-hydroxy- (9CI) (CA INDEX NAME)

RN 244620-57-3 CAPLUS

BenzenecarboxLmidamide, 3-[7-chloro-4-[5-[2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- [9CI] (CA INDEX NAME)

RN 244620-58-4 CAPLUS : CN 2H-1,4-Benzoxazin-3(4H)-one, 4-(5-bromopentyl)-2-phenyl- (9CI) (CA INDEX NAME)

RN 244620-59-5 CAPLUS
CN Acetamide, N-[2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-6-yl]- (9CI) (CA

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

RN 244620-64-2 CAPLUS
CN Benzenecarboximidamide, 3-[4-[5-[2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-methyl- (9CI) (CA INDEX NAME)

RN 244620-65-3 CAPLUS
CN 2H-1,4-Benzoxazine-6-acetic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

RN 244620-68-6 CAPLUS
CN 2H-1,4-Benzoxazine-7-carboxylic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-(9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) INDEX NAME)

RN 244620-60-8 CAPLUS

Acetamide, N-[2-[5-(aminoiminomethyl)-2-hydroxyphenyl]-4-[5-[2,6-dimethyl-l-piperidinyl]pentyl]-3,4-dihydro-2-methyl-3-oxo-2H-1,4-benzoxazin-6-yl]-(9CI) (CA INDEX NAME)

RN 244520-63-1 CAPLUS CN Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-hydroxy- (9CI) (CA INDEX NAME)

L7 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 244620-69-7 CAPLUS
CN Benzenecarboximidamide, 3-{3,4-dihydro-3-oxo-4-{4-(2-pyridinylamino)butyl}-2H-1,4-benzoxazin-2-yl}- (9CI) (CA INDEX NAME)

RN 244620-70-0 CAPLUS CN 2H-1,4-Benzoxazine-7-carboxylic acid, 2-{3-{aminoiminomethyl}phenyl}-4-{5-(2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-, methyl ester (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 244620-71-1 CAPLUS Benzenecarboximidamide, 3-{4-{5-(dihexylamino)pentyl}-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

(CH2)5-Me (CH2)5-N-(CH2)5-Me

244620-72-2 CAPLUS
Benzenecarboximidamide, 3-[3,4-dihydro-4-[4-{methyl-2-pytidinylamino}butyl]-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME) RN CN

244620-73-3 CAPLUS
Morpholine, 4-[[3-[4-[5-{2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]phenyl]iminomethyl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-76-6 CAPLUS
Pentitol, 5-[2-[3-(aminoiminomethyl)phenyl]-2,3-dihydro-3-oxo-4H-1,4-benzoxazin-4-yl)-1,2,5-trideoxy-1-(2,6-dimethyl-1-piperidinyl)- (9CI) (CA INDEX NAME)

CH2 CH<sub>2</sub> сн- он CH2

244620-78-8 CAPLUS
2H-1,4-Benzoxazine-6-carboxylic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo- (9CI) (CA INDEX NAME)

244620-81-3 CAPLUS
Benzenecarboximidamide, 3-[4-(5-aminopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-74-4 CAPLUS
Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[4-(2-pyrimidinylamino)butyl]-2H-1,4-benzoxazin-2-yl]- (9C1) (CA INDEX NAME)

244620-75-5 CAPLUS
Benzenecarboximidamide, 3-[4-[4-(cyclohexylamino)butyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-82-4 CAPLUS 2H-1,4-Benzoxazine-6-carboxylic acid, 2-[3-(aminoiminomethyl)phenyl]-4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-, methyl ester (9CI) (CA INDEX NAME)

244620-84-6 CAPLUS
Benzenecarboximidamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)-3-pentenyl]3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244620-87-9 CAPLUS
4-Thiazolecarboxylic acid, 3-acetyl-2,3-dihydro-2-(2-methoxyphenyl)-,
4-cyano-2-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl}-3,4-dihydro-3-oxo-2H1,4-benzoxazin-2-yl|phenyl ester (9CI) (CA INDEX NAME)

244621-17-8 CAPLUS
2H-1, 4-Benroxazine-6-carboximidamide, 3.4-dihydro-N-hydroxy-3-oxo-2-phenyl-4(-5-(1-p)peridinyl)pentyl]- (9CI) (CA INDEX NAME)

244621-18-9 CAPLUS 2H-1,4-Benzoxazine-6-carboximidamide, 3,4-dihydro-3-oxo-2-phenyl-4-[5-(1-piperidinyl)pentyl]- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244621-32-7P 244621-33-BP 244621-34-9P
244621-42-9P 244621-43-0P 244621-44-1P
244621-45-2P 244621-52-1P 244621-53-2P
244621-54-3P 244621-55-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of benzoxazinones and -thiazinones as serine protease inhibitors)
244621-32-7 CAPLUS
Benzonitrile, 3-[4-(5-bromopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

244621-33-8 CAPLUS
BENZONITILE, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-, rel- (9CI) (CA INDEX NAME)

RN 244621-34-9 CAPLUS Page 4327/06/2005 ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

244621-19-0 CAPLUS
Benzenecarbothioamide, 3-[4-[5-(2,6-dimethyl-1-piperidinyl)pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]- (9CI) (CA INDEX NAME)

244621-20-3 CAPLUS Benzenecarboximidamide, 3-[3,4-dihydro-3-oxo-4-[5-(tricyclo[3.3.1.13,7]dec-1-ylaminolpentyl)-2H-1,4-benzoxazin-2-yli-(9CI) (CA INDEX NAME)

244623-37-8 CAPLUS 2H-1,4-Benzoxazine-6-carbonitrile, 3,4-dihydro-3-oxo-2-phenyl-2,4-bis(5-(1-piperidinyl)pentyl)- (9CI) (CA INDEX NAME)

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Benzenecarboximidamide, 3-{4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl}-N-[(trifluoroacetyl)oxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244621-43-0 CAPLUS
Benzonitrile, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1-piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-(phenylmethoxy)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244621-44-1 CAPLUS Benzenecarboximidamide, 3-[4-{5-[(2R,65)-2,6-dimethyl-1-

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) piperidinyl pentyl | -3, 4-dihydro-3-oxo-2H-1, 4-benzoxazin-2-yl | -N-hydroxy-4-(phenylmethoxy)-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244621-45-2 CAPLUS 244621-45-2 CAPLUS

Benzenecarboximidamide, 3-[4-[5-[(2R,6S)-2,6-dimethyl-1piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4(phenylmethoxy)-N-[(trifluoroacetyl)oxy]-, rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

244621-52-1 CAPLUS
Benzonitrile, 3-1(2S)-4-(5-bromopentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl)-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005.ACS on STN (Continued)
piperidinyl]pentyl]-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-N-hydroxy-4(phenylmethoxy)- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT 11

ANSWER 13 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

244621-53-2 CAPLUS
Benzonitrile, 3-[(2s)-3,4-dihydro-4-(5-iodopentyl)-3-oxo-2H-1,4-benzoxazin-2-yl)-4-(phenylmethoxy)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

244621-54-3 CAPLUS
Benzonitrile, 3-[(23)-4-(5-((2R,6S)-2,6-dimethyl-1-piperidinyl)pentyl)-3,4-dihydro-3-oxo-2H-1,4-benzoxazin-2-yl]-4-(phenylmethoxy)- (9CI) (CA INDEX

Absolute stereochemistry.

244621-55-4 CAPLUS Benzenecarboximidamide, 3-[(2S)-4-[5-[(2R,6S)-2,6-dimethyl-1-

L7 ANSWER 14 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Jul 1999
AB A study of the reaction of Ph magnesium bromide with various
N-(cyanomethyl)oxazolidines showed that product formation (essentially
3-imidazolines and 2-aminomorpholines) is highly sensitive to the
substitution pattern and stereochem., and appears to involve initial
complexation of the Grighard reagent to ring-oxygen.
ACCESSION NUMBER: 1999:44846 CAPLUS
COCCUMENT NUMBER: 131:199648
Substitution and stereochemical effects in the
reactions of combined aminonitrile-oxazolidines with a
Grighard reagent
Le Bail, Marc: Perard, Joelle: Aitken, David J.;
Husson, Henri-Philippe
CORPORATE SOURCE: Laboratoire de Chimat Therapeutique associe au CNRS,
Faculte des Sciences Pharmaceutiques et biologiques,
Universite Rene Descartes, Paris, 75270, Fr.
Tetrahedron Letters (1999), 40(29), 5309-5313
CODEN: TELEAY; ISSN: 0040-4039
Elsevier Science Ltd.
Journal
English

DOCUMENT TYPE: LANGUAGE:

NENT TYPE: Journal
SURGE: Journal
241825-84-3P 241825-86-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(substitution and stereochem. effects in the reactions of
N-(cyanomethyl)loxazolidines with a Grignard reagent)
241825-84-3 CAPLUS
2-Morpholinamine, 2,5-diphenyl-4-(1-phenylbutyl)-, (25,5R)- (9CI) (CA
INDEX NAME)

Absolute stereochemistry.

241825-86-5 CAPLUS 2-Morpholinamine, 3-methyl-2,5-diphenyl-4-(1-phenylbutyl)-, (25,3R,5R)-(9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 29 Jun 1999
The synthesis, pharmacol. and toxicol. of derivs. of 1-(2-arylmorpholino)3-phenyl-1-propanone oxime and related anilides are described. The
structures of the new compds. were proved by IR, IH NMR and occasionally
with 13C NMR. The acute coxicity of the compds. in mice was determined A
comparative pharmacol. study of the in vivo effect on the central nervous
system was realized by screening tests on pentobarbital-induced sleeping
time, locomotor activity, and behavior despair test for antidepressive
activity. The most active compound was 1-(2-phenylmorpholino)-3-phenyl-3propanone oxime which showed low toxicity and antidepressive activity at a
dose of 1/10 LDS0.
SSION NUMBER: 1999:400879 CAPLUS

ACCESSION NUMBER: DOCUMENT NUMBER:

TITLE:

AUTHOR (S) :

1999:400879 CAPLUS
131:111361
Synthesis, toxicological and pharmacological
assessment of morpholino oximes
Avramova, Petya D.; Danchev, N. D.; Buyukliev, R. T.
Department Pharmaceutical Chemistry, Faculty Pharmacy,
Sofia, 1000, Bulg.
Pharmazie (1999), 54(6), 409-411
CODEN: PHARAT: ISSN: 0031-7144
GOVI-Verlag Pharmazeutischer Verlag
Journal CORPORATE SOURCE:

SOURCE:

PUBLISHER

DOCUMENT TYPE:

232613-47-7P

232613-47-7P

RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation, toxicity, and antidepressive activity of morpholinopropiophenone oximes)

232613-47-7 CAPLUS

1-Propanone, 3-{2-(4-chlorophenyl)-4-morpholinyl)-1-phenyl-, oxime (9CI) (CA INDEX NAME)

232613-46-6P 232613-48-8P
RL: ADV (Adverse effect, including toxicity); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation, toxicity, and antidepressive activity of morpholinopropiophenone oximes)
232613-46-6 CAPLUS

1-Propanone, 1-phenyl-3-(2-phenyl-4-morpholinyl)-, oxime (9CI) (CA INDEX

L7 ANSWER 15 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

232613-52-4 CAPLUS 1-Propanone, 3-(2-(4-bromophenyl)-4-morpholinyl]-1-phenyl-, hydrochloride (9C1) (CA INDEX NAME)

232613-50-2P

RI: SPN (Synthetic preparation); PREP (Preparation) (preparation, toxicity, and antidepressive activity of morpholinopropiophenone oximes) 232613-50-2 CAPLUS

Morpholinium, 2-(4-chlorophenyl)-4-methyl-4-[3-oxo-3-(phenylamino)propyl), iodide (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 15 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

232613-48-8 CAPLUS
1-Propanone, 3-[2-[4-bromophenyl]-4-morpholinyl]-1-phenyl-, oxime [9CI]
(CA INDEX NAME)

220464-90-4 232613-51-3 232613-52-4

RL: RCT (Reactant): RACT (Reactant or reagent)
(preparation, toxicity, and antidepressive activity of morpholinopropiophenone oximes)
220464-90-4 CAPLUS
1-Propanone. 3-[2-(4-chlorophenyl)-4-morpholinyl]-1-phenyl-, hydrochloride (CA INDEX NAME)

● HCl

232613-51-3 CAPLUS 1-Propanone, 1-phenyl-3-(2-phenyl-4-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 16 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 15 Apr 1999

AB A new pathway for the synthesis of 2-(1-hydroxyalkyl)- and
2-[1-(arylamino)alkyl] morpholines via α-hydroxy- or
α-aminoalkylation of 3-morpholinones, followed by reduction with LiAlH4
of the intermediate compds. to the target substituted morpholines, is
described.

ACCESSION NUMBER: 1999:232336 CAPLUS
DOCUMENT NUMBER: 131:5228

TITLE: A new synthesis of 2-1 body statements.

1999:232336 CAPLUS
131:5228
A new synthesis of 2-(1-hydroxyalkyl)- and
2-(1-aminoalkyl)morpholines via 3-morpholinones
Dobrev, Alexander; Nechev, Lubomir; Ivanov, Christo;
Bon, Maryse
Faculty of Chemistry, University of Sofia, Sofia,
1126, Bulg.
JOURNAL OF CHEMICAL Research, Synopses (1999), (3),
188-189, 1001-1047
CODEN: URPSDC; ISSN: 0308-2342
Royal Society of Chemistry
JOURNAL
Foreign Control of Chemistry
JOURNAL
Foreign Control
Foreign Co AUTHOR (S):

CORPORATE SOURCE:

SOURCE:

PUBLISHER:

DOCUMENT TYPE: LANGUAGE: English CASREACT 131:5228 OTHER SOURCE (S):

16187-72-7

RE: RCT (Reactant): RACT (Reactant or reagent)
(preparation of 2-(1-hydroxyalky1)- and 2-(1-aminoalky1)morpholines via
3-morpholinones)
16187-72-7 CAPLUS

3-Morpholinone, 4-butyl-6-phenyl- (8CI, 9CI) (CA INDEX NAME)

225506-58-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of 2-(1-hydroxyalkyl)- and 2-(1-aminoalkyl)morpholines via
3-morpholinones)
225506-58-1 CAPLUS

3-Morpholinone, 4-butyl-2-(hydroxyphenylmethyl)-6-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 52 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 17 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 09 Apr 1999
AB The preparation of two new bisoxazolidines, two N-(2-hydroxyethyl)-Nalkylglycine derivs. and two morpholones is described. The structure of
[55,68]-N-isopropyl-5-methyl-6-phenyl-1,4-oxazin-2-one was established by
X-ray crystallog. anal.
ACCESSION NUMBER: 1999:220867 CAPLUS

1999:220867 CAPLUS 130:338074

DOCUMENT NUMBER: TITLE: AUTHOR(S):

ADVISOR OF STATEMENT OF THE STATEMENT OF CORPORATE SOURCE: SOURCE:

CODEN: SYNCAV; ISSN: 0039-7911

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUJGE: English

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of)

RN 224447-58-9 CAPLUS

CN 2-Morpholinone, 4-(2,2-dimethylpropyl)-5-methyl-6-phenyl-, (5S,6R)- (9CI)

(CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 18 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (9CI) (CA INDEX NAME)

● HCl

155138-22-0P 155138-23-1P 220464-90-4P
220464-92-6P
RL: BRC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of aryl(arylpropyl)morpholines as MAO-inhibiting antidepressants)
155138-22-0 CAPLUS
1-Propanone, 1-(4-bromophenyl)-3-(3-methyl-2-phenyl-4-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

155138-23-1 CAPLUS 1-Propanone, 1-(4-bromophenyl)-3-[2-(4-chlorophenyl)-4-morpholinyl]-, hydrochloride (9C1) (CA INDEX NAME)

ANSWER 18 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 03 Feb 1999
The synthesis of 2-aryl-4-(3-arylpropyl)morpholines, is described. Acute
toxicity studies of the compds. were performed on mice. A comparative
pharmacol. study of the in vivo effects on the central nervous system was
undertaken using screening tests for hexobarbital induced sleeping time,
locomotor activity, and behavior despair (for antidepressive activity).
The most active compound, 4-(2-benzoylethyl)-2-pehsyl-3-methylmorpholine,
was studied for MAO-A and MAO-B inhibition in rat brain mitochondria
prepns.

prepns.
ACCESSION NUMBER:

TITLE:

AUTHOR (S):

CORPORATE SOURCE:

SOURCE :

PUBLISHER

DOCUMENT TYPE: LANGUAGE:

was studied for MAO-A and MAO-B inhibition in rat brain matochondria prepns.

SSION NUMBER: 1999:72677 CAPLUS

MENT NUMBER: 130:168308

LE: Synthesis, toxicological, and pharmacological assessment of derivatives of 2-aryl-4-(3-arylpropyl)morpholines

AVRAMOVA, Tatiana

ORATE SOURCE: Department Pharmaceutical Chemistry, Faculty Pharmacy, Sofia, 1000, Bulg.

Archiv der Pharmazie (Weinheim, Germany) (1998), 331(11), 342-346

CODEN: ARRMAS: ISSN: 0365-6233

LISHER: Wiley-VcH Verlag GmbH

JUAGE: English

IS5138-24-27 220464-91-5F

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent) (preparation of aryl (arylpropyl)morpholines as NAO-Inhibiting antidepressants)

155138-24-2 CAPLUS

1-Propanone, 1-(4-bromophenyl)-3-[2-(4-bromophenyl)-4-morpholinyl]-, hydrochloride (9CI) (CA INDEX NAME)

220464-91-5 CAPLUS 1-Propanone, 3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenyl-, hydrochloride

ANSWER 18 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

220464-90-4 CAPLUS
1-Propanone, 3-(2-(4-chlorophenyl)-4-morpholinyl)-1-phenyl-, hydrochloride
(9CI) (CA INDEX NAME)

- сн2- сн2

220464-92-6 CAPLUS 4-Morpholinepropanol,  $\alpha$ ,2-bis(4-bromophenyl)- (9CI) (CA INDEX NAME)

155138-20-8P 155138-21-9P 220464-93-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of aryl(arylpropyl)morpholines as MAO-inhibiting antidepressants)
155138-20-8 CAPLUS
1-Propanone, 1-(4-chlorophenyl)-3-(3-methyl-2-phenyl-4-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 18 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HC1

155138-21-9 CAPLUS
1-Propanone, 1-(4-chlorophenyl)-3-[2-(4-chlorophenyl)-4-morpholinyl]-, hydrochloride (9CI) (CA INDEX NAME)

220464-93-7 CAPLUS 4-Morpholinepropanol, 3-methyl-a,2-diphenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 20 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 21 Mar 1998

AB Pyrido[3,2-b]oxazinones I (R = H, Ph; R1 = H, 4-F, 3-CF3; n = 2, 3, 4, 5)
were prepared, pharmacol. evaluated, and compared with acetylaslicylic acid.
The compound with the maximal combination of safety and analgesic efficacy
was I (R = H, R1 = 4-F, n = 3) with ED50 values of 12.5 mg/kg po (mouse:
phenylquinone writhing test) and 27.8 mg/kg po (rat: acetic acid writhing
test). This compound proved to be more active than aspirin with a safety
index of 5.1.

ACCESSION NUMBER: 1998:168432 CAPLUS
DOCUMENT NUMBER: 128:244026
TITLE: Substituted pyrido[3,2-b]oxazin-3(4H)-ones: synthesis
and evaluation of antipocicaptive activity.

AUTHOR (S):

1998:168432 CAPLUS
129:244026
Substituted pyrido{3,2-b]oxazin-3(4H)-ones: synthesis and evaluation of antinociceptive activity
Savelon, L.; Bizot-Espiard, J. G.; Caignard, D. H.; Pfeiffer, B.; Renard, P.; Visud, M. C.; Guillaumet, G. Institut de Chimle Organique et Analytique, associe au CNRS, Universite d'Orleans, 40567, Fr. Bioorganic 4 Medicinal Chemistry (1998), 6(2), 133-142 CODEN: BMECP: ISSN. 0968-0896
Elsevier Science Ltd.
Journal
English CORPORATE SOURCE:

SOURCE:

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

204916-57-49

204916-57-49
RL: BAC (Biological activity or effector, except adverse); BSU (Biological Study, unclassified); SPN (Synthetic preparation); BIOL (Biological Study); PREP (Preparation) (preparation) (preparation and antinociceptive activity of) 204916-57-4 CAPLUS 24-Pyrido(3,2-b)-1,4-oxazin-3(4H)-one, 2-phenyl-4-(4-(4-phenyl-1-piperazinyl)butyl)- (9CI) (CA INDEX NAME)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

Page 4727/06/2005

L7 ANSWER 19 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 19 Nov 1998
AB We report herein an efficient and practical synthetic method for the preparation of enantiomerically pure 2-[(2R)-ary]morpholin-2-y]]ethanols, key intermediates of tachykinin receptor antagoniat. Sharpless catalytic asym. dihydroxylation was employed to introduce the required absolute stereochem., and cyclization was accomplished by the Mitsunobu reaction.

ACCESSION NUMBER: 1998:733430 CAPLUS
130:66453
TITLE: An efficient synthesis of enantiomerically pure 2-[(2R)-ary]morpholin-2-y]]ethanols, key intermediates of tachykinin receptor antagonist
Nishi, Takahide: Ishibashi, Koki; Nakajima, Katsuyoshi: Iio, Yukiko: Fukazawa, Tetsuya
Medicinal Chemistry Research Laboratories, Sankyo Co., Ltd., Tokyo, 140-8710, Japan
Tetrahedron: Asymmetry (1998), 9(18), 3251-3262
FUBLISHER: Elsevier Science Ltd.
DOUNDENT TYPE: Journal

DOCUMENT TYPE:

LANGUAGE:

English CASREACT 130:66453 OTHER SOURCE(S): 218292-45-6P

Z18292-45-6 RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of enantiomerically pure (arylmorpholinyl)ethanols) 218292-45-6 CAPLUS 2-Morpholineethanol, 2-{3,4-dichlorophenyl}-4-{{2R}-3,3,3-trifluoro-2-methoxy-1-oxo-2-phenylpropyl}-, (2R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 20 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(Reactant or reagent)
(prepn. and antinociceptive activity of pyrido[3,2-b]oxazin-3(4H)-ones)
204916-45-0 CAPLUS
2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-(4-bromobuty1)-2-pheny1- (9CI)
(CA INDEX NAME)

(CH<sub>2</sub>) 4

REFERENCE COUNT: THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 19 Mar 1998

AB The title compds. [I: R1 = C1-8 alkyl, C3-8 cycloalkyl, etc.; R2 = C1-4 alkyl; R3 = H, C1-5 alkyl, etc.; R4 = H, C1-6 alkyl, etc.; R5, R6 = H, (un)substituted C1-5 alkyl, etc.; ptical isomers, pharmaceutically acceptable salts, hydrates, or solvates thereof, are prepared I have a potent phosphodiesterase (PDE) IV inhibitory activity, bronchodilatory and anti-inflammatory activities. Thus, 2-(2-chloroacetamido)-1-(3,4-dimethoxyphenyl)ethanol (preparation given) was treated with KOH in ECOH to give 51.44 I (R1 = R2 = Me. R3 = R4 = R5 = R6 = H), which showed ICSO of 3.7 X 10-5 M against PDE IV. Formulation containing I are also prepared ACCESSION NUMBER: 1998:163576 CAPLUS
DOCUMENT NUMBER: 128:204892
TITLE: Preparation of 2-phenylmorpholin-5-one derivatives as phosphodiesterase IV inhibitors
INVENTOR(S): Ina, Shinji Yamana, Kenjiro; Noda, Kyoji Nikken Chemicals Co., Ltd., Japan
COODEN PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

	PAT	TENT	NO.			KIN	DATE	APPLICATION NO.	DATE
	WO	9808	828			A1	19980305	WO 1997-JP2970	19970826
		W:	CA,	US					
		RW:	AT,	BE,	CH,	DE,	DK, ES, FI,	FR, GB, GR, IE, IT,	LU, MC, NL, PT, SE
	EΡ	9242	04			A1	19990623	EP 1997-935890	19970826
		R:	CH,	DE,	FR,	GB,	IT, LI		
	CA	2264	685			С	20021015	CA 1997-2264685	19970826
	CA	2264	685			AA	19980305		
	JP	1012	0665	,		A2	19980512	JP 1997-244834	19970827
	US	6265	402			B1	20010724	US 1999-242818	19990225
PRIO	RIT	APP	LN.	INFO	. :			JP 1996-242542	A 19960827
								WO 1997-JP2970	W 19970826

MARPAT 128:204892

R SOURCE(S): MARKH: 16.0.000-2

200114-88-05

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2-phenylmorpholin-5-one derivs. as phosphodiesterase IV

ET ANSWER 22 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 24 Oct 1997

AB Phenmetrazine is a central nervous system stimulant and is currently used as an anotectic agent. The drug is abused and reported to cause death from overdose. We describe a liquid-liquid extraction protocol for phenmetrazine

from urine using 1-chlorobutane and subsequent derivatization using perfluorooctanoyl chloride for gas chromatog -mass spectrometric confirmation. Quantitation of urinary phenmetrazine can be easily achieved by using N-propylamphetamine as an internal standard The perfluorooctanoyl derivative of phenmetrazine showed a weak mol. ion at m/z 573 and a characteristic strong peak at m/z 467 in the electron ionization mass spectrometry thus aiding unambiguous identification. The perfluorooctanoyl derivative of the internal standard did not show any mol. ion,

perfluorocotanoyl derivative of the internal standard did not show any mol.

ion,

but showed strong characteristic peaks at m/z 482 and 440. The within run

and between run precisions of the assay were 1.78 and 3.28 at a urinary

phenmetrazine concentration of 20 μg/mL. The within run and between run

precisions were higher (9.48 and 10.88) at a urinary phenmetrazine

concentration

of 1.0 μg/mL, which was very close to the detection limit of the assay.

The assay was linear for urinary phenmetrazine concentration of 1 to 100 μg/mL

with a detection limit of 0.5 μg/mL.

ACCESSION NUMBER:

1997-675131 CAPPLUS

DOCUMENT NUMBER:

127:315616

Determination of phenmetrazine in urine by gas

chromatography-mass spectrometry after liquid-liquid

extraction and derivatization with perfluorocctanoyl

chloride

AUTHOR(S):

Dasqueta, Amitava; Mahle, Christina E.

Clinical Chemistry and Toxicology Laboratories,

Albuquerque, NM, USA

SOURCE:

JOURNAL of Forensic Sciences (1997), 42(5), 937-941

CODEN: JFSCAS; ISSN: 0022-1198

American Society for Testing and Materials

JOURNAL SCHOOL SCH

PUBLISHER: DOCUMENT TYPE: LANGUAGE:

197714-82-2

197714-92-2
RL: PRP (Properties)
(phenmetrazine determination in urine by gas chromatog.-mass spectrometry

liquid-liquid extraction and derivatization with perfluorooctanoyl chloride)
197714-82-2 CAPIUS
Morpholine, 3-methyl-4-(2,2,3,3,4,4,5,5,6,6,7,7,8,8,8-pentadecafluoro-1oxooctyl)-2-phenyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT:

THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 21 OF 87 CA 204014-88-0 CAPLUS CAPLUS COPYRIGHT 2005 ACS on STN

(Continued)

3-Morpholinone, 4-butyl-6-[3-(cyclopentyloxy)-4-methoxyphenyl]- (9CI) (CA

REFERENCE COUNT:

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 23 Of 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 13 Sep 1997

AB The title compds. (I; W = N-containing heterocyclyl; Y = single bond, lower alkylene, alkenylene, or alkynylene having CO optionally; Z = lower alkylene; R1, R2 = H, lower alkyl, etc.; R3, R4 = H, lower alkyl, alkenyl, alkynyl, aryl, etc.) are prepared I, possessing tumor necrosis factor inhibitory (NFKB) activity, are useful for prevention and treatment of inflammatory, virus, and autoimmunity diseases. Thus, 2-(4-chlorophenyl)-4-(3-piperazinopropyl)-2, 3-dihydro-1, 4-benzothiazin-3-one (preparation given) was reacted with 1,3-dimethyl-8-(3-bromopropyl)xanthin to give 73% the title compound (II). I were tested and showed inhibitory activity against luciferase.

ACCESSION NUMBER: 197:1507158 CAPLUS
DOCUMENT NUMBER: 127:190751
TITLE: Preparation of xanthin derivatives as necrosis factor inhibitors.

Preparation of xanthin derivatives as necrosis factor inhibitors

inhibitors Sugiura, Masaki; Sugita, Nachisa; Sakurai, Hiroaki; Ozeki, Masakatsu; Kotado, Shinichi Tanabe Seiyaku Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 17 pp. CODEN: JXXXAF Patent INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> PATENT NO. KIND DATE APPLICATION NO. DATE JP 09227561 JP 1996-33297 19960221 A2 19970902

```
L7 ANSWER 23 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
PRIORITY APPLN. INFO.:
JP 1996-33297 19960221
OTHER SOURCE(S):
HARPAT 127:190751

19426-43-2P 194426-45-4P
R1: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SPN (Synthetic preparation): THU (Therapeutic use):
BIOL (Biological study): PREP (Preparation): USES (Uses)
(preparation of xanthin derivs. as necrosis factor inhibitors)
RN 194426-43-2 CAPLUS
CN 1H-Purine-2.6-dione, 8-[3-[4-(3-[2-(4-chlorophenyl)-2,3-dihydro-3-oxo-4H-
1,4-benzoxazin-4-yljpropyl]-1-piperazinyl]propyl]-3,7-dihydro-1,3-dimethyl-
(2E)-2-butenedioate (1:1), monohydrate (9CI) (CA INDEX NAME)
                                     CRN 194426-42-1
CMF C31 H36 C1 N7 O4
                                                                   2
      Double bond geometry as shown.
                                          € CO2H
     HO2C
                                        194426-45-4 CAPLUS
1H-Purine-2,6-dione, 8-[3-[4-[3-[2-(4-chlorophenyl)-2,3-dihydro-3-oxo-4H-
1,4-benzoxazin-4-yl]propyl]-1-piperazinyl]propyl]-3,7-dihydro-1-methyl-3-
(2-methylpropyl)-, (2E)-2-butenedioate (1:2) (9CI) (CA INDEX NAME)
                                        CRN 194426-44-3
CMF C34 H42 C1 N7 O4
                                      ANSWER 24 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 17 Aug 1996
               Y - (CRR)_{p} - A - (CRR)_{q} - A_{r}^{r1} - B - A_{r}^{r2}
                                                                                                                                                               (R1)n (R2)m
AB This invention relates to a class of novel dicarboxy amide derivs. of lipophilic amines I wherein: A is O, S, NR, SO, SO2, or a bond; B is (CRR)1-2, O, S, NR, SO, SO2, Rc:CR, C.tplbond.C, CO, or a bond; Y is, e.g., RNZ(CRR)dCRR, N-Z-piperidyl, where Z is COMCR7((CRR)dCOZ)1(CRSR6)GCOZN]; W is a bond, (CRR)h, or NR; R = H, alkyl; Rl, R2 are independently H, alkyl, alkoxy, OH, halo, haloalkyl, Ph; R3-R6 are independently H, alkyl, alkoxy, OH, halo, haloalkyl, Ph; R3-R6 are independently H, alkyl, R7 is H, NRR, or OH and when W is (CRR)h then R7 is OH; one of R3-R7 is OH; Arl and Ar2 are independently a monor diaryl or heteroaryl; p and q are independently 0-3; p + q is 0-4; d is 0-3; p + q + d is 1-3; f is 0-2; g is 0-2; h is 1-2; m and n are independently 0-2; which exhibit squalene synthase inhibition properties. Compds. of this invention reduce levels of serum cholesterol in the body without significantly reducing mevalonic metabolite synthesis. This invention relates also to pharmacol. compns. and method of treatment for lowering serum cholesterol levels using the compds. of this invention. Thus, e.g., coupling of prepared intermediates 3-hydroxy-3-(4-naphth-2-ylphenyl)piperidine with 3-hydroxy-3, 4-bis(ethoxycarbonyl)butanoic acid afforded the diester intermediate which was hydrolyzed to the diaryl carbamoyl alkanediolc acid II which exhibited inhibition of squalene synthase with ICSO = 27 nM.

ACCESSION NUMBER: 1996:488781 CAPLUS

DOCUMENT NUMBER: 1996:488781 CAPLUS

DOCUMENT NUMBER: 125:142750

PATENT ASSIGNEE(S): Pauls, Henry W.; Choi, Yong-Mi; Studt, Robert W.; Maguire, Martin P.; Spada, Alfred P.; Cha, Don D. Rhone-Poulenc Rorer Pharmaceuticals Inc., USA PCT Int. Appl.. 55 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:
     FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
```

C1

C1

C1

C1

CH2)3

CM 2

CRN 110-17-8

CMF C4 H4 04

Double bond geometry as shown.

HO2c

E C02H

IT 194426-64-79

RI. ECT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of xanthin derivs. as necrosis factor inhibitors)

RN 194426-64-7 CAPLUS

CN 2H-1, 4-Benzoxazin-3 (4H)-one, 2-(4-chlorophenyl)-4-[3-(1-piperazinyl)propyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 24 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
W0 9618615 A1 19960620 W0 1995-US15364 19951129
W: AL, AM, AT, AU, BB, BG, BR, BY, CA, CN, CZ, DE, DK, EE, ES, FI, GB, CB, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MM; MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ
RN: KE, LS, MM, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NS, SS, TD, TG
US 5556990 A 19960620 CA 1995-2207429 19951129
AU 9643698 A1 19960703 AU 1996-4357481 19941216
CA 2207429 AA 19960703 AU 1996-43698 19951129
AU 693852 B2 19980827
EP 801644 A1 19971022
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, UN, NL, SE, PT, IE
JP 10511084 T2 19981027 JP 1995-942489 19951129
RCOTHER SOURCE(S): MARPAT 125:142750
IT 179821-70-6P
RL: BAC (Biological activity or effector, except adverse): BSU (Biological study, unclassified): SRN (Synthetic preparation): TRIU (Therapeutic use):
BIOL (Biological study): PREP (Preparation): USES (Uses)
(polyarylcarbamoylaza- and -carbamoylalkanedioic acids as squalene synthase inhibitors)
RN 179821-70-6 CAPLUS
CN Butenedioic acid, 2-hydroxy-2-[2-[2-methyl-2-[4-(2-naphthalenyl)phenyl]-4-morpholinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

L7 ANSWER 25 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 04 Nov 1995
GI For diagram(s), see printed CA Issue.
AB The photog. material comprises an ether compound I (Arl, Ar2 = aryl, heterocyclic group; A = nonmetallic group forming 6-membered ring). The photog. material may further contain a hydroquinone derivative and/or tocopherol derivative It provides an image with improved light-stability and with good dye fading balance.
ACCESSION NUMBER: 1995:396729 CAPLUS
DOCUMENT NUMBER: 1995:396729 CAPLUS
TITLE: Silver halids ---

1995:896729 CAPLUS
124:71465
Silver halide color photographic material containing
diaryloxane derivative to improve dye stability
Morigaki, Masakazu: Negoro, Masayuki
Fuji Photo Film Co Ltd. Japan
Jpn. Kokai Tokkyo Koho, 33 pp.
CODEN: JKXXAF
Patent
Japanese
1

INVENTOR(S):
PATENT ASSIGNEE(S):
SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

DATE PATENT NO. KIND APPLICATION NO. JP 07199430 A2 19950804 JP 1993-349813 19931228
PRIORITY APPLM. INFO.: JP 1993-349813 19931228
TT 17196-54-3
RL: DEV (Device component use); MOA (Modifier or additive use); USES (Uses)
(Ag halide color photog. material containing diaryloxane derivative to

dye stability)
171969-54-3 CAPLUS
Morpholine, 2,6-bis(4-methylphenyl)-4-octyl- (9CI) (CA INDEX NAME)

L7 ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN PRIORITY APPLN. INFO.: JP 1994-81217 JP 1993-98057

### JP 1993-98057 19930423

OTHER SOURCE(S): MARPAT 123:198811

IT 167848-19-3F 167848-20-6F 167848-21-7P
167848-30-8F 167848-33-9F 167848-22-0P
167848-30-8F 167848-33-9F 167848-32-0P
167848-33-1F 167848-38-6F 167848-39-7P
167848-40-0P

RL: RCT (Reactant): SPN (Synthetic preparation): PREP (Preparation): RACT (Reactant or reagent)
(intermediate for preparation of phenylmorpholine and -thiomorpholine derivs. as inhibitors of aldose reductase and synthesis of thromboxane A2)

A2) 167848-19-3 CAPLUS 4-Morpholineacetic acid, 2-(3-chlorophenyl)- $\alpha$ -ethyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

167848-20-6 CAPLUS 4-Morpholineethanol, 2-(3-chlorophenyl)-β-ethyl- (9CI) (CA INDEX NAME)

167848-21-7 CAPLUS 4-Morpholineethanol,  $\beta$ -ethyl-2-phenyl- (9CI) (CA INDEX NAME)

си2-он

167848-22-8 CAPLUS 2,4-Thiazolidinedione, 5-[{4-[2-[2-(3-chloropheny1)-4-morpholinyl]butoxy|phenyl]methyl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 15 Sep 1995

AB The title compds. [I; Rl - R5 = H, linear or branched Cl-5 alkyl or alkoxy, halo, HO, CF3; R6 = H, linear or branched Cl-5 alkyl: A = O, S; B = single bond, O, S; m = 1-5 integer: X = N, CH: Y = NH, O, S; Z = H, (CH2)RCO2R7; wherein R7 = H, linear or branched Cl-5 alkyl: n = 1-5 integer], useful for the treatment and prevention of hyperlipidemia, hyperglycemia, obesity, hypertension, osteoporosis, thrombus, and complications of diabetes, are prepared Thus, 5-(4-hydroxybenzyl)-3-triphenylmethylthizolidine-2,4-dione was condensed with Z-(3-chlorophenyl)-4-(2-hydroxy)-1-methylethylmorpholine by using PPh3 and di-Et arodicarboxylate in benzene at room temperature to give, after treatment with CF3CO2H, a title compound (II). II at I mg/kg body weight).

ACCESSION NUMBER: 1295:792597 CAPLUS
DOCUMENT NUMBER: 1395:792597 CAPLUS
TITLE: derompton 10 2-phenylmorpholine and -thiomorpholine derivatives as hypolipidemias and hypoglycemias Yoshioka, Takao, Fujita, Takeshi; Alzawa, Julchi; Kanai, Tautomu; Sano, Hiromi; Horikoshi, Hiroyoshi; Fujiwara, Toshihiko
SANKYO CO, Japan
DOCUMENT TYPE: Patent
LANGUAGE: DACUMENT TYPE: Patent
LANGUAGE: Japanese
FRMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO DATE JP 07002848 19950106 JP 1994-81217 19940420

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

167848-23-9 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[2-(2-phenyl-4-morpholinyl)butoxy]phenyl]meth
yl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 2-A

167848-29-5 CAPLUS
4-Morpholineacetic acid, 2-(3-chlorophenyl)-5-oxo-α-propyl-, ethylester (ΘCI) (CA INDEX NAME)

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

167848-30-8 CAPLUS
4-Morpholineethanol, 2-(3-chlorophenyl)-β-propyl- (9CI) (CA INDEX NAME)

но- сн2

167848-31-9 CAPLUS 4-Morpholineethanol, 2-phenyl-β-propyl- (9CI) (CA INDEX NAME)

сн2-он

167848-32-0 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[[2-[2-(3-chlorophenyl)-4-morpholinyl]pentyl]oxy]phenyl]methyl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

167848-33-1 CAPLUS 2,4-Thiazolidinedione, 5-[[4-[[2-(2-phenyl-4-morpholinyl)pentyl]oxy]phenyl | methyl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

167848-40-0 CAPLUS
2,4-Thiazolidinedione, 5-[{4-[3-[2-(3-chlorophenyl)-4-morpholinyl]propoxy]phenyl]methyl]-3-(triphenylmethyl)- (9CI) (CA INDEX NAME)

167847-89-4P 167847-90-7P 167847-93-OP
167847-94-IP 167847-97-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of phenylmorpholine and -thiomorpholine derivs. as inhibitors of aldose reductase and synthesis of thromboxane A2 for drugs)
167847-89-4 CAPLUS
2,4-Thiazolidinedione, 5-[[4-[2-[2-(3-chlorophenyl)-4-morpholinyl]butoxy]phenyl]methyl]- (SCI) (CA INDEX NAME)

167847-90-7 CAPLUS 2,4-Thiazolidinedione, 5-[(4-[2-(2-phenyl-4-morpholinyl)butoxy]phenyl]meth yl]- (9CI) (CA INDEX NAME)

L7 ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

PAGE 1-A

167848-38-6 CAPLUS
4-Morpholinepropanoic acid, 2-(3-chlorophenyl)-5-oxo-, ethyl ester (9CI)
(CA INDEX NAME)

167848-39-7 CAPLUS 4-Morpholinepropanol, 2-(3-chlorophenyl)- (9CI) (CA INDEX NAME)

ANSWER 26 OF 87 . CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

167847-93-0 CAPLUS
2,4-Thiazolidinedione, 5-{[4-[[2-[2-(3-chlorophenyl)-4-morpholinyl]pentyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

167847-94-1 CAPLUS 2,4-Thiazolidinedione, 5-[[4-{[2-(2-phenyl-4-morpholinyl)pentyl]oxy]phenyl]methyl]- (9CI) (CA INDEX NAME)

ANSWER 26 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

167847-97-4 CAPLUS
2,4-Thiazolidinedione, 5-{{4-{3-{2-(3-chlorophenyl)-4-morpholinyl}propoxy}phenyl}methyl}- (9CI) (CA INDEX NAME)

ANSWER 27 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

155138-22-0 CAPLUS 1-Propanone, 1-(4-bromophenyl)-3-(3-methyl-2-phenyl-4-morpholinyl)-, hydrochloride (9C1) (CA INDEX NAME)

155138-23-1 CAPLUS 1-Propanone, 1-(4-bromophenyl)-3-{2-(4-chlorophenyl)-4-morpholinyl}-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 27 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Jun 1994

155138-21-9 CAPLUS
1-Propanone, 1-(4-chlorophenyl)-3-(2-(4-chlorophenyl)-4-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 27 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

155138-24-2 CAPLUS 1-Propanone, 1-(4-bromopheny1)-3-[2-(4-bromopheny1)-4-morpholiny1]-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 28 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 19 Mar 1994
A A dynamic chiral stationary phase for the ligand exchange chiral liquid chromatog. was prepared by tentatively loading (15,28)-N,N-carboxymethyl dodecylnocephedrine monosodium salt prepared from (15,28)-nocephedrine onto a com. reverse phase octadecyl-silica gel column and successfully used for the resolution of various amino acids without derivatization. The retention of the 2 enantiomers of amino acids on the column is significantly influenced by the organic modifier content, Cu(II) concentration and pH of the mobile phase. However, the enantioselectivity is significantly influenced mainly by the organic modifier content in the mobile phase. Based on the resolution trends of 2 enantiomers, a chiral recognition model concenting the enantioselective formation of ternary complex from the fixed ligand, amino acids and Cu(III) was proposed.

ACCESSION NUMBER: 1994:152651 CAPLUS
DOCUMENT NUMBER: 1994:152651 CAPLUS
DOCUMENT NUMBER: 10:152651
DOLUMENT TYPE: Journal of Liquid Chromatography (1993), 16(15), 3249-61
CODEN: JUCHDB; ISSN: 0148-3919
DOCUMENT TYPE: LANGUAGE: English

IT 153083-04-2P
RL: RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study);

LANGUAGE: IT 153083-84-2P

153083-84-2P
RL: RCT (Reactant); SPN (Synthetic preparation); ANST (Analytical study);
PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring cleavage of)
153083-84-2 CAPLUS
2-Morpholinone, 4-dodecyl-5-methyl-6-phenyl-, (SR-cis)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 29 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

ANSWER 29 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 19 Mar 1994

AB Title compds. I [R1, R2 = H, alkyl, cycloalkyl, (un)substituted Ph, (un)substituted phenylalkyl, etc.; A = alkylene; X = S, O; R3, R4 = H, alkyl, alkenyl, alkynyl, (un)substituted phenylalkyl, etc.) are prepared E.g., NaBHA was added to a solution of 1-amino-2-naphthalenethiol in EtOH, the resulting mixture was stirred for 30 min, AcOH, NaOAc, and Me a-bromo-4-chlorophenylacetate were added, and the resulting mixture was stirred at room temperature overnight to give 3-(4-chlorophenyl)-1H-naphtho[2,1-b][1,4]thiazin-2-one, which was treated with 1-bromo-3-chloropropane in 96k KOH containing DMSO at room temperature overnight to give 3-(4-chlorophenyl)-1-(3-chloropropyl)-1H-naphtho[2,1-b][1,4]thiazin-2(3H)-one, which was refluxed with Et2NH in acetone containing NaI and K2COS overnight to give I [R1 = 4-chlorophenyl, R2 = H, X = S, A = (CH2)3, R3 = R4 = Et]. In an in vitro study I [R1 = 4-chlorophenyl, R2 = H, X = S, A = (CH2)3, R3 = R4 = Et] casalte (also prepared) allowed only 51.8% calcium to enter brain synaptosomes vs. 96% for the control.

ACCESSION NUMBER: 1994:134498 CAPLUS

DOCUMENT NUMBER: 120:134498

TITLE: Pereparation of naphthothiazine analogs as calcium blockers

INVENTOR(S): Oozeki, Masakatsu; Kotado, Shinichi; Yasuda, Kosuke; Kudo, Koji; Maeda, Kayoko

PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan

SOURCE: CODEN: JXXXAF

DOCUMENT TYPE: Patent

LANGURGE: Patent

LANGURGE: Japan Samples

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND 19920806

ANSWER 30 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 05 Mar 1994

AB Title compds. [I; R1 = (Ph-substituted) dialkylamino, (substituted) pyrrolidino, piperidino, hexamethylenimino, etc.; R2 = H, alkyl; R3 = (substituted) Ph, naphthyl, indanyl, quinolyl, 1,2,3,4-tetrahydroquinolyl, isoquinolyl, carbazotyl, dibenzoturyl, etc.], were prepared Thus, 4-nitrophenylalanine was converted in several steps to 4-amino-3-nitrophenylalanine was converted in several steps to 4-amino-3-nitrophenylalanine was converted in several steps to 6-independent of the product was hydrogenated in HCO2H over Pd/C to give 4-amino-N-[1-(1H-benzimidazol-5-ylmethyl)-2-(4-methylpiperidin-1-yl)-2-oxoethyl-3,5-dichlorobenzenesulfonmide. I showed ED200 of 1.7 - 9.2 µM in a test of thrombin-induced blood coagulation.

ACCESSION NUMBER: 1994:107744 CAPIUS
DOCUMENT NUMBER: 1994:107744 CAPIUS
TITLE: 120:107744 Preparation of benzimidazolylalaninamides as antithrombotics
Binder, Klaus; Mueller, Thomas; Zimmermann, Rainer PATENT ASSIGNEE(S): Dr. Karl Thomae GmbH, Germany
COOEN: EPXXDW
DOCUMENT TYPE: Dr. Karl Thomae GmbH, Germany
EUR. Patent InfoRMATION: Patent
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 555824	A1	19930818	EP 1993-102052	19930210
R: AT, BE, CH,	DE, DK	, ES, FR,	GB, GR, IE, IT, LI, LU,	NL, PT, SE
DE 4204270	A1	19931104	DE 1992-4204270	19920213
US 5391556	A	19950221	US 1993-14598	19930208
AU 9332968	A1	19930819	AU 1993-32968	19930211
AU 663556	B2	19951012		
CA 2089466	AA	19930814	CA 1993-2089466	19930212
NO 9300517	A	19930816	NO 1993-517	19930212
HU 63624	A2	19930928	HU 1993-385	19930212
JP 06016648	A2	19940125	JP 1993-24205	19930212
ZA 9300975	A	19940812	ZA 1993-975	19930212
IL 104703	A1	19970713	IL 1993-104703	19930212
RIORITY APPLN. INFO.:			DE 1992-4204270	A 19920213
THER SOURCE (S):	MARPAT	120:10774	14	
152134-83-32				

132134-83-39
RI: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation of, as antithrombotic)
132134-83-3 CAPLUS
Morpholine, 4-[2-[(4-amino-3,5-dichlorophenyl)sulfonyl]amino]-3-(1H-benzimidazol-5-yl)-1-oxopropyl]-2-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 30 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 31 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

151518-92-2 CAPLUS
1H-Naphth[2,1-b][1,4]oxazine, 3-(4-chlorophenyl)-1-(3-(dimethylamino)-1-oxopropyl)-2,3-dihydro-, ethanedioate (9CI) (CA INDEX NAME)

CM 1

CRN 151259-24-4 CMF C23 H23 C1 N2 O2

CM 2

ANSWER 31 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 25 Dec 1993

AB The title compds. I [R1, R2 = H, (un)substituted lower alkyl, cycloalkyl, (un)substituted Ph, etc: A = alkylene group: X = S, O: R3, R4= H. (un)substituted lower alkyl, alkenyl, etc] as Ca antagonists are prepared I and salts thereof are effective for the prevention and treatment of cerebral ischemia, symptoms due to cerebral nerve damage, convulsion, and/or epilepsy. For example, 3-(4-chlorophenyl)-1-(dicthylaminoacetyl)-2,3-dihydro-1H-nephtho(2,1-b)[1,4]thiazine was prepared and its antiepileptic activity was tested with mice.

ACCESSION NUMBER: 1993:662529 CAPLUS

DOCUMENT NUMBER: 1993:662529 CAPLUS

INVENTOR(S): Nophthoxazines and naphthothiazines as calcium antagonists

OOZeki, Masakatsu; Kotado, Shinichi; Yasuda, Kosuke; Kudo, Koji: Maeda, Kayoko

PATENT ASSIGNEE(S): Tanabe Seiyaku Co, Japan

DOCUMENT TYPE: Patent

LANGUAGE: JONANAF

Patent

Japanese

DOCUMENT TYPE: LANGUAGE: LANGUAGE: Japanese FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE A2 19930803 JP 1992-226344 JP 05194235 A2
PRIORITY APPLN. INFO::
OTHER SOURCE(S): MARPA
IT 151259-24-4P 151518-92-2P 19920709 JP 1991-276092 A1 19910725

MARPAT 119:262529

151259-24-4P 151518-92-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as calcium antagonist)
151259-24-4 CAPLUS
1H-Mapht[2,1-b] [1,4] oxazine, 3-(4-chlorophenyl)-1-[3-(dimethylamino)-1-oxopropyl)-2,3-dihydro- (9CI) (CA INDEX NAME)

L7 ANSWER 32 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 24 Jan 1993
AB The influence of the hydroxazine derivative PSI on blood serum levels of sex and gonadotropic hormones were studied in male rabbits. One hour after i.v. administration, serum levels of testosterone and prolactin were increased, but returned to normal during the second hour. PSI did not influence estradiol, progesterone, PSH, and LH levels. The aphrodisiac activity of PSI is discussed.

ACCESSION NUMBER: 1993:16129 CAPLUS
DOCUMENT NUMBER: 118:16129
Effects of a hydroxazine derivative on serum levels of sex and gonadotropic hormones in male rabbits
TITLE: Stfects of a hydroxazine derivative on serum levels of sex and gonadotropic hormones in male rabbits
TIATIONOV. I.; Milanov, S.; Danchev, N.
NIFF, MA, Sofia, Bulg.
CODDE: FMTYAZ; ISSN: 0428-0296
DOCUMENT TYPE: Journal
Bulgarian

CODEN: FMTYA2; ISSN: 0428-0296

DOCUMENT TYPE: Journal
LANGUAGE: Bulgarian

IT 17278-53-2

RL: BIOL (Biological study)
(blood serum hormones responses to, as male aphrodisiac)

RN 117278-53-2 CAPLUS

CN 1-Propanone, 2-methyl-3 (2-methyl-2, 3-diphenyl-4-morpholinyl)-1-phenyl(9CI) (CA INDEX NAME)

ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 05 Sep 1992

AB Some substituted 3-phenylmorpholines I (Ar = 2-, 3-MeOC6H4, 2-, 3-ClC6H4, 3-O2NC6H4, 2-, 3-HOC6H4) and 3-thienylmorpholines I (Ar = 2-, 3-thienyl), isosteres of 3-(3-hydroxyphenyl)-N-n-propylpiperidine (3-PP), were prepared and submitted to binding assays on D-2 dopaminergic and 5-HT1 and 5-HT2 serotonergic receptors, in comparison with 3-PP and its analog 1-propyl-3-(2-hydroxy/methoxyphenyl)piperidine. Thus, ArcOMe (Ar = 3-02NC6H4, 2-, 3-thienyl) were brominated to BrCHZCOAR which cyclized with HO(CH2)2NH(CH2)2Ne to give I. The results show the loss of D-2 affinity for all morpholines, while a certain activity was still observable for piperidine derivs. Regarding the serotonergic affinity, only I (Ar = 2-, 3-MeOC6H4, 2-, 3-ClC6H4) were moderately active on the 5-HTIA receptor.

ACCESSION NUMBER: 1992:490221 CAPLUS
DOCUMENT NUMBER: 1992:490221 CAPLUS
DOCUMENT NUMBER: 1992:490221 CAPLUS
TITLE: Oxygen isosteric derivatives of 3-(3-hydroxyphenyl)-N-n-propylpiperidine
AUTHOR(S): Perrone, Roberto: Berardi, Francesco: Leopoldo, Marcello: Tortorella, Vincenzo: Leopado, Marcello: Diniele, Eugenia: Gevoni, Stefano
CORPORATE SOURCE: Journal of Medicinal Chemistry (1992), 35(16), 3045-9 CODEN: JNCKAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal English
TI 142353-78-8P 142363-79-9P
RL: RCT (Reactant): SNN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

142363-78-89 142363-79-99
RE: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
[preparation and hydrogenation of)
142363-78-8 CAPLUS
Morpholine, 2-[2-(phenylmethoxy)phenyl]-4-propyl- (9CI) (CA INDEX NAME)

142363-79-9 CAPLUS
Morpholine, 2-[3-(phenylmethoxy)phenyl}-4-propyl- (9CI) (CA INDEX NAME)

L7 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

142363-70-0 CAPLUS

3-Morpholinone, 6-(3-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-71-1P 142363-72-2P 142363-73-3P
142363-74-4P 142363-82-4P 142363-83-5P
142363-84-6P 142363-85-7P
RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation and serotoninergic receptor affinity of)
142363-71-1 CAPLUS
Morpholine, 2-(2-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-72-2 CAPLUS
Morpholine, 2-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-73-3 CAPLUS
Morpholine, 2-(2-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-74-4 CAPLUS Morpholine, 2-(3-chlorophenyl)-4-propyl- (9CI) (CA INDEX NAME)

Page 5527/06/2005

ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

142363-67-5P 142363-68-6P 142363-69-7P
142363-70-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reduction of)
142363-67-5 CAPLUS
3-Morpholinone, 6-(2-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)



42363-68-6 CAPLUS -Morpholinone, 6-(3-methoxyphenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-69-7 CAPLUS 3-Morpholinone, 6-{2-chlorophenyl}-4-propyl- (9CI) (CA INDEX NAME)

ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

142363-82-4 CAPLUS Morpholine, 2-(2-methoxyphenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

• HCl

142363-83-5 CAPLUS Morpholine, 2-(3-methoxyphenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

142363-84-6 CAPLUS Morpholine, 2-(2-chlorophenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

142363-85-7 CAPLUS
Morpholine, 2-(3-chlorophenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

142363-75-5P 142363-80-2P 142363-81-3P 142363-86-BP 142363-89-1P 142363-90-4P 142363-91-5P 142363-92-6P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 142363-75-5 CAPUS Morpholine, 2-(3-nitrophenyl)-4-propyl- (9CI) (CA INDEX NAME)

142363-80-2 CAPLUS Phenol, 2-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

● HC1

142363-90-4 CAPLUS
Morpholine, 2-[3-(phenylmethoxy)phenyl]-4-propyl-, hydrochloride (9CI)
(CA INDEX NAME)

142363-91-5 CAPLUS
Phenol, 2-(4-propyl-2-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

142363-92-6 CAPLUS Phenol, 3-(4-propyl-2-morpholinyl)-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

142363-81-3 CAPLUS Phenol, 3-(4-propyl-2-morpholinyl)- (9CI) (CA INDEX NAME)

n-Pr

142363-86-8 CAPLUS
Morpholine, 2-(3-nitrophenyl)-4-propyl-, monohydrochloride (9CI) (CA

● HCl

142363-89-1 CAPLUS Morpholine, 2-(2-(phenylmethoxy)phenyl)-4-propyl-, hydrochloride (9CI) (CA INDEX NAME)

L7 ANSWER 33 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

● HCl

ANSWER 34 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 31 May 1992

CH (OH) CH2NHCMe3

AB Morpholine derivs. I {R = alkyl, cycloalkyl, (substituted) aralkyl, heterocyclylalkyl, etc.: Rl = (substituted) pyridyl, tetrazolopyridyl, etc.) are prepared cyclization of 5.6 g amino alc. II with ClCH2COCl in CH2Cl2 gave 2.16 g oxomorpholine derivative III (X = 0), which (2.09 g) was reduced with BMS-Me2S in THF under N to give 1.99 g morpholine derivative III (X = 2 H) (IV). Reduction of 1.66 g IV with Snc12.2H2O-HCl in MeOH gave 1.39 g I (R = Me3C, Rl = 6-amino-3-pyridyl), which was converted to its citrate salt. The daily doses of I were 0.01-1.0 mg/kg as animal growth promoters, 2-150 mg as bronchodilators, 200-1000 mg as antidepressants and antiobesity agents.

ACCESSION NUMBER: 1992:214513 CAPLUS
DOCUMENT NUMBER: 1992:214513 CAPLUS
DOCUMENT NUMBER: 116:214513

1992:214513 CAPLUS
116:214513
Preparation of morpholine derivatives as animal growth
promoters, bronchodilators, antidepressants, and
antiobesity agents
Fisher, Michael H.; Wyvratt, Matthew J.
Merck and Co., Inc., USA
U.s., 10 pp.
CODEN: USXXAM
Parent

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE US 5077290 A 19911231 US 5124328 A 19920623 PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 116:214513 IT 140690-68-2P 140690-69-3P 140690-70-6P 140690-71-7P 140690-72-8P 140690-73-9P 19901011 US 1990-597976 US 1991-767285

RL: SPN (Synthetic preparation); PREP (Preparation)

L7 ANSWER 34 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

140690-72-8 CAPLUS
Phenol, 3-{3-{2-16-amino-3-pyridinyl}-4-morpholinyl}-3-methylbutyl}- (9CI)
(CA INDEX NAME)

140690-73-9 CAPLUS Phenol, 4-[3-[2-(6-amino-3-pyridinyl)-4-morpholinyl]butyl}- (9CI) (CA INDEX NAME)

ANSWER 34 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
(prepn. of, as drug and animal growth promoter)
140690-68-2 CAPLUS
2-Pyridinamine, 5-[4-(1-methyl-3-phenylpropyl)-2-morpholinyl]- (9CI) (CA
INDEX NAME)

140690-69-3 CAPLUS 2-Pyridinamine, 5-[4-(1,1-dimethyl-3-phenylpropyl)-2-morpholinyl]- (9C1) (CA INDEX NAME)

140690-70-6 CAPLUS 2-Pyridinamine, 5-[4-[3-(3-methoxyphenyl)-1,1-dimethylpropyl]-2-morpholinyl]- (9C1) (CA INDEX NAME)

140690-71-7 CAPLUS
Phenol, 4-[3-[2-(6-amino-3-pyridinyl)-4-morpholinyl]-3-methylbutyl]- (9CI)
(CA INDEX NAME)

L7 ANSWER 34 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

141137-41-9 CAPLUS 2-Pyridinamine, 5-[4-(1,1-dimethylpropyl)-2-morpholinyl]- (9CI) (CA INDEX NAME)

AB AYNRIRZ [I; A = (substituted) N-heterocycle: Y = (substituted) C2-4
alkylene: R1, R2 = C2-6 alkyl: NRIR2 = (substituted) 5-7-membered
heterocycle containing optional O atom] were prepared A solution of 2.05 g
phthalimide derivative II in Et20 was added dropwise to a suspension of LiAlH4
in THF with cooling under N, and the mixture was refluxed 4 h to give 1.58 g
isoindoline derivative III which showed 80i inhibition of glutamic acid at 2
+ 10-4 M. Also prepared were 17 addnl. I and many salts.

ACCESSION NUMBER: 1991:247137 CAPLUS
DOCUMENT NUMBER: 114:247137
Preparation of nitrogen heterocyclic alkylamines as
glutamate antagonists
INVENTOR(S): Mazaki, Mitsuor Morifuji, Naoya: Hashimoto, Koichi:
Shinozaki, Atsuhiko
PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan
SOURCE: JOHN COUNT: JOHN COUNT: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: KIND DATE APPLICATION NO. DATE

PATENT NO. KIND DATE APPLICATION NO. DATE JP 03014562 A2 19910123 JP 1988-88611 198804
PRIORITY APPLN. INFO.: JP 1988-88611 198804
OTHER SOURCE(S): MARPAT 114:247137

13144-94-9F
R1: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as glutamate antagonist)
RN 134144-94-8 CAPLUS
CN Morpholine, 3-(3-methylbutyl)-2-phenyl-4-[3-(1-piperidinyl)propyl]-,
trans- (9CI) (CA INDEX NAME) 19880411

Relative stereochemistry.

66064-01-5 CAPLUS 4-Morpholinepropanol,  $\beta$ , 3-dimethyl- $\alpha$ , 2-diphenyl-, carbamate (ester) (9CI) (CA INDEX NAME)

17 ANSWER 35 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 37 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 06 Apr 1991

AB The neuropharmacol. effects of PS-1 (2,3-dimethyl-3-phenyl-trans-hydroxazinopropiphenone-HCl) were studied in mice and rats. PS-1 had stimulating properties of the amphetamine type. Unlike amphetamine, PS-1 did not induce drug dependence and withdrawal syndrome after a prolonged treatment.

ACCESSION NUMBER: 1991:114959 CAPLUS

DOCUMENT NUMBER: 114:114959

TITLE: Neuropharmacological study on a hydroxasinic derivative

1991:114959 CAPLUS
114:114959 Neuropharmacological study on a hydroxasinic
derivative
Ilarionov, I.: Bantutova, I.: Yakimova, K.
MA, Sofia, Bulg.
Eksperimentalna Meditsina i Morfologiya (1990), 29(2),
28-33
CODEN: EKNOMA8; ISSN: 0367-0643
JOURNAL

AUTHOR(S): CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE: LANGUAGE: IT 132412-71-

UAGE: Bulgarian
132412-71-6
RL: BIOL (Biological study)
(neuropharmacol of)
132412-71-6 CAPLUS
1-Propanone, 2-methyl-3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenyl- (9CI)
(CA INDEX NAME)

ANSWER 38 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 06 Jul 1990

AB Cyclocondensation reaction of 3,4-(MeO)2C6H3COCH2Br with HOCH2CH2NHR (R = Me, Et, Bu, CHMe2, cyclohexyl) in 99.7% HCO2H in 1:2:2 ratio at 180° for 15 h gave 25-62% title compds., I (same R), in yields which increased in the stated order of R.

ACCESSION NUMBER: 1990:406254 CAPLUS

DOCUMENT NUMBER: 113:6254

TITLE: Synthesis of 4-alkyl-2-(3,4-dimethoxyphenyl)morpholines

AUTHOR(S): Iordanova, K.; Danchev, D.

CORPORATE SOURCE: BMA, Sofia, Bulg.

SOURCE: COEN: PMITA2; ISSN: 0428-0296

DOCUMENT TYPE: LANGUAGE: OCHECASE BULGARIA COEN: SUBJECTION OF THE SOURCE(S): CASREACT 113:6254

CODEN: FMTYA2; ISSN: 0428-0296

DOCUMENT TYPE: Journal
LANGUAGE: Bulgarian
OTHER SOURCE(S): CASREACT 113:6254

T1 127978-73-0P

RI: SPN (Synthetic preparation): PREP (Preparation)
(preparation of, by cyclocondensation reaction of dimethoxyphenacyl bromide with ethanolamine derivative)

RN 127578-75-0 CAPLUS

CN Morpholine, 4-butyl-2-(3,4-dimethoxyphenyl)- (9CI) (CA INDEX NAME)

ANSWER 39 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN L7

126806-71-1 CAPLUS Morpholine, 4-butyl-2-phenyl-2-propoxy- (9CI) (CA INDEX NAME)

126806-72-2 CAPLUS
Morpholine, 2-butoxy-4-butyl-2-phenyl- (9CI) (CA INDEX NAME)

126806-92-6 CAPLUS
2-Morpholinol, 4-butyl-2-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

126806-93-7 CAPLUS Morpholine, 4-butyl-2-ethoxy-2-phenyl-, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 39 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 26 May 1990

AB The title compds. I [R1 = H, alkyl; R2 = Me, Bu; R2R3 = (CH2)4; R3, R4 = H; R3R4 = (CH2)4] were prepared by reaction of R4CH(OHICHRSMHRZ with BrCH2COPh, followed by 0-alkylation of the obtained hydroxymorpholines with excess alcs. I [R1 = Bu, R2 = Me, R3 = R4 = H) and I [R1 = Pr, R2R3 = (CH2)4, R4 = H) showed significant antinociceptive activity. I could be classified into 2 subgroups, according to their lipophilicity. Within the subgroups, R4 values from reversed phase thin-layer chromatog. were a reliable index of the lipophilic characteristics.

ACCESSION NUMBER: 1990:199268 CAPLUS

TITLE: Lipophilicity of some substituted morpholine derivatives synthesized as potential antinociceptive agents

AUTHOR(S): Rekka, Eleni: Retsas, Stavros: Demopoulos, Vassilis J.; Kourounakis, Panos N.

CORPORATE SOURCE: Sch Pharm., Univ. Thessaloniki, Thessaloniki, 540 06, Greece

Archiv der Pharmazie (Weinheim, Germany) (1990), 323(1), 53-6

CODEN: ARPHAS: ISSN: 0365-6233

DOCUMENT TYPE: Journal English

OTHER SOURCE(S): CASREACT 112:198268

IT 126806-79-7 126806-70-09 126806-71-1P
126806-79-7 126806-70-09 126806-71-1P
126806-79-7 126806-93-99

RL: SPM (Synthetic preparation): PREP (Preparation) (preparation and antinociceptive activity of)

RN 126806-69-7 CAPLUS

CN 2-Morpholinol, 4-butyl-2-phenyl- (9CI) (CA INDEX NAME)

126806-70-0 CAPLUS Morpholine, 4-butyl-2-ethoxy-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 39 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

● HC1

126806-94-8 CAPLUS Morpholine, 4-buty1-2-pheny1-2-propoxy-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

126806-95-9 CAPLUS Morpholine, 2-butoxy-4-butyl-2-phenyl-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

ANSWER 40 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 20 Aug 1989

AB The psychotropic effects of the title derivative (I; 18 and 30 mg/kg), a possible antidepressant, were studied in rats with learned helplessness (passivity) in avoidance tests with conditioned (sound, light) and unconditioned (electroshock) stimuli. The effects of I were compared with those of impramine. The percentage of escape reactions occurring during 30 daily tests was increased by both agents. The latency period between stimuli and escape reactions also decreased after single oral doses or repeated treatment for 15 days. The effect was more pronounced with the conditioned stimuli. I also had an aphrodisiac effect in mice in reversing learned conditioned reflex impotence.

ACCESSION NUMBER: 1989:450296 CAPLUS

DOCUMENT NUMBER: 1989:450296 CAPLUS

INfluence of a tetrahydroxazine derivative on conditioned and unconditioned reflex activity in experimental animals

AUTHOR(S): Ilarionov, I.; Danchev, N.

CORPORATE SOURCE: BMA, Sofia, Bulg.

SOURCE: Eksperimentalna Meditsina i Morfologiya (1989), 28(1), 5-3

5-9 CODEN: EKMMA8: ISSN: 0367-0643 Journal Bulgarian

DOCUMENT TYPE:

DOCUMENT ....
LINGUAGE: Buigaire..

IT 117276-53-2

RL: PRP (Properties)
 (psychotropic effects of, in conditioned and unconditioned reflex heavior)

behavior)
117278-53-2 CAPLUS
1-Propanone, 2-methyl-3-(2-methyl-2,3-diphenyl-4-morpholinyl)-1-phenyl-(9CI) (CA INDEX NAME)

ANSWER 41 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\begin{array}{c|c} & & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & & \\ & & \\ & & & \\ & &$$

ANSWER 41 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 21 Jan 1989

AB . The title compds. [I; A = CO, CH(OR) where in R = H, acyl, aroyl: Rl = alkyl, alkenyl, alkynyl, etc.; R2 = H, alkyl, aryl, aralkyl; R3 = H. alkyl; R4 = alkyl; X = H, halo, alkyl], useful as herbicides, are prepared Refluxing a mixture of 2.27 g amine derivative II with 1.7 g 2.3-dimethylmaleic anhydride in HOAc gave 1.7 g maleimide derivative II (Rl = Et, R2 = R3 = H, R4 = Me, A = CO), which showed >95% control of barnyard grass, etc., at 0.5 kg/ha with 100 damage to rice plants, vs. 50-90% damage with a reference compound ACCESSION NUMBER: 1899:23902 CAPLUS DOCUMENT NUMBER: 110:23902 Preparation of (dialkylmaleimido) benzoxazine derivatives as herbicides

INVENTOR (S):

1989:23902 CAPLUS
110:23902
Preparation of (dialkylmaleimido) benzoxazine derivatives as herbicides
Kume, Toyohiko; Goshima, Toshio; Kaji, Shuzo;
Yamaguchi, Naoko; Yanagi, Akihiko; Hayakawa, Hidenori;
Yaqi, Shigeki
Nihon Tokushu Noyaku Seizo K. K., Japan
Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF
Patent
Japanese
1

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PAT	TENT NO.			KIN	DATE		API	PLICATION NO.	DATE
JP	63014782			A2	19880121		JΡ	1986-157890	19860707
US	4729784			А	19880308		US	1987-65443	19870623
EΡ	255601			A2	19880210		ΕP	1987-109174	19870626
ΕP	255601			A3	19890308				
EΡ	255601			81	19910911				
	R: BE,	CH,	DE,	FR,	GB, IT, LI,	NL			
BR	8703423			A	19880322		BR	1987-3423	19870706

BR 8703423 A 19880322 BR 1987-3423 19870700
PRIORITY APPLN. INFO: JP 1986-157890 A 19860707
OTHER SOURCE(S): CASREACT 110:23902; MARRAT 110:23902
IT 118124-37-18
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as herbicide)
RN 18124-37-1 CAPPLUS
CN 1H-Pyriole-2, 5-dione, 1-{3,4-dihydro-3-oxo-2-pheny1-4-propy1-2H-1,4-benzoxazin-6-y1)-3,4-dimethyl- (9CI) (CA INDEX NAME)

ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 24 Dec 1988

AB The title compds. [I: R = H, Rl = halo; R = Rl = H, OH, Cl-3 alkoxy, PhCH2O; RRI = OCH2O; R2 = 1,3-dioxolanyl, R3; R3 = H, (un)substituted Ph; R4 = H1 Cl-6 alkyl, C3-6 alkenyl, PhCH2 BO(CH2)3, 4-MeOC6H4, substituted alkyl moieties Q-Q2; R5 = Cl-3 alkyl; n = 2,3; when R1 = halo, R4 H1 and their oxalate and dioxalate salts were prepared as cytoprotective agents, useful in reducing gastric acid secretion and in the prevention and treatment of ulcers. 3-F3CC6H4NH2 and Me2CHCH2CH2ONO [II] were stirred 24 h at room temperature in furan to give 2-[3-(trifluoromethyl)phenyl]furan which was refluxed with II in THE while 2-H2NCC6H4COH2 was added dropwise to give 1,4-epoxynaphthalene III. The latter was cleaved with 03, reduced to a diol with LiAlH4, esterified with MeSO2Cl, and cyclocondensed with PhhcH2NH2 to give I (R-R2 = H, R3 = 3-F3CC6H4), converted to its monoxalate salt (IV). In rats 25 mg IV/kg orally reduced EtOH-induced stomach mucosal and submucosal lesions 56%. At the same dose IV reduced gastric secretion in rats 71%.

ACCESSION NUMBER: 1988:631042 CAPLUS

DOCUMENT NUMBER: 1998:631042 CAPLUS

OCHEC STOR NUMBER: 1999:231042

Freparation of 1,5-epoxy-2,3,4,5-tetrahydro-1H-3-benzacepines and their use in treatment of ulcers wachter, Michael P.: Karanewsky, Donald S.

OTHO Pharmaceutical Corp., USA

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent

DOCUMENT TYPE: Patent

LANGUAGE: English

DOCUMENT TYPE: Patent English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

> APPLICATION NO. PATENT NO. KIND DATE

L7 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)
US 4761413 A 1980802 US 1986-945273 19861222
US 4855426 A 19809080 US 1988-181619 19880414
US 4910198 A 19900320 US 1989-358036 19890526
PRIORITY APPLN. INFO.: US 1986-945273 A3 19861222
US 1986-945273 A3 19861222
US 1986-945273 A3 19861222
US 1986-945273 A3 19861222
US 1986-945273 A3 19880414

OTHER SOURCE(S): CASREACT 109:231042: MARPAT 109:231042 .

IT 117572-80-5P 117572-948-FP 117572-95-7P
117572-80-9P 117572-99-3P
R1: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
BIOL (Biological study): PREP (Preparation); USES (Uses)
(prepn of, as ulcer inhibitor)
RN 117572-83-5 CAPLUS

RN 17572-83-5 CAPLUS

RN 17572-83-5 CAPLUS

RN 17572-83-5 CAPLUS

CA 1.5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-{3-(4-morpholinyl)propyl}-1-{3-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

N- (CH<sub>2</sub>)<sub>3</sub>-N

RN 117572-84-6 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-(4-morpholinyl)propyl]1-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1 CRN 117572-83-5 CMF C24 H27 F3 N2 O2

N- (CH<sub>2</sub>)<sub>3</sub>-N CF<sub>3</sub>

CRN 144-62-7

L7 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-1-phenyl-3-[3-[3-[4piperidiny]methyl)phenoxylpropyll- (9GI) (CA INDEX NAME)

RN 117572-95-9 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-1-phenyl-3-[3-[3-[1-piperidinylmethyl)phenoxy]propyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1 CRN 117572-94-8

0- (CH<sub>2</sub>) 3-N 0

CM 2 CRN 144-62-7

но- с- с- он

RN 117572-98-2 CAPLUS
CN 1.5-Epoxy-1H-3-benzazepine, 2.3,4,5-tetrahydro-3-{3-(4-morpholinyl)propyl}1.5-diphenyl- (9CI) (CA INDEX NAME)

N- (CH<sub>2</sub>) 3-N 0

RN 117572-99-3 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-(4-morpholinyl)propyl]1,5-diphenyl-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

L7 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

HO- C- C- OH

RN 117572-85-7 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-[3-(1-piperidinylmethyl)phenoxy]propyl)-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

F<sub>3</sub>C N— CH<sub>2</sub> O- (CH<sub>2</sub>)<sub>3</sub>—N O

RN 117572-86-8 CAPLUS
CN 1,5-Epoxy-1H-3-benzazepine, 2,3,4,5-tetrahydro-3-[3-[3-[1-piperidinylmethyl]phenoxy]propyl]-1-[3-(trifluoromethyl)phenyl]-, ethanedioate (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 117572-85-7 CMF C32 H35 F3 N2 O2

F<sub>3</sub>C N— CH<sub>2</sub> O- (CH<sub>2</sub>)<sub>3</sub> — N

> CM 2 CRN 144-62-7 CMF C2 H2 O4

но- с- с- он || || 0 о

RN 117572-94-8 CAPLUS

L7 ANSWER 42 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) CM  $\,$  1

CRN 117572+98-2 CMF C29 H32 N2 O2

CM 2

CRN 144-62-7 CMF C2 H2 O4

Î . Î

L7 ANSWER 43 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 25 Nov 1988
AB The compound 2-methyl-2,3-phenyl-3-methyltetrahydroxazine propiophenone hydrochloride was evaluated by by the following pharmacol. effects: antireserpine and antihaloperidol effects in the jumping test, annoratic effects, and local enesthetic action. ED50's and LD50's as well as therapeutic indexes of this compound were determined in comparison with d-amphetamine, imigramine, and phendimetrazine. The local anesthetic effect of the compound was most similar to the preparation of d-amphetamine.
ACCESSION NUMBER: 198:583400 CAPUS
DOCUMENT NUMBER: 198:583400 The CAPUS
Pharmacological studies on a tetrahydroxazinic

Pharmacological studies on a tetrahydroxazinic

AUTHOR (S) CORPORATE SOURCE:

Pharmacological studies on a tetranydroxazinic derivative Ilarionov, I. M. M., Sofia, Bulg. Eksperimentalna Meditsina i Morfologiya (1988), 27(3), 17-22 SOURCE:

CODEN: EKMMA8; ISSN: 0367-0643

DOCUMENT TYPE: Bulgarian

117278-53-2

RL: RPR (Properties)
(neuropharmacol. and stimulant effect of)
117278-53-2 CAPLUS
1-Propanone, 2-methy1-3-(2-methy1-2,3-dipheny1-4-morpholiny1)-1-pheny1-(9C1) (CA INDEX NAME)

ANSWER 44 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

97843-14-6 CAPLUS 1H-Indazol-3-amine, N-{3-(2-phenyl-4-morpholinyl)propyl}-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 44 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 16 Nov 1985

AB Indazole derivs. (I: R = H, Cl, Me, NeO, H2N: Rl-4 = H, alkyl, halo, Ph; X = O, S; Z = alkylenel and their physiol. compatible salts were prepared I were effective antiinflammatants and antiulcers at 100 mg/kg oral in rats. Thus, stirring a mixture of 9.43 g II and 11 g III in MeOH at 80° gave 71% I (R-R3 = H, R4 = 3-Me, X = O, Z = CHZCHZCO), which (1.05 g) was reduced with 0.44 g LiAlH4 in dioxane at 80° to give 81% I (R-R3 = H, R4 = 3-Me, X = O, Z = CHZCHZCHZ).

ACCESSION NUMBER: 1985:560501 CAPLUS
DOCUMENT NUMBER: 1985:560501 CAPLUS

DOCUMENT NUMBER: TITLE:

PATENT ASSIGNEE(S):

Aminoindazole derivatives Asahi Chemical Industry Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 11 pp. CODEN: JKXXAF

Patent

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

DATE PATENT NO. KIND APPLICATION NO. DATE JP 60061569 A2
PRIORITY APPLN. INFO.:
IT 97842-95-0P 97843-14-6P JP 1983-169214 JP 1983-169214 A2 19850409

RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antiinflammatory and antiulcer activity of)
97842-95-0 CAPLUS
1H-Indazol-3-amine, N-{3-(2-phenyl-4-morpholinyl)propyl}- (9CI) (CA INDEX

ANSWER 45 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 04 Oct 1985

AB Indazole derivs. (I; R = H, Cl, Me, MeO, H2N; Rl, R2, R3, R4 = H, alkyl, halo, Ph; X = O, S,; Z = alkylene) and their physiol. compatible salts were prepared I were effective antiinflammatants and antiulcers at 100 mg/kg oral in rats. Thus, stirring a mixture of 10.8 g II (R5 = R6 = R7 = H) and 14.45 g phthalic anhydride in dioxane at 120° gave 87% II (R5 = R7 = PH) and 14.45 g phthalic anhydride in dioxane at 120° gave 87% II (R5 = R7 = PH) and 14.45 g phthalic anhydride in dioxane at 80° to give 59% IV. Hydrolysis of 3.59 g IV with 2.5 g N2H4.H2O in EtoH gave 71% I (R-R3=R, R4 = 3-Me, X = O, Z = CH2CH2CO), which (1.05 g) was reduced with LiAlH4 in dioxane to give 70% I (R-R3 = H, R4 = 1-Me, X = O, Z = CH2CH2CH2).

ACCESSION NUMBER: 1985:504962 CAPLUS
DOCUMENT NUMBER: 1985:504962 CAPLUS
1-Substituted indazole derivatives LATENT ASSIGNEE(S):

ASSIGNEE(

DATE JP 60061568
PRIORITY APPLN. INFO.:
IT 97843-32-8P 19850409 A2 JP 1983-169213 JP 1983-169213 19830916

97843-32-9P RL: SPN (Synthetic preparation); PREP (Preparation) (preparation, antiinflammatant and antiulcer activity of) 97843-32-8 CAPLUS

H-Indazol-3-amine, 1-(3-(2-phenyl-4-morpholinyl)propyl)- (9CI) (CA INDEX NAME)

L7 ANSWER 45 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN. (Continued)

97843-49-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antiinflammatory, and antiulcer activity of)
97843-49-7 CAPUS
1H-Indazol-3-amine, 1-{3-(2-phenyl-4-morpholinyl)propyl}-, dihydrochloride
(9CI) (CA INDEX NAME)

●2 HC1

ANSWER 46 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 46 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 29 Sep 1984

AB Thirty-seven title compds. I (R = H, Me; R1 = H, Me, Et, Me2CH, Ph; R2 = H, H0; R3 = H, Me, Et, R32 = piperidino, morpholino; n = 0, 1), effective analgesics at 20-200 mg/kg, were prepared Thus, 0.42 mol NaH in oil was added to 0.4 mol pyridine derivative II in DNF at 7-13\*, 0.4 mol MeCHBECO2Et was added, and the mixture stirred at 23-30\* to give 84.48 iIII, which (0.1 mol) was treated with 0.1 mol NaH in oil in xylene at 83\*, 0.238 mol ECZNCHZCHZBr was added, and the mixture refluxed to give 74.88 i [R = R2 = H, R1 = Me, R3 = Et, n = 0).

ACCESSION NUMBER: 1984:510931 CAPLUS
101:110931 2 H-Pyrido[3,2-b]-1,4-oxazine derivatives as analgesic compositions
PATENT ASSIGNEE(S): Nippon Redarii K. K., Japan Jpn. Kokai Tokkyo Koho, 20 pp. CODEN: JRXXAF Fatent
LANGUAGE: Japanese

DOCUMENT TYPE: LANGUAGE:

LANGUAGE: FALENT JAPANESE FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 59048489 IORITY APPLN. INFO.:	A2	19840319	JP 1982-159886 JP 1982-159886	19820914 19820914
86267-28-9P				

PRI IT RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
86267-28-9 CAPLUS
2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[3-(diethylamino)-2-hydroxypropyl]-2-phenyl- (9CI) (CA INDEX NAME)

ANSWER 47 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 18 Aug 1984

Bromination of PhCH:CH2 in the presence of ethylene oxide by Br2-CCl4 gave a mixture containing 85% BrCH2CH2OCHPhCH2Br (1) and 15% BrCH2CH2OCH2CHPhBr

which

where aminated by Bu2NH to give II (R = Bu). Analogous amination by Et2NH,
piperidine, or morpholine gave 60-72% II (R = Et, R2 = (CH2)5,
CH2CH2CH2CH2I). Similarly, chlorination of PhCH:cH2 and ethylene oxide
gave only ClcH2CH2CHCHCH2cl which was aminated by RXNH (R = Bu, Et, R2 =
(CH2)5, CH2CH2CH2CH2CH2I) to give 59-76% RZNCH2CH2CHPCH2Cl.

ACCESSION NUMBER:
1984:455012 CAPFLUS

DOCUMENT NUMBER:
101:55012
Cohalogenation of styrene with oxirane with subsequent
reaction of the synthesized ethers with secondary
amines

reaction of the synthesized ethers with secondary amines
Egeonu, Ch. Kh.; Gurbanov, P. A.; Movsumzade, M. M.;
Agaeva, A. E.
Azerb. Inst. Nefti Khim., Baku, USSR
Doklady - Akademiya Nauk Azerbaidzhanskoi SSR (1983),
39(9), 56-60
CODEN: DAZRA7; ISSN: 0002-3078
JOURNAI
RUSSIAN
CASREACT 101:55012 AUTHOR (S):

CORPORATE SOURCE:

DOCUMENT TYPE: LANGUAGE:

OTHER SOURCE(S): IT 91045-43-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
91045-43-1 CAPLUS
Morpholinium, 4,4-dibutyl-2-phenyl-, bromide (9CI) (CA INDEX NAME)

ANSWER 48 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 08 Jun 1984

R1 N N R1

AB Acylation of pyridooxazines I (R = H, Me, Et, Ph; Rl = H, Me) with R2CCCl (R2 = Me, Et, Ph, PhCH2) gave 36-76i the title compds. II. II showed little local anesthetic activity, however the analgesic activity of II was approx. equal to that of aspirin.

ACCESSION NUMBER: 1984:191807 CAPLUS

1994:191807 CAPLUS
100:191807
Studies on pyridinol derivatives. X. Synthesis and
pharmacological activity of 4-acyl-3,4-dihydro-2Hpyrido[3,2-b]-1,4-oxazıne derivatives
Takeda, Hideo: Hisamichi, Kanehiko
Tohoku Coll. Pharm., Sendai, 983, Japan
Yakugaku Zasshi (1983), 103(12), 1247-56
CODEN: YKKZAJ; ISSN: 0031-6903
Journal

AUTHOR (S) CORPORATE SOURCE:

DOCUMENT TYPE: LANGUAGE: IT 89970-32-1P

B9970-32-IP
RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation, local anesthetic, and analgesic activity of)
89970-32-1 CaPLUS
2H-Pyrido(3,2-b)-1,4-oxazine, 3,4-dihydro-4-(1-oxopropyl)-2-phenyl- (9CI)
(CA INDEX NAME)

ANSWER 49 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

88059-37-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
88059-37-4 CAPLUS
1H-Indole, 4-(4-propyl-2-morpholinyl)-, (2E)-2-butenedicate (1:1) (9CI)
(CA INDEX NAME)

CM 1

CRN 88059-36-3 CMF C15 H20 N2 O

CM 2

Double bond geometry as shown.

ANSWER 49 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984

AB N-Substituted 4-(2-morpholinyl)indoles I (R = Me, Et, Pr) were prepared from 4-acetylindole (II) which was itself prepared from 4-cyanoindole. Bromination of ketone II followed by reaction with amines and subsequent NaBH4 reduction, gave amino alcs. These were converted to a-chloro amides that were cyclized to lactams. LiAlH4 reduction served both to remove the protecting group and to reduce the lactams to the 4-(2-morpholinyl)indoles.

ACCESSION NUMBER: 1984:6427 CAPLUS

TITLE: AUTHOR (S):

1984:6427 CAPLUS
100:6427 Synthesis of 4-(4-alkyl-2-morpholinyl)indoles
Clark, Robin D.
Inst. Org. Chem., Syntex Res., Palo Alto, CA, 94304,
USA CORPORATE SOURCE:

SOURCE: Journal of Heterocyclic Chemistry (1983), 20(5), 1393-5

DOCUMENT TYPE: LANGUAGE: OTHER SOURCE(S):

1393-3 CODEN: JHTCAD; ISSN: 0022-152X Journal English CASREACT 100:6427

08059-35-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) (preparation and reduction of) 88059-35-2 CAPLUS 1H-IndoLe-1-carboxylic acid, 4-(5-oxo-4-propyl-2-morpholinyl)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

ANSWER 50 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984

AB Twenty-five pyridooxazin-3-one derivs. (I; R = H, Me, Et, Ph; R1 = H, Me)
were synthesized by three different methods, and obtained as
hydrochlorides. The local anesthetic activity of I was inferior to that
of cocaine or lidocaine and their analyssic activity was approx. equivalent to
of cocaine or lidocaine and their analyssic activity was approx. equivalent to
of cocaine or lidocaine and their analyssic activity was approx. equivalent to
of cocaine or lidocaine and their analyssic activity was approx. equivalent to
of cocaine or lidocaine and their analyssic activity was approx. equivalent to
of cocaine or lidocaine and their analyssic activity was approx. equivalent to
of cocaine or lidocaine and their analyssic activity was approx. equivalent to
of cocaine or lidocaine and pharmacological activity of 2H-pyrido[3,2-b]-1,4oxazin-3-one derivatives 2

AUTHOR(S):

Takeda, Hideo: Hisamichi, Kanehiko
CORPORATE SOURCE:

Tohoku Coll. Pharm., Sendal, 983, Japan
SOURCE:

Tohoku Coll. Pharm., Sendal, 983,

86267-29-0 CAPLUS 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[3-(dipropylamino)-2-hydroxypropyl]-2-phenyl- (9CI) (CA INDEX NAME)

Page 6427/06/2005

L7 ANSWER 50 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

86267-30-3 CAPLUS
2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[2-hydroxy-3-(1-pyrrolidiny1)propy1]-2-phenyl- (9CI) (CA INDEX NAME)

86267-31-4 CAPLUS 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[2-hydroxy-3-(1-piperidinyl)propyl]-2-phenyl- (9CI) (CA INDEX NAME)

86267-32-5 CAPLUS

ANSWER 51 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984  $^{\circ}$ 

AB The title compds. I [X = 0, 5, (CH2)n (where n = 0, 1, 2), CO, imino; R = H, (substituted) alkyl, aryl; Rl = H, Me] were prepared Thus, stirring a mixture of 3.1 g trans-He(CH2)5COCH:CHCO(CH2)7CO2H (II), 40 mL CHCl3, 1.1 g EI3N, 1.5 g CLCOCZHCEMBC, and 1.3 g 1-benzylpiperazine at room temperature overnight gave 3.90 g trans-I (X = benzylimino, R = Rl = H). I had higher anti-tumor and blood platelet aggregation-inhibiting activities than II. ACCESSION NUMBER: 1983:179423 CAPLUS
DOCUMENT NUMBER: 99:179423 CAPLUS
DOCUMENT NUMBER: 99:179423 CAPLUS
SOURCE: Nippon Shinyaku Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. A2 19830118 JP 1981-104629 JP 1981-104629

Double bond geometry as shown.

ANSWER 50 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[2-hydroxy-3-{4-morpholinyl)propyl}-2-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 51 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 52 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984

AB Reductive amination of 4-R1C6H4COCH2OAC (R1 = H, Br, C1, MeO) with HOCH2CH2NHR (R = Me, Et. Pr, CHMe2, Bu, CH2Ph) and HCO2H according to the Leuckart-Wallach reaction gave morpholines I. The intermediates of the reaction were discussed. 4-R1C6H4COCH2X (X = halo) reacted analogously. ACCESSION NUMBER: 1982:594826 CAPLUS OCCUMENT NUMBER: 97:144826 APLUS OCCUMENT NUMBER: 1982:594826 APLUS OCCUMENT SOURCE: New method for the synthesis of 2,4-disubstituted morpholines AUTHOR(S): Yordanova, K.; Shvedov, V.; Dantchev, D. Pharm. Fak., Med. Akad., Sofia, 1000, Bulg. COMPORATE SOURCE: Chemische Berichte (1982), 115(7), 2635-42 COODE: CHBEAM; ISSN: 0009-2940 Journal LANGUAGE: German

DOCUMENT TYPE: JOURNAL LANGUAGE: German OTHER SOURCE(S): CASREACT 97:144826 IT 21532-11-6P 21532-12-7P 23972-47-6P 23972-48-7P 23980-51-0P 23980-57-6P 83081-11-2P 83081-12-7P 93081-13-4P 83081-24-7P 83081-25-8P

83081-24-TP 83081-25-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by Leuckart-Wallach alkylation of (alkylamino)ethanol with
acetoxyacetophenone)
21532-11-6 CAPLUS
Morpholine, 2-phenyl-4-propyl- (8CI, 9CI) (CA INDEX NAME)

21532-12-7 CAPLUS Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)

ANSWER 52 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) Morpholine, 4-butyl-2-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX

● HCl

83081-11-2 CAPLUS Morpholine, 2-(4-bromophenyl)-4-butyl- (9CI) (CA INDEX NAME)

83081-12-3 CAPLUS Morpholine, 2-(4-bromophenyl)-4-butyl-, hydrochloride (9CI) (CA INDEX NAME)

83081-13-4 CAPLUS Morpholine, 2-(4-bromophenyl)-4-butyl-, (22)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CH 1

CRN 83081-11-2 CMF C14 H20 Br N O

L7 ANSWER 52 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

23972-47-6 CAPLUS Morpholine, 4-butyl-2-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

23972-48-7 CAPLUS
Morpholine, 4-butyl-2-(4-chlorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

23980-51-0 CAPLUS
Morpholine, 2-phenyl-4-propyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

n-Pr

23980-57-6 CAPLUS

ANSWER 52 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) L7

n-Bu

CM 2

CRN 110-16-7 CMF C4 H4 O4

Double bond geometry as shown.

83081-24-7 CAPLUS Morpholine, 4-butyl-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

83081-25-8 CAPLUS Morpholine, 4-butyl-2-(4-methoxyphenyl)-, hydrochloride (9CI) (CA INDEX NAME)

● HC1

L7 ANSWER 53 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
Be erythro- And three-PhcR(OH)chMecH2NR1R2 [I, R = H, Et; Rl = H, R2 = CO2Ph, CONH2, Ac, Me; Rl = Et, R2 = CO2Ph, CONH2; Rl = R2 = Me; RlR2 = (CH2)5, CH2CH2OCHMeCHPh] were separated by fractional crystallization and chromatog.

on silica or alumina. Derivs. PhcR(OR3)chMecH2NR1R2 [R3 = CO2Ph, CONH2, Ac, Me) were also prepared NMR showed that I favored the erythro-axial conformation and their O-substituted derivs. retain the preference for conformations with gauche H=atoms.

ACCESSION NUMBER: 1982:199199 CAPLUS
DOCUMENT NUMBER: 96:199199 CAPLUS

TITLE: Stereochemistry of diastereomeric 3- (dialkylamino)propanols and O-substituted derivatives AUTHOR(S): Spasov, S.: Avramova, P.; Palamareva, M.

CORPORATE SOURCE: Journal fuer Praktische Chemie (Leipzig) (1981), 323(5), 793-800 CODEN: JPCEAO: ISSN: 0021-8383

DOCUMENT TYPE: Journal Fuer Praktische Chemie (Leipzig) CODEN: JPCEAO: ISSN: 0021-8383

DOCUMENT TYPE: Journal Fuer Praktische Chemie (Meipzig) CODEN: JPCEAO: SSN: 0021-8383

DOCUMENT TYPE: CASREACT 96:199199

RL: SSN (Synthetic preparation): PREP (Preparation) (preparation, derivatization, and conformation of)

RN 66064-06-0 CAPLUS

CN 4-Motpholinepropanol, β,3-dimethyl-α,2-diphenyl- (9CI) (CA INDEX NAME)

17 ANSWER 54 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

• HCl

RN 74686-85-4 CAPLUS CN Carbonic acid, 2-methyl-3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenylpropyl phenyl ester, hydrochloride (9CI) (CA INDEX NAME)

• HC1

```
ANSWER 54 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 12 May 1984

Ph
Me
O NCH2CHMeCOPh @ HCl
II

AB Pharmacol. expts. in cats, mice, and rats indicated that
2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propiophenone-HCl (I) {
66063-96-5] and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propane-HCl (II) {
66063-96-5] and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propane-HCl (II) {
66063-96-5] and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propane-HCl (II) {
66063-96-5] and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propiophenol hydrochloride and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propiophenol hydrochloride and 1-(phenoxycarboxy)-1-phenyl-2-methyl-3-(2-phenyl-3-methyltetrahydrooxazino)propane hydrochloride
AUTHOR(S): Ilarionov, I.; Todorova, P.
CORPORATE SOURCE: Med. Akad., Sofia, Bulg.
COBEN: EXPENDAS; ISSN: 0367-0643
DOCUMENT TYPE: Journal Bulgarian
IT 66063-96-5 74686-85-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study); USES (Uses)
(CA INDEX NAME)
```

Ph
Me
I, RR1= 0, HC1
NCH2CHMeCPhRR1 II, R=H, R1= 02COPh
III, R=H, R1=02CNH2

AB Several synthetic carbonic and carbamic acid esters showed potent antidepressant activity in antireserpine test in mice. These compds. also showed central nervous system stimulatory activities. PS-1 (I) {
66064-01-5] reversed the reserpine-induced hypothermia, whereas I, PS-4 (66064-06-0), and II effectively antagonized reserpine-induced ptosis in mice. The antireserpine activity of these esters was, in some cases, stronger than impramine. The esters were administered i.p., at doses 200-400 mg/kg body weight Toxicities included tetanic convulsions and death within 4-18 min after injection of a LD.
ACCESSION NUMBER: B8:164048 CAPLUS
DOCUMENT NUMBER: S8:164048
IIILE: Synthesis, pharmacologic and toxicologic study of carbonic and carbamic acid esters. Part 1
AUTINOR(S): Avramova, P.: Dryanovska, L.: Ilarionov, Y. Corporate Source: Chair Pharm. Org. Chem. Sofia, Bulg.
DOCUMENT TYPE: Journal LaNGUAGE: Chair Pharm. Org. Chem. Sofia, Bulg.
DOCUMENT TYPE: Journal English
IT 66063-96-5 66064-00-4 66064-01-5
66063-96-5 CAPLUS
CN 1-Propanone, 2-methyl-3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenyl-, hydrochloride (9CI) (CR INDEX NAME)

CH2-CH-C-PI

HC1

RN 66064-00-4 CAPLUS
CM Carbonic acid, 2-methyl-3-(3-methyl-2-phenyl-4-morpholinyl)-1-phenylpropyl
phenyl ester (9CI) (CA INDEX NAME)

ANSWER 55 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

CH2-CH-CH-O-C-OPh

4-Morpholinepropanol, β,3-dimethyl-α,2-diphenyl-, carbamate (ester) (9CI) (CA INDEX NAME)

66064-06-0 CAPLUS 4-Morpholinepropanol,  $\beta$ , 3-dimethyl- $\alpha$ , 2-diphenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 57 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
Morpholinones I (R = Cl-4 alkyl, Ph, CH2Ph, cyclohexyl; R1 = Me, Et, Ph)
were prepared by cyclizing R1CH(OH)CHZNHR with ClCH2CO2Et. R1CH(OH)CH2NHR
were prepared by treating the epoxides II with RNH2. The insecticidal activity of I was low.
ACCESSION NUMBER: 1975:72896 CAPLUS
DOCUMENT NUMBER: 1975:72896 CAPLUS

Preparation of 2-morpholinones and their biological activities

AUTHOR (S)

activities
Tawaa, Shinkichi; Eto, Morifusa; Mackawa, Kazuyuki
Fac. Agric., Kyushu Univ., Fukuoka, Japan
Journal of the Faculty of Agriculture, Kyushu
University (1974), 18(4), 253-6
CODEN: JFAKAU; ISSN: 0023-6152
Journal CORPORATE SOURCE: SOURCE:

DOCUMENT TYPE:

UAGE: English 55475-08-6P 55475-10-0P 55475-11-1P

55475-12-2P

55475-12-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (preparation and insecticidal activity of)
55475-08-6 CAPLUS
2-Morpholinone, 6-phenyl-4-propyl- (9CI) (CA INDEX NAME)

55475-10-0 CAPLUS 2-Morpholinone, 4-butyl-6-phenyl- (9CI) (CA INDEX NAME)

55475-11-1 CAPLUS 2-Morpholinone, 4-(2-methylpropyl)-6-phenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 56 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.

4-Substituted-2, 3-Dihydro-1, 4-benzoxazine-3-ones (I) with an aminoalkyl or hydroxyalkyl group on the N were prepared and tested for analgesic activity in mice. I with a propanediol group had analgesic activity, while those with an aminoalkyl group on the N had little or no activity. The most interesting compound tested was I, R = CH2CHHCOH2OH, R1 = Me, R2 = R3 = H, R4 = CI [52042-24-7].

ACCESSION NUMBER: 84:12313 CAPLUS DOCUMENT NUMBER: 84:12313

AUTHOR (S):

1976:12313 CAPLUS
84:12313
84:12313
Synthesis and pharmacological activity of
4-substituted-2,3-dihydro-1,4-benzoxazin-3-ones
Thuillier, Germaine: Laforest, Jacqueline: Bessin,
Pierre: Bonnet, Jacqueline: Thuillier, Jean
Cent. Rech. Pharmacol. Albert Roland, Chilly-Mazarin,
Fr.
European Journal of Medicinal Chemistry (1975), 10(1),
37-42
CODEN: EJMCA5; ISSN: 0223-5234
Journal
French
CASREACT 84:12313 CORPORATE SOURCE:

CODEN: EJMCA5; ISSN: 0223-5234

DOCUMENT TYPE: JOURNAL
LANGUAGE: French
OTHER SOURCE(S): CASREACT 84:12313

T 57462-98-3

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES
(Uses)

(Uses)
(analgesic activity of)
57462-98-3 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 6-chloro-4-(2,3-dihydroxypropyl)-2-phenyl(9CI) (CA INDEX NAME)

ANSWER 57 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 55475-12-2 CAPLUS 2-Morpholinone, 4-(1-methylpropyl)-6-phenyl- (9CI) (CA INDEX NAME)

IT 55475-35-9P 55475-37-1P 55492-84-7P

RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 55475-35-9 CAPLUS

22-Morpholinone, 6-phenyl-4-propyl-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 55475-08-6 CMF C13 H17 N O2

CM 2

CRN 88-89-1 CMF C6 H3 N3 O7

CM 1

55475-37-1 CAPLUS 2-Morpholinone, 4-(2-methylpropyl)-6-phenyl-, compd. with 2,4,6-trinitrophenol (9CI) (CA INDEX NAME)

CRN 55475-11-1 CMF C14 H19 N O2

```
L7 ANSWER 57 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

1-Bu

CM 2

CRN 88-89-1

CMF C6 H3 N3 07

O2N

NO2

NO2

NO2

CN 55492-84-7 CAPLUS

CN 2-Morpholinone, 4-(1-methylpropyl)-6-phenyl-, compd. with 2, 4,6-trinitrophenol (9CI) (CA INDEX NAME)

CM 1

CRN 55475-12-2

CMF C14 H19 N O2

Me

CH—Et

N

CM 2

CRN 88-89-1

CMF C6 H3 N3 07
```

L7 ANSWER 58 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984

AB DL-2-Amino-1-phenylpropanol reacted with N-benzyloxy-carbonyl-L-aspartic acid a-p-nitrophenyl β-benzyl ester in DMF at room temperature to give benzyl 3-benzyloxycarbonylamino-N-DL-(1-methyl-2-hydroxyphenethyl)-L-succinamate, which was hydrogenated in MeOH containing Pd to yield 3-amino-N-dL-(1-methyl-2-hydroxyphenethyl)-L-succinamic acid. Similarly, apprx.48 addnl. compds. were prepared with sweetening and antiinflammatory activity.

ACCESSION NUMBER: 1974:146537 CAPLUS

DOCUMENT NUMBER: 80:146537

TITLE: 3-Amino-N-substituted succinamic acids and intermediates 1974:146537 CAPLUS
80:146537
3-Amino-M-substituted succinamic acids and intermediates
Mazur, Robert H.; Schlatter, James M.; Goldkamp, Arthur H.
G.D. Searle and Co.
U.S., 8 pp.
CODEN: USXXAM
Patent
English
4 INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE US 3803223 US 442431 US 4011260 US 4025551 PRIORITY APPLN. INFO.: 19740409 19760323 19770308 19770524 US 1970-56753 US 1974-442431 19740214 US 1975-642890 US 1968-704229 US 1970-56753 US 1974-442431 19751222 A2 19680209 A2 19700720 A2 19740214 US 1974-442431 A2 19740214

PRL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
25353-93-99 CAPLUS
4-Morpholinebutanoic acid, 3-methyl-y-oxo-2-phenyl-β[[(phenylmethoxy)carbonyl]amino]-, phenylmethyl ester (9CI) (CA INDEX NAME) IT о— сн<sub>2</sub>— Рh

27842-06-4 CAPLUS
4-Morpholinebutanolc acid, β-amino-3-methyl-γ-oxo-2-phenyl-(9CI) (CA INDEX NAME)

L7 ANSWER 58 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 57 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

L7 ANSWER 59 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN

ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
A Morpholine derivs. I (R = 3-pyridyl, 5-thiazolyl, p-C1C6H4OCMe2) were prepared by treating 3-methyl-2-phenylmorpholine with RCOC1. I are hypocholesteremics and appetite depressants.

ACCESSION NUMBER: 1974:48010 CAPLUS

BOCUMENT TUMBER: 50148010 CAPLUS

SOURCE: 50148010 CAPLUS

BOCHARD ASSIGNEE(S): 50148010 CAPLUS

DOCUMENT TYPE: 10148010 CAPLUS

LANGUAGE: FERENCE

French

DOCUMENT TYPE: CLANGUAGE: FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE FR 2168139 Al 19730831 FR 1972-1441 19720117
PRIORITY APPLN. INFO.: FR 1972-1441 A 19720117
IT 37435-07-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 37435-07-7 CAPLUS
CN Morpholine, 4-12-(4-chlorophenoxy)-2-methyl-1-oxopropyl]-3-methyl-2-phenyl(9CI) (CA INDEX NAME)

ANSWER 60 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

● HCl

L7 ANSWER 60 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB Eight oxazines (I, R = H, Bu, or CH2Ph: R1 = CO2Et, CO2Me, CO2H, or CH2OH) and (or) their hydrochlorides were prepared from PhCH:CHCO2R2 (R2 = Me or Et) by treatment with HOCH2CH2C1 and Me3COBr to give R2O2CCHBCCHPHOCH2CH2C1 and reaction of these with RNH2 (R = Bu or CH2Ph), optionally followed by hydrogenolytic cleavage of the benzyl group, hydrolysis of I (R1 = CO2R2) to give eleavage of the CH2OH).

ACCESSION NUMBER: 1974:27266 CAPLUS
DOCUMENT NUMBER: 80:27266

DOCUMENT NUMBER:

1974:27266 CAPLUS
80:27266 Tetrahydro-2-phenyl-1,4-oxazines
Mauvernay, Roland Y.; Bush, Norbert; Simond, Jacques;
Monteil, Andre: Moleyre, Jacques
Centre Europeen de Recherches Mauvernay
Ger. offen., 14 pp.
CODEN: GMXXEX
Patent
German INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: German 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		*****		
DE 2318024	A1	19731031	DE 1973-2318024	19730410
FR 2179578	A1	19731123	FR 1972-12892	19720413
GB 1411666	A	19751029	GB 1973-17718	19730412
ORITY APPLN. INFO.:			FR 1972-12892 A	19720413
50784-43-5P 50784-	50-4P			

RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
50784-43-5 CAPLUS
3-Morpholinecarboxylic acid, 4-butyl-2-phenyl-, methyl ester (9CI) (CA

50784-50-4 CAPLUS
3-Morpholinecarboxylic acid, 4-butyl-2-phenyl-, methyl ester, hydrochloride (9CI) (CA INDEX NAME)

ANSWER 61 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

Ab the title compds. (I), antidepressants, were prepared by oxidizing the corresponding alcs. E.g., 4-(4-(p-fluorophenyl)-4-hydroxybutyl]morpholine in C6H6 was stirred with MnO2 to give I (R = F, X = H). Among 7 more I similarly prepared were the following (R and X given): Cl, H; OMe, H; H, 3-Me; H, 2,6-Me2; H, 2,6-Ph2.

ACCESSION NUMBER: 1973:16196 CAPLUS

DOCUMENT NUMBER: 78:16196

TITLE: MOYPholine derivatives

78:16196
Morpholine derivatives
Yamamoto, Hisao: Nakao, Masaru: Sasajima, Kikuo:
Maruyama, Isamu: Katayama, Shigenari
Sumitomo Chemical Co., Ltd.
Jpn. Tokkyo Koho, 3 pp.
CODEN: JAXXAD
Patent TITLE: INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICATION NO. PATENT NO. KIND DATE 19691212

L7 ANSWER 62 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB The morpholide (1) was prepared by treating 3-methyl-2-phenylmorpholine with p-C1C6H40CMe2COL and Et3N.
ACCESSION NUMBER: 1972:501634 CAPLUS
DOCUMENT NUMBER: 77:101634
TITLE: Phenoxyaikanoyl morpholides
INVENTOR(s): Aries, Robert
SOURCE: Fr., 13 pp.
CODEN: FRXXAK
DOCUMENT TYPE: Patent

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

APPLICATION NO. DATE DATE PR 2094499 19720310 FR 1970-23209 19700623
37435-07-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
37435-07-7 CAPUJS
Morpholine, 4-[2-(4-chlorophenoxy)-2-methyl-1-oxopropyl]-3-methyl-2-phenyl-(9CI) (CA INDEX NAME)

ANSWER 63 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

36163-29-8 CAPLUS Morpholine, 4-heptyl-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

(CH2)6-Me

36163-30-1 CAPLUS Morpholine, 4-(3-methylbutyl)-2-[3-(trifluoromethyl)phenyl)- (9CI) (CA INDEX NAME)

CH2-CH2-CHMe2

L7 ANSWER 63 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
G For diagram(s), see printed CA Issue.
AB The title compds. (f, R = allyl, Bu, iso-Bu, isopentyl, C7H15, cyclohexyl, or PhCH2) were prepared (Fr. P.V. 142,274) and pharmacol. activity tested on mice and rats. They were effective in daily doese of 2-50 mg, preferably 10 mg for adults, and can be administered orally in the usual solid pharmaceutical compns.

ACCESSION NUMBER: 1972:144845 CAPLUS
DOCUMENT NUMBER: 76:144845

76:144845
Tranquilizing, analgesic, and antiinflammatory
4-substituted 2-[{3-trifluoromethyl)phenyl]tetrahydro1,4,-oxazines
Mauvernay, Roland Y.; Busch, Norbert; Moleyre,
Jacques; Simond, Jacques
Centre Europeen de Recherches Mauvernay
Fr. M., 11 pp.
CODEN: FMCXCAJ
Patent TITLE:

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE FR 7745 19700309 FR 1968-173894 19681115
26529-95-8 36163-28-7 36163-29-8
36163-30-1
RL: BIOL (Biological study)
 (pharmaceutical)
26629-95-8 CAPLUS
Morpholine, 4-buty1-2-[3-{trifluoromethyl}phenyl]- (9CI) (CA INDEX NAME)

36163-28-7 CAPLUS Morpholine, 4-(2-methylpropyl)-2-{3-(trifluoromethyl)phenyl}- (9CI) (CA INDEX NAME)

L7 ANSWER 64 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
AB Dihydropyrido[3,2-b]-1,4-oxazines were prepared Reactions of these compds.
with alkylating agents, LiAlH4, Br. CuCN, and phosphorus sulfide were
investigated.
ACCESSION NUMBER: 1972:34186 CAPLUS
DOCUMENT NUMBER: 76:34186 Reactions of 3,4-dihydro-2H-pyrido[3,2-b]-1,4-oxazines
AUTHOR(S): Clauson-Kaas, Niels: Lei, Joergen: Heide, Henning
FAILIM, Den.
SOURCE: Acta Chemica Scandinavica (1947-1973) (1971), 25(8),
3135-43 1972:34186 CAPLUS
76:34186 Reactions of 3,4-dihydro-2H-pyrido[3,2-b]-1,4-oxazines
Clauson-Kaas, Niels; Lei, Joergen: Heide, Henning
Farum, Den.
Acta Chemica Scandinavica (1947-1973) (1971), 25(8),
3135-43
CODEN: ACSAR4; ISSN: 0001-5393
Journal

DOCUMENT TYPE: Journal LANGUAGE: English IT 34950-60-2P 34950-68-0P 34950-69-1P 34950-77-1P

34950-77-IP
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
34950-60-2 CAPLUS
4H-Pyrido[3,2-b]-1,4-oxazine-4-propanamine, 2,3-dihydro-N,N-dimethyl-2,2-diphenyl-, dihydrochloride (9CI) (CA INDEX NAME)

34950-68-0 CAPLUS 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[3-(dimethylamino)propyl]-2,2-diphenyl. monohydrochloride (9CI) (CA INDEX NAME)

Me2N- (CH2)3

● HC1

34950-69-1 CAPLUS 2H-Pyrido[3,2-b]-1,4-oxazin-3(4H)-one, 4-[3-(dimethylamino)propyl]-2,2-diphenyl- (9CI) (CA INDEX NAME)

L7 ANSWER 64 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

MeoN- (CHo) a

34950-77-1 CAPLUS 2H-Pyrido[3,2-b]-1,4-oxazin-3-ol, 4-[3-(dimethylamino)propyl]-3,4-dihydro-2,2-diphenyl- (9CI) (CA INDEX NAME)

Me2N- (CH2) 3

L7 ANSWER 66 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB Title compds. (1) with antiphlogistic, antipyretic, and analgesic activity, were prepared by alkylation of I (R1 = H) with RIC1 in the presence of Na or Na compds. Thus, I (R = R1 = R2 = H), NANNZ, and C1CHZCHZNMeZ) was refluxed in xylene 3 hr to give I (R = R2 = H, R1 = CHZCHZNMeZ). Similarly prepared were I (R-R2 given): Me,
A-piperidinoethyl, H; p-C1C6H4, CHZCHZNMeZ, H; Ph, (CHZ)3NMeZ, C1.
ACCESSION NUMBER: 1971.529818 CAPLUS
DOCUMENT NUMBER: 1571.529818 CAPLUS
TITLE: 1571.529818 CAPLUS
COURCEST NUMBER: 25.129818
4 (Aminoalkyl)-2,3-dihydro-4H-1,4-benzoxazin-3-ones
SOURCES: CODEN: GWXXBX
GET OTIEN. S Pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
CODEN: GWXXBX
GET OTIEN. GET AND COUNT: 1

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2044530	А	19710819	DE 1970-2044530	19700909
SU 430553	D	19740530	SU 1970-1482213	19701007
SU 437300	D	19740725	SU 1970-1754059	19701007
FR 2079223	A5	19711112	FR 1970-40233	19701109
CH 555356	A	19741031	CH 1970-17904	19701203
PRIORITY APPLN. INFO.:			DD 1970-145276 A	19700204
IT 33980-29-9P				

33980-28-9F
RI: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
33980-29-9 CAPLUS
2H-1,4-Benzoxazin-3(4H)-one, 4-[3-(dimethylamino)propyl]-2-phenyl- (8CI)
(CA INDEX NAME)

L7 ANSWER 65 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 12 May 1984
G1 For diagram(s), see printed CA Issue.
B1 (R = H, Me, 4-ClC6H4, or Ph; Rl = Me2NCH2CH2, 2-piperidinoethyl, or a similar aminoalkyl group; R2 = H or Cl), useful as antispasmodics, antipyretics, and analgesics, are prepared Thus, 2,3-dihydro-1,4-benzoxazin-3-one, NaNH2, Me2NCH2CH2Cl, and xylene are refluxed to prepare I (R = R2 = H, R1 = Me2NCH2CH2CH2).
ACCESSION NUMBER: 76:14553 CAPLUS
TITLE: Basically substituted 2,3-dihydro-1,4-benzoxazin-3-one.

ones Zschiedrich, Johannes; Thomas, Eckhard Ger. (East), 3 pp. CODEN: GEXXA8 INVENTOR (S): SOURCE:

DOCUMENT TYPE: LANGUAGE: Patent German

FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 19700204 DD 79293 19710120 · DD 34867-33-9P

Jacob - Jacob (Synthetic preparation); PREP (Preparation)
(preparation of)
34867-33-5 CAPLUS
286-1, 4-Benzoxazin-3(4H)-one, 6-chloro-4-(3-(dimethylamino)propyl)-2-phenyl-(9CI) (CA INDEX NAME)

L7 ANSWER 67 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
BY The title compds. (1) were prepared by reaction of a primary amine (RNH2)
with 2-[3-(trifluoromethy])-phenyl]-2-(2-chloroethoxy)-1-bromoethane (II).
Thus, n-hexylamine reacted with II to give 2-13-(trifluoromethyl)phenyl]-4hexyltetrahydro-1,4-oxazine. Similarly were prepared I '(R = pentyl, Pr, and
phenethyl). The results of pharmacodynamic tests are given.
ACCESSION NUMBER:
171TLE: 1571:488619 CAPLUS
Tranquillizing, antinflammatory, and analgesic
2-(13-trifluoromethyl)phenyl)-4-alkyltetrahydro-1,4-

75:88619
Tranquillizing, antiinflammatory, and analgesic
2-{(3-trifluoromethyl)phenyl}-4-alkyltetrahydro-1,4oxazines
Mauvernay, Roland Y.: Busch, Norbert; Moleyre,
Jacques; Simond, Jacques
Centre Europeen de Recherches Mauvernay
Fr. Demande, 10 pp.
CODEN: FRXXBL
Patent
French

INVENTOR (S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: LANGUAGE:

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. .APPLICATION NO. DATE 19690219 FR 2035753

33743-97-4P 33743-98-5P 33743-99-6P

33743-97-4P 33743-98-5P 33743-99-6P REP (Preparation) (preparation of) 33743-97-4 CAPLUS Morpholine, 4-hexyl-2-(α,α,α-trifluoro-m-tolyl)- (8CI) (CA INDEX NAME)

(CH2)5-Me

33743-98-5 CAPLUS Morpholine, 4-pentyl-2-( $\alpha,\alpha,\alpha$ -trifluoro-m-tolyl)- (8CI) (CA INDEX NAME)

ANSWER 67 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN 33743-99-6 CAPLUS Morpholine, 4-propyl-2-( $\alpha,\alpha,\alpha$ -trifluoro-m-tolyl)- (8CI) (CA INDEX NAME)

ANSWER 68 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

L7 ANSWER 68 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ENtered STN: 12 May 1984
G1 For diagram(s), see printed CA Issue.
AB The muscle relaxant reactivity of 3-morpholinones I (R = 0) is observed in rats and mice. 3-Morpholinones, I (R = 0), and 3-morpholinenthiones, I (R = 0), and 3-morpholinenthione of according to known methods, including hydrogenation of according to known methods, including hydrogenation of (A 10 to 10 to

Patent

DOCUMENT TYPE: PRILANGUAGE: FRAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION: French

PATENT NO. KIND DATE APPLICATION NO. DATE

FR 6206 19680902 FR 19660606
16187-69-2P 16187-71-6P 16187-72-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
16187-69-2 CAPLUS
3-Morpholinone, 4-isobutyryl-5-methyl-6-phenyl- (8CI) (CA INDEX NAME)

16187-71-6 CAPLUS 3-Morpholinone, 4-butyl-5-methyl-6-phenyl- (8CI) (CA INDEX NAME)

16187-72-7 CAPLUS 3-Morpholinone, 4-butyl-6-phenyl- (8CI, 9CI) (CA INDEX NAME)

L7 ANSWER 69 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
GI For diagram(s), see printed CA Issue.
AB The tranquilizing title salts (I) were prepared Thus, refluxing II and
2-(p-flucrophenyl)-2-(3-chloropropyl)-1,3-dioxolane 16 hr in BuOH containing
K2CO3 and treating the product with oxalic acid hydrate gave 28 I (R = F,
n = 3). I (R = H, n = 2) was similarly prepared
ACCESSION NUMBER: 1991:88004 CAPLUS
COCUMENT NUMBER: 1991:88004 CAPLUS
TITLE: 4-[1-G-Benzoylalkyl)-3-pyrrolidinyl)-2H-1,4-benzoxazin-3(4H)-one oxalates
INVENTOR(S): Helsley, Grover C.
A. H. Robins Co., Inc.
SOURCE: GF. Offen., 12 pp.
CODEN: GWXMEX
PATENT INFORMATION:

1 TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2033641	A	19710114	DE 1970-2033641	19700707
US 3699105	А	19721017	US 1969-839705	19690707
GB 1307590	А	19730221	GB 1970-32427	19700703
ES 381458	A1	19721116	ES 1970-381458	19700704
ZA 7004609	A	19710331	ZA 1970-4609	19700706
FR 2059486	A5	19710604	FR 1970-25006	19700706
FR 2059486	В1	19741011		
CH 527214	A	19720831	CH 1970-527214	19700706
CA 954860	A1	19740917	CA 1970-87561	19700707
JP 49046317	B4	19741209	JP 1970-58806	19700707
IORITY APPLN. INFO.:			US 1969-839705 A	19690707
30914-96-6P, 2H-1,4	-Benzox	azin-3(4H)-	one, 4-{3-(2,5-dimethyl-	1-

30914-96-69, 2H-1, 4-Benzoxazin-3(4H)-one, 4-(3-(4,)-3-dimetry)-1-pyrrolidinyl]propyl]-2-phenyl- 30914-96-89, 2H-1, 4-Benzoxazin-3(4H)-one, 4-(5-(2,5-dimethyl-1-pyrrolidinyl)pentyl]-2-phenyl-RL: SPN (Synthetic preparation); PREP (Preparation) (preparation of) 30914-96-6 CRPLUS 2H-1, 4-Benzoxazin-3(4H)-one, 4-(3-(2,5-dimethyl-1-pyrrolidinyl)propyl]-2-phenyl- (9CI) (CA INDEX NAME)

30914-98-8 CAPLUS 2H-1,4-Benzoxazin-3(4H)-one, 4-[5-(2,5-dimethyl-1-pyrrolidinyl)pentyl]-2-phenyl- (9CI) (CA INDEX NAME)

Page 7327/06/2005

L7 ANSWER 69 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

ANSWER 70 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) 26629-95-8 CAPLUS MoSph-19th, 4-butyl-2-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX NAME)

ANSWER 70 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

AB The title compds. (1) are prepared Thus, 1040 ml CHCl3 containing 426 g
ClCHZCHZOCH:CH2 at - 10° treated dropwise with stirring with 640 g
Br gave 65\ ClCHZCHZOCHECHZBY (II), bl3 102°, n230 l.305. Anhydrous
Et20 (1500 ml) containing m-F3CCEH4MgBr (from 48.6 g Mg and 455.7 g
m-F3CCEH4Br) refluxed gently with stirring and treated dropwise with 550 g
II 300 ml anhydrous Et20 and the mixture refluxed 2 hr gave 544,
ClCHZCHZOCH(GCHACF3-miCHZBr (III), bl. 198°, n20D 1.4970, 95\ pure
material. PhMe (100 ml) containing 33.15 g III and 20 g iso-PrNH2 autoclaved
at 10° gave 50 i I R = iso-Pr), b3 9°, n240 l.4751: HCl
salt m. 164°. Similarly were produced the corresponding 4-allyl.
4-cyclohexyl, 4-benzyl, and 4-butyl derivs. characterized by their HCl
salts.

ACCESSION NUMBER: 1970:79065 CAPLUS

DOCUMENT NUMBER: 72:78065 1970:79065 CAPLUS
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72: DOCUMENT NUMBER: TITLE: INVENTOR (S): PATENT ASSIGNEE(S): SOURCE: DOCUMENT TYPE: LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. DATE APPLICATION NO. DATE FR 1564792 19690425 FR
DE 1910477 DE
GB 1221734 GB
US 3637680 19720000 US
26629-94-7P 26629-95-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
26629-94-7 CAPUS
Morpholine, 4-butyl-2-(a,a,a-trifluoro-m-tolyl)-,
hydrochloride (8CI) (CA INDEX NAME) 19680304

L7 ANSWER 71 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
G For diagram(s), see printed CA Issue.
AB Derivs. of amphetamine and phenmetrazine (I) were prepared for anal. by gas chromatog. with electron capture (EC) detection. N-Trichloroacetyl derivs. had favorable properties in terms of high EC- response, narrow and sym. peak shape, and facile formation. Mass spectra of derivs. of amphetamine, methamphetamine, p-hydroxyamphetamine, I, Me phenidate, chlorphentermine, and diethylpropion were record ed with a combined gas chromatograph mass spectrometer (GC-MS). The preparation of derivs. was of advantage since the free amines show tailing peaks on the phases which can be used with GC-MS. Also, the mass spectrum of the derivative frequently assumed a more complex character giving more structural information and facilitating pos. identification.

ACCESSION NUMBER: 1970:66075 CAPLUS
DOCUMENT NUMBER: 129:66075
DERIVATIVE: Derivatives of sympathomimetic amines for gas chromatography with electron capture detection and mass spectrometry
AUTHOR(S): Angaqad, Erik: Mankey, Alexander
CORPORATE SOURCE: Derivatives of sympathomimetic amines for gas chromatography with electron capture detection and mass spectrometry
AUTHOR(S): Angaqad, Erik: Mankey, Alexander
Dep: Pharmacol., Karolinska Inst., Stockholm, Swed. Acta Chemica Scandinavica (1947-1973) (1969), 23(9), 3110-19
CODEN: ACSARA4: ISSN: 0001-5393
DOCUMENT TYPE: Journal LANGUAGE: English
IT 27765-83-9
RL: PRP (Properties)

DOCUMENT TYPE: LANGUAGE: IT 27765-83-9

UAGE: English
27765-83-9
RL: PRP (Properties)
(mass spectrum of)
27765-83-9 CAPIUS
Morpholine, 3-methyl-2-phenyl-4-propionyl- (8CI) (CA INDEX NAME)

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ANSWER 72 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 12 May 1984

AB The title compds. RRINGOCK(NH2)(CH2CO2H (II) are used as sweetening and as antiinflammatory agents. To a solution of 17.85 parts N-benzyloxycarbonyl-1-asparaginic acid β-benzyl ester and 5.9 volume parts N-methylmorpholine in 76.5 parts anhydrous tetrahydrofuran at -20′ 7 volume parts iso-Bu02CCI was added dropwise, the mixture stirred 5 min, cooled to -30′, 8.25 volume parts di-1,4-dimethylpentylamine added dropwise at -10 to -15′, and the mixture kept 16 hr at 5′ and worked up to give 3-benzyloxycarbonylamino-N-dl-1',4'-dimethylpentyl-1-succinamic acid benzyl ester, m. 90-103′, [α] -7′ (MeOH). A solution of 4.53 parts dl-2-maino-1-phenylpropanol in 22.5 parts NCOMMe2 was stirred a few min with 15.06 parts N-benzyloxycarbonyl-1-asparaginic acid o-p-nitrophenyl β-benzyl diester and the mixture left 16 hr at room temperature and worked up to give 3-benzyloxycarbonylamino-N-(dl-1-methyl-2-hydroxyphenethyl)-1-succinamic acid benzyl ester, 0.5 parts 9d black and 120 parts MeOH at room temperature was share of 4.5 parts 3-benzyloxycarbonylamino-N-(dl-1-methyl-2-hydroxyphenethyl)-1-succinamic acid benzyl ester, 0.5 parts Pd black and 120 parts MeOH at room temperature
 shaken with H at 4 atm pressure until 2 mole equivs. H was absorbed to give I (R = H, Rl = dl-PhCH(OH)CHMe, m. 188-90*, [a] = 10* (H2O). Many other compds. were cited, together with their physical properties; >90 other examples of prepns. were given.

ACCESSION NUMBER: 1970:44128 CAPIUS

DOCUMENT NUMBER: 72:44128

N3- and N4-Substituted 3-aminosuccinamic acid derivatives

MAZUR Robert H : Goldkamp. Arthur H : Schlatter.
                                                                                                         GETIVACIVES
MAZUR, Robert H.; Goldkamp, Arthur H.; Schlatter,
James H.
G.D. Searle and Co.
Ger. Offen., 54 pp.
CODEN: GWXXBX
   INVENTOR (S):
   PATENT ASSIGNEE(S):
SOURCE:
   DOCUMENT TYPE:
LANGUAGE:
                                                                                                           Patent
    FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                         PATENT NO.
                                                                                                          KIND
                                                                                                                                     DATE
                                                                                                                                                                                         APPLICATION NO.
                                                                                                                                                                                                                                                                                          DATE
                                                                                                                                      19690828
19690926
19730713
19710415
19710415
                                                                                                                                                                                        DE 1969-1906048
FR 1969-2910
                                                                                                                                                                                                                                                                                          19690207
19690207
                        DE 1906048
FR 2001650
                         FR 2001650
                                                                                                                                                                                       GB 1969-1228271
GB 1969-1228272
JP 1969-9660
FR 1970-21149
US 1968-704229
                         GB 1228271
                        GB 1228272
                                                                                                                                                                                                                                                                                           19690207
19690208
                        JP 48024378
                         FR 2065653
                                                                                                                                     19710806
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ED Entered STN: 12 May 1984

GI For diagram(s), see printed CA Issue.

Mixts. of olefins RRIC:(CR2 and HOCHACH2C) are treated with tert-BuoBr to give ethers RRIC:(CR2 and HOCHACH2C) are treated with tert-BuoBr to give ethers RRIC:(CR2 and HOCHACH2C) are treated with mines RRIME to give in CRIME Company and the standard and the standard are the following I (R. R.). bp./mm., and nD given): H, p-clc6H4, 125-6*/0.5, 1.5640 (18*); H, Me.

PhCH(OCH2CH2C1)(CH2Br (III), bl.*5 | 16-18*, 104 at 10* to give | 15 g.

1.5510. Similarly prepared are the following I (R. R.). bp./mm., and nD given): H, p-clc6H4, 125-6*/0.5, 1.5640 (18*); H, Me.

79*/11, 1.475 (19*); Me. Me. 6.3-5*/4, 1.4765

(22*); H, p-rc6H4CH2, 140*/2, 1.5280 (19.6*). A mixture of 39.52 g. III, 44 g. cyclohexylamine, and 150 ml. PhMe is refluxed 12 hrs. to give 26 g. 2-phenyl-4-cyclohexylamine, and 150 ml. PhMe is refluxed 12 hrs. to give 26 g. 2-phenyl-4-cyclohexylatrahydro-1.4-cxazine, bl3 197*, n2D 1.5370; Hcl salt mm 162*. Similarly prepared are the property of the standard property of the standard
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L7 ANSWER 72 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
                                                                        (Continued)
     NH- C- O- CH2- Ph
     CH- CH2-C-O-CH2 Ph
     27842-06-4 CAPLUS
4-Morpholinebutanoic acid, β-amino-3-methyl-γ-oxo-2-phenyl-(9CI) (CA INDEX NAME)
     CH- CH2- CO2H
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L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

DOCUMENT NUMBER: 71:10:868

TITLE: 2,4 and 2,2,4-Substituted tetrahydro-1,4-oxazines
BUSCh, Norbert: Moleyre, Jacques: Mauvernay, Roland Y.
COLINENT ASSIGNEE(S): Centre Europeen de Recherches Mauvernay

FI., 9 pp.

DOCUMENT TYPE: PATER:
DOCUMENT TYPE:
  ANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                                                                                               DATE
        PATENT NO.
                                          KIND
                                                    DATE
                                                                         APPLICATION NO.
        FR 1535615
DE 1643857
GB 1184023
                                                                                                               19670626
                                                     19680809
       21532-12-7 CAPLUS
Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)
        21532-13-8 CAPLUS
Morpholine, 4-pentyl-2-phenyl- (BCI) (CA INDEX NAME)
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L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

(CH<sub>2</sub>) 4 - Me

RN 21532-14-9 CAPLUS CN Morpholine, 4-hexyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>5</sub>-Me

RN 21563-81-5 CAPLUS CN Morpholine, 4-heptyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>6</sub>-Me

RN 21563-82-6 CAPLUS CN Morpholine, 4-octyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>7</sub>--Me

RN 23222-65-3 CAPLUS CN Morpholine, 4-isopentyl-2-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-CHMe2

RN 23972-46-5 CAPLUS CN Morpholine, 2-(p-chlorophenyl)-4-isopentyl-, hydrochloride (8CI) (CA INDEX NAME)

CH2-CH2-CHMe2

**●** 1/c1

RN 23972-47-6 CAPLUS CN Morpholine, 4-butyl-2-(4-chlorophenyl)- (9CI) (CA INDEX NAME)

n-Bu N

RN 23972-48-7 CAPLUS CN Morpholine, 4-butyl-2-(4-chlorophenyl)-, hydrochloride (9CI) (CA INDEX NAME)

n-Bu

**a** vc1

RN 23972-51-2 CAPLUS CN Morpholine, 2-(p-chlorophenyl)-4-(3-isopropoxypropyl)- (8CI) (CA INDEX NAME) L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-CHMe2

RN 23972-38-5 CAPLUS CN Morpholine, 4-(3-ethoxypropyl)-2-phenyl- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub>-OEt

RN 23972-39-6 CAPLUS CN Morpholine, 4-(3-ethoxypropyl)-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub>-OEt

● HC

RN 23972-40-9 CAPLUS CN Morpholine, 4-(3-butoxypropyl)-2-phenyl- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub>-OBu-n

RN 23972-45-4 CAPLUS CN Morpholine, 2-(p-chlorophenyl)-4-isopentyl- (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH<sub>2</sub>)<sub>3</sub>-OPr-i

RN 23972-52-3 CAPLUS
CN Morpholine, 2-(p-chlorophenyl)-4-(3-ethoxypropyl)- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub>-OEt

RN 23972-53-4 CAPLUS CN Morpholine, 2-{p-chlorophenyl}-4-(3-ethoxypropyl)-, hydrochloride (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub>-OEt

• HCl

RN 23972-54-5 CAPLUS CN Morpholine, 4-(3-butoxypropyl)-2-(p-chlorophenyl)- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub>-OBu-n

RN 23972-55-6 CAPLUS CN Morpholine, 4-(3-butoxypropyl)-2-(p-chlorophenyl)-, hydrochloride (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

C1

• нс

RN 23980-51-0 CAPLUS CN Morpholine, 2-phenyl-4-propyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

N-Pr

● HC1

RN 23980-57-6 CAPLUS CN Morpholine, 4-butyl-2-phenyl-, hydrochloride (8CI, 9CI) (CA INDEX NAME)

n-Bu

● HC1

RN 23980-58-7 CAPLUS CN Morpholine, 4-isobutyl-2-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

CH2-CH2-CHMe2

• HC1

RN 23980-67-8 CAPLUS CN Morpholine, 4-hexyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>5</sub>-Me

• HC1

RN 23980-68-9 CAPLUS CN Morpholine, 4-heptyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH<sub>2</sub>) 6 - Me

• HC1

RN 23980-70-3 CAPLUS CN Morpholine, 4-octyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME) L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

i-Bu

RN 23980-59-8 CAPLUS CN Morpholine, 4-isobutyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

i-Bu

● HC1

RN 23980-60-1 CAPLUS CN Morpholine, 4-pentyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>4</sub>-Me

HC

RN 23980-62-3 CAPLUS CN Morpholine, 4-isopentyl-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

L7 ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued

O Ph

HC1

RN 23980-80-5 CAPLUS
CN Morpholine, 4-(3-isopropoxypropy1)-2-phenyl- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>)<sub>3</sub>-OPr-i

RN 23980-81-6 CAPLUS CN Morpholine, 4-(3-isopropoxypropy1)-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH2)3-OPr-i

● HC1

RN 25455-50-9 CAPLUS CN Morpholine, 4-(3-butoxypropyl)-2-phenyl-, hydrochloride (8CI) (CA INDEX NAME)

(CH<sub>2</sub>) 3 - OBu-n

ANSWER 73 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

25455-51-0 CAPLUS

Morpholine, 2-{p-chlorophenyl}-4-(3-isopropoxypropyl)-, hydrochloride (8CI) (CA INDEX NAME)

L7 ANSWER 74 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN LANGUAGE: French 22632-50-4P 22632-50-4P
RE: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
22632-50-4 CAPLUS
Morpholine, 3,6-dimethyl-2-phenyl-4-(2-propylvaleryl)- (8CI) (CA INDEX NAME)

Entered STN: 12 May 1984

AB The pharmacodynamic properties of Pr2CHCO2H anides and esters were examined The following Pr2CHCONRIZ were prepared (R1, R2, s yield, and m.p. or b.p./mm. given): Me., H., 81, 108.7°; kt., H., 75, 96°; iso-Bu, H., 40, 80.2°; heptyl, H., 52, 39.1°; allyl, H., 85, 71.5°; cyclopropyl, H., 59, 111.1°; cyclopentyl, H., 62, 108.6°; m-ClC6HCHCM2 (sic), H., 80, 100.7°; Pknt2, H., 53, 90.5°; Me., Ne, 77, 75° /2; kt. Et., 38, 92°/2; iso-Pr, 66, 160°/20; Bu, Bu, 48, 124°/2; allyl, allyl, 79, 108°/2; NN2, H., 25, 126.1°; 1-anphthyl, H., 85, 148.4°; 2-anphthyl, H., 81, 153°; 3-pyridyl, H., 24, 100.1°; 2-pytimidinyl, H., 60, 101.3°; 4-NcC6H4, H., 54, 167.4°; 3-acetylphenyl, H., 62, 95.4°; 4-acetylphenyl, H., 45, 167.4°; 3-acetylphenyl, H., 82, 95.4°; 4-acetylphenyl, H., 45, 147.3°; 4-propiophenyl, H., 72, 129.1°, The following Pr2CHCOR were prepared (R, 8 yield, b.p./mm., and n2D0 given): propylenimino, 70, 130°/2, 1.4481; pytrolidinyl, 53, 130°/2, 1.4662; 3, 6-dimethylpiperidino, 52, 170°/5, 1.4667; 3-dimethylpiperidino, 52, 170°/5, 1.4667; 3-dimethylpiperidino, 52, 170°/5, 1.4662; 3-dimethylpiperidino, 88, 215°/51, 1.5128; piperazino, 72, 238°, 1.4813; 4-methyl-1-piperazinyl, 54, 140°/2, 1.4939; 4-(hydroxyethyl)-1-piperazinyl, 54, 140°/2, 1.4940; 4, 40°/2, 1.4940; 58, 206.3°; 1.2-phenylene, 52, 118.6°; 1.4-phenylene, 63, 390°; 3-chloroethyl, 90, 120°/2, 1.450°/2, 1.540°/2, 1.550°/2, 1.5022; allyl, 40, 170°/2, 106°/2, 1.540°/2, 1.5500; 2-ctristnore-1, 2-phenylene, 61, 125°; piperazine, 88, 53.5°. The following Pr2CHCOMH(CH2) 180°/2, 1.540°/2, 1.540°/2, 1.540°/2, 1.540°/2, 1.540°/2, 1.540°/2, 1.540°/2, 1.540°/2, 1.540°/2, 1.540°/2, 1.550°/2, 1.540°/2, 1.550°/2, 1.540°/2, 1.550°/2, 1.550°/2, Dipropylacetic acid derivatives. III. Amides and esters esters Benoit-Guyod, Jean L., et al. Fac. Mixte Med. Pharm., Grenoble, Fr. Chimica Therapeutica (1968), 3(5), 336-42 CODEN: CHTPBA; ISSN: 0009-4374 AUTHOR (S): CORPORATE SOURCE: SOURCE: DOCUMENT TYPE: Journal

L7 ANSWER 75 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
AB Studies made by B. and B. (1968) of the gas-liquid chromatographic retention indexes of 2-phenyl-4-alkyl-tetrahydro-1,4-oxazine homologs were extended to the isomers in which the alkyl substituent (R) is iso-Pr. Pr. iso-Bu. Bu, isopentyl, pentyl, or allyl. Retention indexes are presented for the isomers on Chromosorb W columns coated with 84 Apiezon L + 21 KOH and with 104 UCON Polar 50 HB 2000 + 104 KOH and on a silicone oil XF 1150/ Chromosorb G (acid-washed) column, at 175, 200, or 225'. The retention indexes are related linearly to the b.p. at normal pressure for the compds. with unbranched R groups. An average value for the difference between the retention indexes of a pair of isomers can be determined ACCESSION NUMBER: 1969:43891 CAPLUS
DOCUMENT NUMBER: 70:43891
TITLE: Establishment and study of retention indexes of members of a homologous series, 2-phenyl-4-alkyltetrahydro-1,4-oxazines. III. Behavior of several isomers
Bondivenne, R.: Busch, Norbert
CORPORATE SOURCE: Serv. Chim. Organ., C.E.R.M., Riom, Fr. Journal of Gas chromatography (1968), 6(11), 548-50
CODEN: JOCKPAY; ISSN: 0096-2686

DOCUMENT TYPE: Journal English
TT 21332-12-7 21332-1188 2322-65-3 Odurnal English 21532-12-7 21532-13-8 23222-65-3 23980-58-7 23980-58-7
RL: ANT (Analyte); ANST (Analytical study)
(chromatog. of)
21532-12-7 CAPLUS
Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)

21532-13-8 CAPLUS Morpholine, 4-pentyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH2)4-Me

23222-65-3 CAPLUS Morpholine, 4-isopentyl-2-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 75 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN

CH2-CH2-CHMe2

23980-58-7 CAPLUS Morpholine, 4-isobutyl-2-phenyl- (8CI) (CA INDEX NAME)

i-Bu

IT 21532-11-6P RL: ANST (Analytical study); PREP (Preparation)

(preparation of) 21532-11-6 CaPLUS Morpholine, 2-phenyl-4-propyl- (8CI, 9CI) (CA INDEX NAME)

L7 ANSWER 76 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(CH2) 4 - Me

21532-14-9 CAPLUS Morpholine, 4-hexyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH<sub>2</sub>) 5 - Me

21563-81-5 CAPLUS Morpholine, 4-heptyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH2) 6 - Me

21563-82-6 CAPLUS Morpholine, 4-octyl-2-phenyl- (8CI) (CA INDEX NAME)

(CH2)7-Me

ANSWER 76 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 12 May 1984

AB Retention indexes were calculated for compds. belonging to a homologous series and examined by chromatog, on a polar liquid phase. A math. relation was established between these retention indexes and b.p. at normal pressure for the 3 studied column temps. (175, 200, 225°). This relation allows extrapolation of the 1st results to other compds. of the same series. There is an increase in the index of 100 units for each addnl. CH2 group.

ACCESSION NUMBER: 1968:489896 CAPLUS

DOCUMENT NUMBER: 59:89896

Establishment and study of retention indexes of members of a homologous series: 2-phenul-4-slvulseries. There is an increase in the index of 100 units for each addnl.
CH2 group.

ACCESSION NUMBER: 1968:489896 CAPLUS

FITLE: 569:89896 CAPLUS

CORPORATE SOURCE: 569:89896

CORPORATE SOURCE: 569:600 Source 569:600

21532-12-7 CAPLUS Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)

21532-13-8 CAPLUS Morpholine, 4-pentyl-2-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 77 OF 87 .CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 12 May 1984
of For diagram(s), see printed CA Issue.

AB Kovats retention indexes were calculated for I, where R was Me and straight-chain C3-8 on polar and nonpolar gas chromatographic columns. The 8% Apiezon L-2% KOM/Chromosorb W and 10% silicone oil XF 1150/Chromosorb G (acid-washed) columns were standardized with normal alkanes. N carrier gas and at flame ionization detector were used. The retention indexes are tabulated at 175, 200, and 225\*. The assessment of differences in the indexes between the nonpolar and polar phases permitted the verification of the structure of addni. I homologs having retention times different from those obtained for the I studied. For the Apiezon L-KOH column, a math. relation was established between the retention index (IR) and the b.p. (Th) at normal pressure: IR \* 8.29 Tb-758.9, so that retention indexes can be approximated for I homologs from b.p. data.

ACCESSION NUMBER: 1968:483187 CAPLUS

DOCUMENT NUMBER: 69:83187

TITLE: Retention indexes of substances of a homologous series, 2-phenyl-4-alkyletrahydro-1,4-oxazines

BONDIVEN: Serv. Chem. Org., C.E.R.M., Riom, Fr.

SOUNCE: Serv. Chem. Org., C.E.R.M., Riom, Fr.

SOUNCE: Serv. Chem. Org., C.E.R.M., Riom, Fr.

SOUNCE: Journal of Gas Chromatography (1968), 6(4), 198-202

CODEN: JGCRAY: ISSN: 0096-2686

DOCUMENT TYPE: Journal of Gas Chromatography (1968), 6(4), 198-202

CODEN: JGCRAY: ISSN: 0096-2686

DOCUMENT TYPE: Journal of Gas Chromatography (1968), 6(4), 198-202

CODEN: JGCRAY: ISSN: 0096-2686

CODEN: JGCRAY: ISSN: 0096-2686

CODEN: JGCRAY: ISSN: 0096-2686

CODEN: JGCRAY: ISSN: 0096-2686

CODEN: JGCRAY: JGCRAY

21532-12-7 CAPLUS Morpholine, 4-butyl-2-phenyl- (8CI, 9CI) (CA INDEX NAME)

n-Bu

21532-13-8 CAPLUS Morpholine, 4-pentyl-2-phenyl- (8CI) (CA INDEX NAME)

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Ngrazier 10727168
  L7 ANSWER 77 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
                                                                                                                                                                                                                                                                                  (Continued)
          (CH2) 4 - Me
                       21532-14-9 CAPLUS
Morpholine, 4-hexyl-2-phenyl- (8CI) (CA INDEX NAME)
         (CH2)5-Me
                       21563-81-5 CAPLUS
Morpholine, 4-heptyl-2-phenyl- (8CI) (CA INDEX NAME)
         (CH2)6-Me
                       21563-82-6 CAPLUS
Morpholine, 4-octyl-2-phenyl- (8CI) (CA INDEX NAME)
         (CH2)7-Me
L7 ANSWER 78 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continue 156-7°; N-(β-hydroxy-α-methylphenthyl)-2,2-dichloroacetamide, m. 96-7°; 2-morpholino-5-methyl-6-phenyl-3-morpholinone, m. 212-15°; 2-hydroxy-5-methyl-6-phenyl-3-morpholinone, m. 197-8.5°; 2-chloroacetamidopropiophenone, m. 87-8°; 5-methyl-6-phenyl-4H-1,4-oxazın-3(2H)-one, m. 227-9°; α-hydroxyl-mino-4'-benzyloxypropiophenone, m. 138-9°; α-(1-aminoethyl)-p-benzyloxypropiophenone, m. 138-9°; α-(1-aminoethyl)-p-benzyloxypropiophenone, m. 114-21.5°; the HCl salt m. 195-200°; N-(p-benzyloxyp-6-phoryoxy-6-methylphenthyl)-2 - chloroacetamide, m. 123-4.5°; 6-(p-benzyloxyphenyl)-5-methyl-3-morpholinone, m. 181.5-2.5°; 6-(p-bydroxyphenyl-5-methyl-3-morpholinone, m. 181.5-2.5°; cia-5-methyl-6-phenyl-morpholine-3-thione m. 160-1°; 2'-trifluoromethylpropiophenone, m. 96-7°; 2-amino-2'-trifluoromethylpropiophenone, m. 96-7°; 2-amino-2'-trifluoromethylpropiophenone-KCl, m. 223.5-24°; α-(1-aminoethyl)-o-trifluoromethylpropiophenone-KCl, m. 223.5-24°; α-(1-aminoethyl)-0-trifluoromethylpropiophenone-KCl, m. 223.5-24°; α-(1-aminoethylpropiophenone-KCl, m. 223.5-24°; α-(1-ami
                                                                                                                  67:32693
3-Morpholines, thiones, and 5,6oxazines
Gannon, Walter F.; Poos, George I.
McNeil Laboratories, Inc.
U.S., 9 pp.
CODEN: USXXAM
    INVENTOR(S)
    PATENT ASSIGNEE(S):
SOURCE:
  CODEN:
PATENT PATENT
PATENT INFORMATION:

Dame:

CODEN:
Patent English
Patent Information:
                          PATENT NO.
                                                                                                                                          DATE
                                                                                                                                                                                                         APPLICATION NO.
                                                                                                                                                                                                                                                                                                                  DATE
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ANSWER 78 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 12 May 1984 The title compds. are tranquilizers. To a suspension of 50 g.  $\alpha$ -(1-aminoethyl)benzyl alc. (dl-norephedrine) in 500 ml. of C6H6 was added 24 g. 501 NaH dispersion in portions, and the mixture stirred for 1 hr. Then a solution containing 43 g. freshly distilled Et chloroacetate in 50 C6H6 was added over 15 min. and the mixture stirred for 1 hr. The suspension was treated with enough H2O to dissolve the solid and the mixture was extracted with 5% aqueous HCl. The acid solution was extracted with Et2O L7 ANSWER 78 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

16187-72-7 CAPLUS 3-Morpholinone, 4-butyl-6-phenyl- (8CI, 9CI) (CA INDEX NAME)

16187-71-6 CAPLUS
3-Morpholinone, 4-butyl-5-methyl-6-phenyl- (8CI) (CA INDEX NAME)

L7 ANSWER 79 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
GI For diagram(s), see printed CA Issue.
AB Compds. of the general formula I are prepared and can be used as antidepressants. Thus, a mixture of 4.35 g. morpholine, 12.9 g.
p-CIC6H4CO(CH2)3Cl, 5 g. NaHCO3, 0.1 g. NaI, and 100 ml. PhMe is refluxed 5 days to give 4'-chloro-4-morpholinobutyrophenone-HCI, m. 179\*
(EtOH-ether): Similarly prepared are the following I (X, R, R1, R2, b.p./mm., m.p. and m.p. of salt given): MeO, N, N, H, -, -, -, HCI 202-3\* (EtOH-ether): F, Me, H, Me, --, --, HCI 201-2\*
(EtOH-ether): CI, Me, H, Me, --, --, HCI 201-2\*
(EtOH-ether): CI, Me, H, Me, H, --, --, HCI 203-4\*; F, Ph, Me, H, --, --, HCI 282-30\*; H, Me, H, --, --, HCI 203-4\*; F, Ph, H, Ph, --, 116\* (HeOH), HCI 195\* (EtOH); H, p-CIC6H4, H, H, --, --, HCI 192\*-30\*; H, H, Me, H, --, --, HCI 210\*-117\* (EtOH); H, PCIC6H4, H, H, --, --, HCI 192\*-30\*; H, Me, H, H, --, --, HCI 203-4\*; F, Ph, H, Ph, --, HG, 194\* (MeOH), HCI 195\* (EtOH); H, p-CIC6H4, H, H, --, --, HCI 194\* (MeOH), HCI 195\* (EtOH); H, p-CIC6H4, H, H, --, --, HCI 194\* (MeOH), HCI 195\* (EtOH); H, p-CIC6H4, H, H, --, --, HCI 194\* (MeOH), HCI 195\* (EtOH); H, p-CIC6H4, H, H, --, --, HCI 194\* (MeOH-ether); H, Me, H, H, --, --, HCI 194\* (MeOH-ether); H, Me, H, H, --, --, HCI 194\* (MeOH-ether); H, Me, H, H, H, --, --, HCI 195\* (EtOH); H, p-CIC6H4, H, H, --, --, HCI 195\* (EtOH); H, p-CICH4, H, H, --, --, HCI 195\* (EtOH); H, p-CICH4, H, H, --, --, HCI 195\* (EtOH); H, p-CICH4, H, H, H, --, --, HCI 195\* (EtOH); H, p-CICH4, H, H, H, --, --, HCI 195\* (EtOH); H, p-CICH4, H, H, H, --, --, HCI 195\* (EtOH); H, p-CICH4, H, H, H, --, --, HCI 195\* (EtOH); H, p-CICH4, H, H, H, H, --, --, HCI 195\* (EtOH-ether); H, Me, H, H, H, --, --, HCI 195\* (EtOH-ether); H, Me, H, H, H, --, --, HCI 195\* (EtOH-ether); H, Me, H, H, H, --, --, HCI 195\* (EtOH-ether); H, Me, H, H, H, --, --, HCI 195\* (EtOH-ether); H, Me, H, H, H, --, --, HCI 195\* (EtOH-ether); H, Me, H, H, H, --, --, HCI 195\* (EtOH-ether); H, Me, H, H, H, H, --, --, HCI

KIND DATE PATENT NO. APPLICATION NO. BE 653093 19641231 BE 8 19630917

TS 170-55-8, Butyrophenone, 4'-fluoro-4-(3-methyl-2-phenylmorpholino)-, hydrochloride (manufacture and use as antidepressive drug)

RN 5170-55-8 CAPUS

CN Butyrophenone, 4'-fluoro-4-(3-methyl-2-phenylmorpholino)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HC1

ANSWER 79 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

● HCl

5170-58-1 CAPLUS Butyrophenone, 4-(3-methyl-2-phenylmorpholino)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

● HCl

5170-59-2 CAPLUS Butyrophenone, 4-(3-methyl-2-phenylmorpholino)- (7CI, 8CI) (CA INDEX NAME)

5170-61-6 CAPLUS
Butyrophenone, 4-[2-(p-chlorophenyl)morpholino]- (7CI, 8CI) (CA INDEX NAME)

ANSWER 79 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) \$170-26-3, Butyrophenone, 4-{2,6-diphenylmorpholino}-\$170-27-4, Butyrophenone, 4-{2,6-diphenylmorpholino}-, hydrochloride \$170-28-5, Butyrophenone, 4-{12-{p-}}-(chlorophenyllmorpholino]-, hydrochloride \$170-59-1, Butyrophenone, 4-{3-methyl-2-phenylmorpholino}-, hydrochloride \$170-59-2, Butyrophenone, 4-{2-methyl-2-phenylmorpholino}-\$170-61-6, Butyrophenone, 4-{2-(p-chlorophenyllmorpholino}-\$487-29-6, Butyrophenone, 4-{2-(p-chlorophenyllmorpholino}-(preparation of) \$170-26-3 CAPLUS \$170-26-3 CAPLUS \$170-26-3 CAPLUS \$170-26-3 CAPLUS \$1-Butanone, 4-{2,6-diphenyl-4-morpholinyl}-1-phenyl- {9CI} (CA INDEX NAME)

5170-27-4 CAPLUS Butyrophenone, 4-(2,6-diphenylmorpholino)-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

• HCl

5170-28-5 CAPLUS
Butyrophenone, 4-[2-{p-chlorophenyl}morpholino]-, hydrochloride (7CI, 8CI)
(CA INDEX NAME)

L7 ANSWER 79 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

5487-29-6 CAPLUS Butyrophenone, 4'-fluoro-4-(3-methyl-2-phenylmorpholino)- (7CI, 8CI) (CA INDEX NAME)

ED GI AB

ANSWER 80 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN Entered STN: 22 Apr 2001 For diagram(s), see printed CA Issue. 7-bipropylamino-2-butylamino-3-imino-3H-phenoxazine (50 g.) in 1 1. Me2CO and 200 cc. H3PO4 (d. 1.7) refluxed 0.5 hr., diluted with dilute aqueous KOH,

and ZUU CC. HIPWA [d. 1.7] FEFLUKED V.5 HE., GLIUGE WICH GILUCE AQUECUS KOH,

and

extracted with Et2O, and the residue from the extract chromatographed on Al2O3
gave oily I which treated with alc. HCl and diluted with iso-Pr2O yielded
I.HCl. The crude I hydrogenated in EtOH over PtO2 and reoxidized with air
yielded the red, crystalline I, m. 83-4\* (801 aqueous Me2CO), green in H2O
turning blood-red upon the addition of excess HCl. I exhibits a
tuberculostatic activity.

ACCESSION NUMBER: 1966:52087 CAPLUS
DOCUMENT NUMBER: 64:52087
CORIGINAL REFERENCE NO: 64:9738c-e
PHENDA ASSIGNEE (S): 60:52087
COURCE: 4
PRIENT ASSIGNEE (S): 8
COURCE: 4
PRIENT ASSIGNEE (S): 8
COURCE: 4
PALENT ASSIGNEE (S): 9
COURCE: 9
COU

Unavailable

LANGUAGE: U:
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

NL 302969 19651025 NL 19631231
5170-58-1, Butyrophenone, 4-(3-methyl-2-phenylmorpholino)-,
hydrochloride 5170-59-2, Butyrophenone, 4-(3-methyl-2phenylmorpholino)(preparation of)
5170-58-1 CAPLUS
Butyrophenone, 4-(3-methyl-2-phenylmorpholino)-, hydrochloride (7CI, 8CI)
(CA INDEX NAME)

5170-59-2 CAPLUS Butyrophenone, 4-(3-methyl-2-phenylmorpholino)- (7CI, 8CI) (CA INDEX NAME)

m)(CH2)4, me,
95-6\* These substances are hypotentic central nervous system
ACCESSION NUMBER: 1964:45763 CAPLUS
DOCUMENT NUMBER: 60:45763
ORIGINAL REFERENCE NO: 60:8040c-f
ITITLE: 2-Phenyl-5, 6-dimethylmorpholines and 2-phenyl-5, 6-tetra-methylenemorpholines
INVENTOR(S): Zimmermann, Markus; Haefliger, Franz
Geigy Chemical Corp.
3 pp.

Unavailable

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DAT

US 3112311 19631126 US

PRIORITY APPLN. INFO.: CH 195

IT 93145-27-8, Morpholine, 4-buty1-2,3-dimethy1-6-pheny1(preparation of)
RN 93145-27-8 CAPLUS
CN Morpholine, 4-buty1-2,3-dimethy1-6-pheny1- (7CI) (CA INDEX NAME)

ANSWER 80 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

L7 ANSWER 82 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
GFO diagram(a), see printed CA Issue.
AB Substituted morpholines (1) (R = alkyl with 1-5 C atoms; R1 = small alkyl group) are prepared by cyclizing diethanolamines: HOCHZCHZNRCHRICHPHOH or by alkylating 2-phenyl-3-alkylmorpholines. Thus, 10 g. 1-phenylN-(B-hydroxyethyl)-N-methylamino]propan-1-ol, HC1 salt and 20 g. P-Mec6H4SO3H is stirred 20 hrs. at 140°, the mixture cooled, diluted with HZO, made alkaline with 354 aqueous NaOH, extracted with ether, and the extract dried and distilled to give 84% 2-phenyl-3-methyl-4-emylmorpholine, bl2 145°; HC1 salt m. 165-6°, LD.50 450 mg, /kg. mouse subcutaneously. Similarly prepared is 2-phenyl-3-methyl-4-ethylmorpholine, B10.5; 115-19°; HC1 salt m. 238°, LD.50 1.48 g./kg. mouse subcutaneously. Also prepared is 2-phenyl-3-methyl-4-ethylmorpholine, b4 132°.
ACCESSION NUMBER: 56:14911 CAPLUS
DOCUMENT NUMBER: 56:14911 CAPLUS
TITLE: Substituted morpholines
SUPATENT ASSIGNEE(S): Substituted morpholines
TITLE: Substituted morpholines
TITLE: Substituted morpholines
TRITLE: Substituted MCLT. Appl. CAPLUS
TRITLE: Su

PATENT NO. KIND DATE APPLICATION NO. DATE

DE 1137439 19621004 DE 19540710
93145-28-9, Morpholine, 3-methyl-4-pentyl-2-phenyl-, hydrochloride
93145-29-0, Morpholine, 3-methyl-4-pentyl-2-phenyl(preparation of)
93145-28-9 CAPLUS
MOrpholine, 3-methyl-4-pentyl-2-phenyl-, hydrochloride (7CI) (CA INDEX NAME)

(CH2) 4 - Me

93145-29-0 CAPLUS Morpholine, 3-methyl-4-pentyl-2-phenyl- (7CI) (CA INDEX NAME)

L7 ANSWER 82 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

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ANSWER 84 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 22 Apr 2001
For diagram(s), see printed CA Issue.
The title compds. (I) wherein 2 is alkylene and R is imido, are capable of inhibition of the appetite. They can be made by heating 3-methyl-2-phenylmorpholine (II) with an imide in the presence of formalin, using an alc. reaction medium; or preferably by heating II with a haloalkylimide, XZR, in the presence of anhydrous base. For example, to a solution of succinimide (9 parts by weight) in absolute EtOH (80) is added II
solution of succinimide (9 parts by weight) in absolute EtOH (80) is added II

(8) and 36% formalin (18). The mixture is heated at 90° 1 hr. and enough H2O is added to produce turbidity. Crystallization occurs on cooling and standing. The product is filtered and recrystd. (cyclohexane) to give 3-methyl-2-phenyl-4-succinimidomethylmorpholine, m. 127-30°. The 4-phthalimido derivative m. 142-4', can be made from phthalimide, II, and formalin in absolute EtOH. The 4-(2-succinimidoethyl)-HCl derivative, m. 287-5', may be made from II, and -M-(2-bromoethyl)succinimide. The 4-(2-phthalimidoethyl)-HCl, m. 247-51', was made from M-(2-bromoethyl)phthalimide and II. The 4-(5-succinimidopentyl)-HCl derivative, m. 167.5-73', was made from II and N-(5-bromo-pentyl)succinimide, d-3-methyl-2-phenylmorpholine and formalin with EtOH solvent. ACCESSION NUMBER: 1962:442881 CAPLUS
DOCUMENT NUMBER: 57:42881
ORIGINAL REFERENCE NO.: 57:8586h-1,8587a-b
TITLE: 4-Imidoalkyl-3-methyl-2-phenylmorpholines
Kalm, Max J.: Rorig, Kurt J.
SOURCE: 3 pp.
PATENT ASSIGNEE(5): G.D. Searle and Co.
SOURCE: Unavailable
PATENT INFORMATION: Unavailable
PATENT INFORMATION:
       LANGUAGE:
PATENT INFORMATION:
                                                                                                                                                                                               Unavailable
                                    PATENT NO. KIND DATE APPLICATION NO. DATE

US 3025293 19620313 US 19580407
100028-29-3, Succinimide, N-[5-(3-methyl-2-
phenylmorpholino)pentyl]-, hydrochloride
(preparation of)
100028-29-3 CAPLUS
Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]-, hydrochloride
(6CI, 7CI) (CA INDEX NAME)
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ET ANSWER B3 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ED Entered STN: 22 Apr 2001

AB cf. CA 55, 20616d. Absorption spectra, 230-300 mμ, for
RRNCLECHECPHOZONN'R', R2NCHZCHMCCPh2CONR'R', and R2NCHMeCH2CPh2CONR'R'

were analyzed, where R' and R'' are H. Et. Me, pyrrolidinyl, and
piperidinyl; and R2N is Me2N, Pr2N, iso-Pr2N, pyrrolidinyl, piperidinyl,
morpholinyl, and hexahydroazepinyl. The form of the curve is different
for the 3 types of compound, and can be used for structure determination
ACCESSION NUMBER: 1962:460312 CAPLUS
OCUMENT NUMBER: 57:60312
ORIGINAL REFERENCE NO.: 57:11973c-d
Ultraviolet absorption of substituted
α,α-diphenylbutyramides
AUTHOR(S): Loomans, Jos: Demoen, Paul
CORPORATE SOURCE: Mededel. Vlaam. Chem. Ver. (1962), 24, 54-64
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
Unavailab
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ANSWER 84 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN

ANSWER 85 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
Entered STN: 22 Apr 2001
The title compds. had valuable neurophysiol. properties and could be used as intermediates in the production of other compds. with similar properties. Glycide 7.4 and L-ephedrine (1) 16.5 added to H2O 0.5, the mixture heated 15 hrs. at 90', the cooled resin dissolved in Et2O 200, a solution of H2SO4 9.8 in Et2O 100 added at 0', the Et2O distilled, the residue mixed at 0' with H2SO4 100 parts, the solution poured on ice after 2-3 hrs., the mixture extracted with Et2O, NaOH added to the aqueous phase
to an alkaline reaction, the mixture extracted with Et2O, and the extract dried and to an alkaline reaction, the mixture extracted with Et2o, and the extract dried and distilled gave 2-phenyl-3,4-dimethyl-6-hydroxymethylmorpholine. The following substituted morpholines were similarly prepared [substituent, b.p./mm., and [parts] given]: 2-phenyl-3,4-dimethyl-6-etchoxymethyl, 92-4\*0.03, from I (16.5) and glycide Et ether (10.2): 2-phenyl-3,4-dimethyl-6-decyl, 139-40\*0.001, from I (16.5) and Jycide Et ether (10.2): 2-phenyl-3,4-dimethyl-6-decyl, 139-40\*0.0001, from I (16.5) and J.2-epoxydocdcane (II) (20.3): and 2-(p-chlorophenyl)-3-methyl-6-decyl, 150-2\*0.0005, from 1.19-eholorophenyl)-3-methyl-6-decyl, 150-2\*0.0005, from 1.19-eholorophenyl)-3-methyl-6-decyl, 150-2\*0.0005, from 1.19-eholorophenyl)-3-methyl-6-decyl, 150-2\*0.0005, from propylene oxide (III) 7 parts heated 5 hrs. at 80-90\*in a sealed tube and then worked up as in the 1st example gave 2-phenyl-3,4,6-trimethylmorpholine, b0.05 71-2.5\*, [a]200 34.8\* (c 1.349, CHCl3); picrate m 167-72\* 2-(3',4'-0)methylphenyl)-2-methyl-3-dminopropanol 17.9, III 6, and H20 0.5 part. 1-(p-Hydroxyphenyl)-2-methyl-aminoethanol 16.7 dissolved in HCONMe2 100 and H20 1 at 100-10\*, benzyl ethylene oxide 13.4 added, the mixture heated 20 hrs. at 100-10\* and evaporated to dryness in vacuo, and the residue dissolved in 48 HBr 130 parts gave 2-(4'-hydroxyphenyl)-4-methyl-6-benzylmorpholine. I 15, 3-phenoxy-1,2-epoxypropane 13.5, and H20 1 heated at 50\* until dissolved and then 14 hrs. at 100\*, the crude product 25 dissolved in iso-PrOH-HCl, the solution evaporated to dryness in vacuo, p-McCH40303H 0.5 part added to the residue, the mixture heated 10 hrs. at 170\*/30-50 mm., and the residue dissolved in aqueous K2CO3 and extracted with Et2O gave 2-phenyl-3, 4-dimethyl-6-phenoxymethylmorpholine, b0.0001 117-20\*, on distillation of the extract Similarly, 2-(3', 4'-dimethoxyphenyl)-3-methyl-6-phenoxymethylmorpholine from 1-(3', 4'-dimethoxyphenyl)-3-methyl-6-phenoxymethylmorpholine or 1-(4'-methoxyphenyl)-3-methyl-6-phenoxymethylmorpholine or 1-(4'-methoxyphenyl)-3-met of the residue extracted with Et2O gave on evaporation of the extract of the residue extracted with Et2O gave on evaporation of the extract 2-phenyl-4-butyl-5,6-dimethylmorpholine, b0.001 81-2°.
2-(3',4'-Dimethylphenyl)-3,6-dimethyl-4-allylmorpholine was similarly prepared from 2-(3',4'-dimethylphenyl)-3,6-dimethylmorpholine 11 and allyl chloride 3.8 parts. Stycol oxide 48, 1,2-dimethylethanolamine 35.6, and H2O 2 parts heated 3 hrs. at 40-50° and 15 hrs. at 80-90° gave (3-hydroxy-2-butyl-2-hydroxy-2-phenylethyl)amine (V), b0.0002 106°. V4 dissolved in H2O4 200 parts with cooling, and the solution kept 24 hrs. at room temperature, poured into ice H2O, made alkaline NaOH, and extracted with Et2O gave IV, b0.0007  $68^{\circ}$ .

Answer 86 of 87 CAPLUS COPYRIGHT 2005 ACS on STN

Entered STN: 22 Apr 2001

Morpholine derivs., RYN.CHWE.CHPh.O.CH2.CH2, where Y = an alkylene
radical, ChR2h (n is less than 9), and R = imido radical, were prepared
They were anorectic agents, affected water balance, and were mildly
diuretic. Thus, 3-menthyl-2-phenylmorpholine 8 and formalin 18 was added
to succinimide 9 in absolute alc. 80 parts, the mixture heated 1 hr. at
90°, and R2O added to turbidity to give 3-methyl-2-phenyl-4succinimidomethylmorpholine, m. 127-30°. Similarly prepared was
3-methyl-2-phenyl-4-phthalimidomethylmorpholine was prepared by refluxing
48 hrs. 3-methyl-2-phenyl-da-(2-succinimidoethyl)morpholine was prepared by refluxing
48 hrs. 3-methyl-2-phenyl-da-(10-succinimidoethyl)morpholine
80, man proportion of the solution of th

solvent, taking up the residue in dilute HCL, washing with ether, evaporati
to

dryness, and extracting with EtOH; HCl salt m. 287-9\*. Also prepared
were: 3-methyl-2-phenyl-4-(2-phthalimidoethyl)morpholine and its
hydrochloride, m. 247-51\*; N-(5-bromopentyl)succinimide;
3-methyl-2-phenyl-4-(5-succinimidopentyl)morpholine and its hydrochloride,
m. 167.5-73\*; 1-2-(2-hydroxyethylamino)-1-phenylpropanol, from
1-1-hydroxy-1-phenylpropanone, 2-aminoethanol, PtO2, and H;
d-3-methyl-2-phenyl-4-succinimidomethylmorpholine, m. 125-34\*,
[a]D 8.79\*; d-3-Methyl-2-phenylmorpholine, bl. 0 89\*,
[a]D 82.2\*, was prepared by adding concentrated H2SO4 to
1-2-(2-hydroxyethylamino)-1-phenylpropanol.

ACCESSION NUMBER: 196:13520 CAPLUS

DOCUMENT NUMBER: 55:13520
ORIGINAL REFERENCE NO: 55:2697b-e

TITLE: Morpholine derivatives
PATENT ASSIGNEE(S): G.D. Searle and Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. 19600406 GB

GB 831933 19500406 GB 100028-29-3, Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]-, hydrochloride 102500-29-3, Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]-(preparation of) 100028-29-3 CAPLUS Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]-, hydrochloride (6CI, 7CI) (CA INDEX NAME)

(Continued)

L7 ANSWER 85 OF 87 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 1961:54380 CAPLUS DOCUMENT NUMBER: 55:54380 ORIGINAL REFERENCE NO.: 55:10481e-i,10482a-c

Morpholine compounds Zimmermann, Markus; Haefliger, Franz J. R. Geigy Akt.-Ges. INVENTOR (S) :

DOCUMENT TYPE: LANGUAGE: Unavailable

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. 19601012 GB 851311

IT 101450-40-2, Morpholine, 4-butyl-2,3-dimethoxy-6-phenyl-(preparation of)

(preparation of) 101450-40-2 CAPLUS

Morpholine, 4-butyl-2,3-dimethoxy-6-phenyl- (6CI) (CA INDEX NAME)

ANSWER 86 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN L7

102600-29-3 CAPLUS Succinimide, N-[5-(3-methyl-2-phenylmorpholino)pentyl]- (6CI) (CA INDEX NAME)

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NGIGZIEF 10727100

L7 ANSWER 87 OF 87 CAPLUS COPYRIGHT 2005 ACS on STN
ED Entered STN: 22 Apr 2001
AB The toxicity, spasmolytic activity, and local anesthetic effect of the following compds. have been tested on mice and on the surviving guinea-pig ileum, resp.: α-aminophenylacetamide+H Br: α-dimethylamino-, and α-dibutylaminophenylacetamide+H Cl: α-dimethylamino-, and α-dibutylaminophenylacetamide-HCl: α-dibutylaminophenylacetamide-HCl: α-dibutylaminophenylacetamide-HCl: α-diethylamino-, α-piperidino-, and α-dibutylaminodiphenylacetamide; α-piperidino-, and α-dibutylaminodiphenylacetic acid; N. N-dibutyl-α-dibutylaminodiphenylacetic acid; N. N-dibutyl-α-dibutylaminodiphenylacetic acid; N. N-dibutyl-α-dibutylaminodiphenylacetic acid-HCl: α-diethylaminodiphenylacetic acid-HCl: α-phenyl-4-butyl-3-morpholinone; 2-γρenyl-4-isopropyl-3-morpholinone; 2-γρenyl-4-isopropyl-3-morpholinone, 4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4-γρenyl-4
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=> log y COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	430.68	756.56
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-63.51	-63.51

STN INTERNATIONAL LOGOFF AT 14:20:32 ON 24 JUN 2005